10/ 071,483

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	DEC 08	INPADOC: Legal Status data reloaded
NEWS 5	SEP 29	DISSABS now available on STN
NEWS 6	OCT 10	PCTFULL: Two new display fields added
NEWS 7	OCT 21	BIOSIS file reloaded and enhanced
NEWS 8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9	NOV 24	MSDS-CCOHS file reloaded
NEWS 10	DEC 08	CABA reloaded with left truncation
NEWS 11	DEC 08	IMS file names changed
NEWS 12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14	DEC 17	DGENE: Two new display fields added
NEWS 15	DEC 18	BIOTECHNO no longer updated
NEWS 16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes

NEWS EXPRESS	DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

10/ 071,483

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:12:19 ON 02 MAR 2004

=> file reg

FILE 'REGISTRY' ENTERED AT 13:12:28 ON 02 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 MAR 2004 HIGHEST RN 656797-92-1
DICTIONARY FILE UPDATES: 1 MAR 2004 HIGHEST RN 656797-92-1

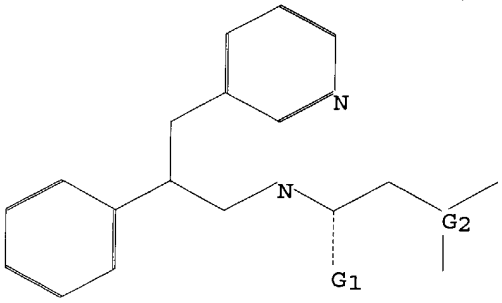
TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

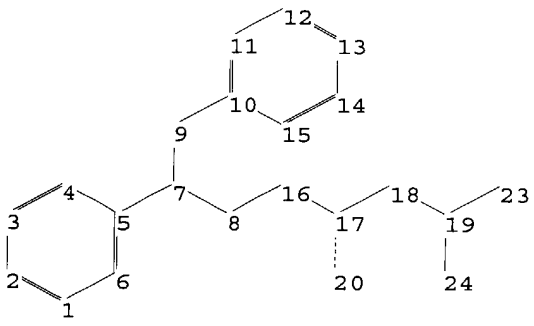
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10071483.str





chain nodes :
9 16 17 18 20
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15
ring/chain nodes :
7 8 19 23 24
chain bonds :
7-9 8-16 9-10 16-17 17-18 17-20 18-19
ring/chain bonds :
5-7 7-8 19-23 19-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
5-7 7-8 8-16 16-17 17-20 18-19 19-23 19-24

10/ 071,483

exact bonds :
7-9 9-10 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 10 :

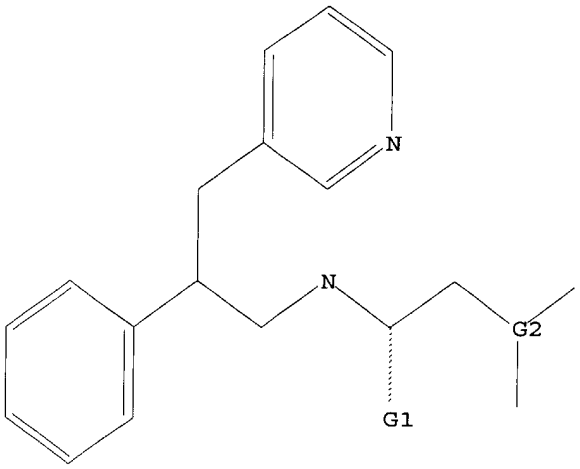
G1:H,O

G2:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 23:CLASS 24:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,O
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 13:12:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 39430 TO ITERATE

100.0% PROCESSED 39430 ITERATIONS 73 ANSWERS
SEARCH TIME: 00.00.01

L2 73 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL

10/ 071,483

	ENTRY	SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 13:12:55 ON 02 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Mar 2004 VOL 140 ISS 10
FILE LAST UPDATED: 1 Mar 2004 (20040301/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 3 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:101127 CAPLUS
DOCUMENT NUMBER: 134:162920
TITLE: Preparation of aromatic amines and amides as ligands for neuropeptide Y Y5 receptors useful in the treatment of obesity and other disorders
INVENTOR(S): Dax, Scott L.; Mcnally, James; Youngman, Mark
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

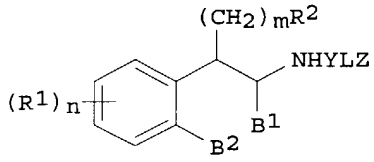
applicant's parent case

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009120	A1	20010208	WO 2000-US20482	20000727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6380224	B1	20020430	US 2000-626856	20000727
EP 1202986	A1	20020508	EP 2000-952233	20000727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

BR 2000012804	A	20020806	BR 2000-12804		20000727
JP 2003506367	T2	20030218	JP 2001-514323		20000727
NO 2002000384	A	20020322	NO 2002-384		20020124
US 2002115715	A1	20020822	US 2002-71483		20020207
ZA 2002001660	A	20030527	ZA 2002-1660		20020227
PRIORITY APPLN. INFO.:			US 1999-146069P	P	19990728
			US 2000-626856	A3	20000727
			WO 2000-US20482	W	20000727

OTHER SOURCE(S): MARPAT 134:162920

GI



I

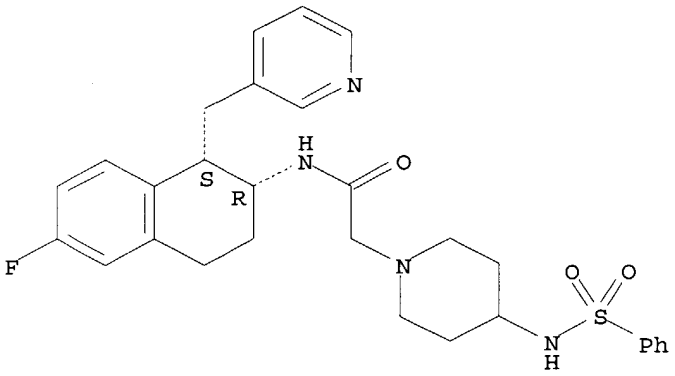
AB Title compds. [I; R1 = H, OH, halo, trifluoroalkyl, cycloalkyl, NO2, amino, (substituted) alkyl, alkoxy, alkylthio, etc.; n = 1, 2; m = 0-3; B1, B2 = H; B1B2 = CH2; R2 = H, OH, halo, alkyl, alkenyl, cycloalkyl, (substituted) Ph, naphthyl, PhO, heteroaryl, heterocyclyl; L = alkylene, alkenylene, alkynylene, cycloalkylene, arylalkylene, α-aminoalkylene, piperidin-4-ylmethylene, piperazine-1-ylmethylene, etc.; Y = CH2, CO; Z = aryl, sulfonamido, arylsulfonamido, arylamido, arylureido, arylacetamido, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl], were prepared Thus, 1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthaleneamine bishydrochloride (preparation given), Nα-tert-butoxycarbonyl-Nω-2-fluorobenzenesulfonyl-L-lysine (preparation given), diisopropylethylamine, and 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate were stirred in DMF to give the amide coupling product as a mixture of diastereomers. The mixture was deprotected with CF3CO2H followed by reduction with BH3.THF to give N-[5-amino-6-[[cis-1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]hexyl]-2-fluorobenzenesulfonamide trihydrochloride. The latter at 3 μM gave 100% inhibition of binding of 125I-PYY binding to human NPY Y5 receptors.

IT **261715-55-3P 261715-56-4P 261715-57-5P**
261715-58-6P 261715-72-4P 324755-30-8P
324755-31-9P 324755-32-0P 324755-33-1P
324755-34-2P 324755-35-3P 324755-36-4P
324755-37-5P 324755-38-6P 324755-39-7P
324755-40-0P 324755-41-1P 324755-42-2P
324755-43-3P 324755-44-4P 324755-45-5P
324755-53-5P 324755-54-6P 324755-55-7P
324755-56-8P 324755-57-9P 324755-58-0P
324755-59-1P 324755-60-4P 324755-61-5P
324755-62-6P 324755-63-7P 324755-64-8P
324755-65-9P 324755-66-0P 324755-67-1P
324755-68-2P 324755-69-3P 324755-70-6P
324755-71-7P 324755-73-9P 324755-74-0P
324755-75-1P 324755-76-2P 324755-77-3P
324755-78-4P 324755-79-5P 324755-92-2P
324755-93-3P 324755-94-4P 324755-95-5P
324755-96-6P 324755-97-7P 324756-00-5P
324756-01-6P 324756-12-9P 324756-32-3P
324756-33-4P 324756-34-5P 324756-36-7P
324756-37-8P 324756-38-9P 324756-39-0P
324756-63-0P 324756-64-1P 324756-71-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic amines and amides as ligands for neuropeptide Y Y5 receptors useful in the treatment of obesity and other disorders)

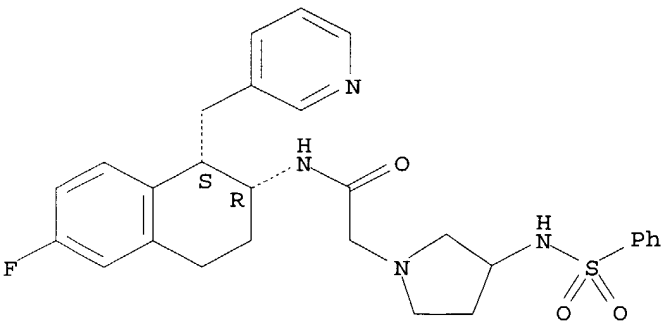
RN 261715-55-3 CAPLUS
CN 1-Piperidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-4-[(phenylsulfonyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



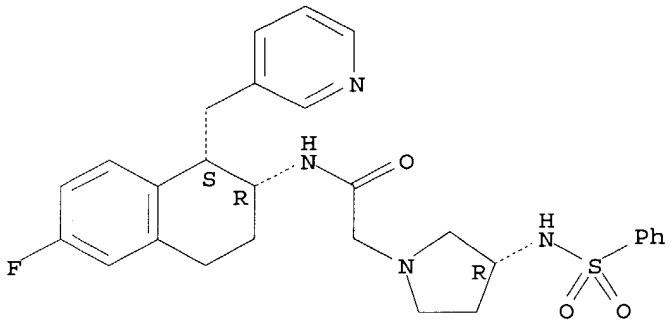
RN 261715-56-4 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



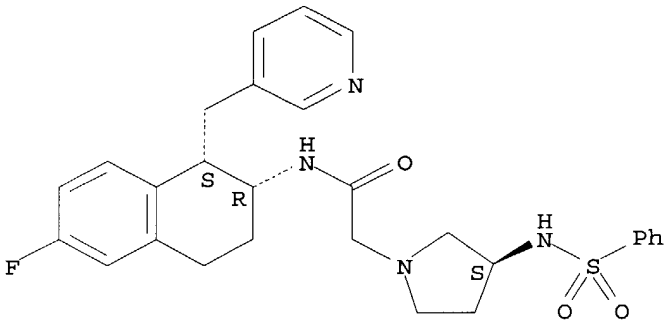
RN 261715-57-5 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



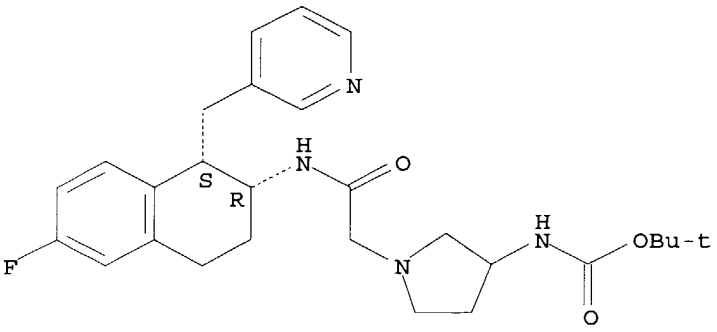
RN 261715-58-6 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 261715-72-4 CAPLUS
CN Carbamic acid, [1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

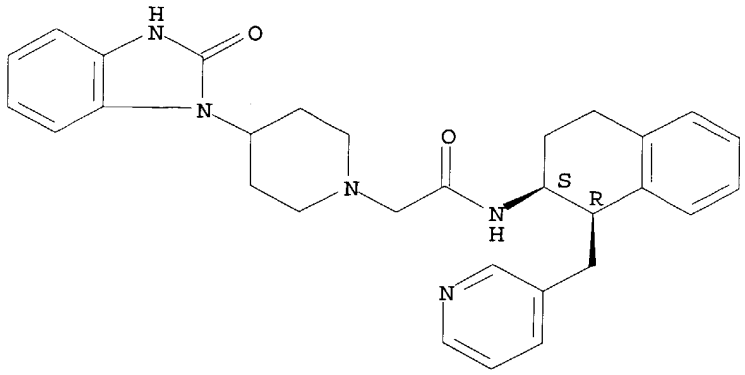


RN 324755-30-8 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel-

10/ 071,483

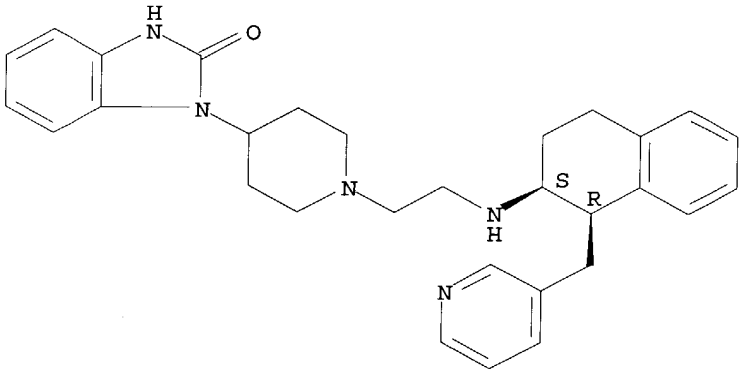
(9CI) (CA INDEX NAME)

Relative stereochemistry.



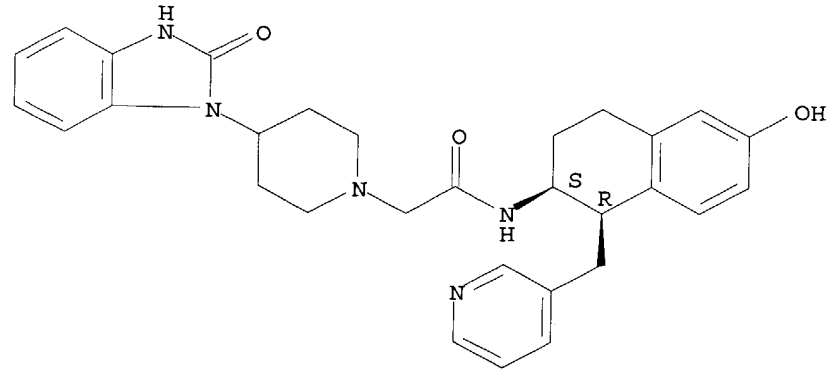
RN 324755-31-9 CAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-[2-[[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]ethyl]-4-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



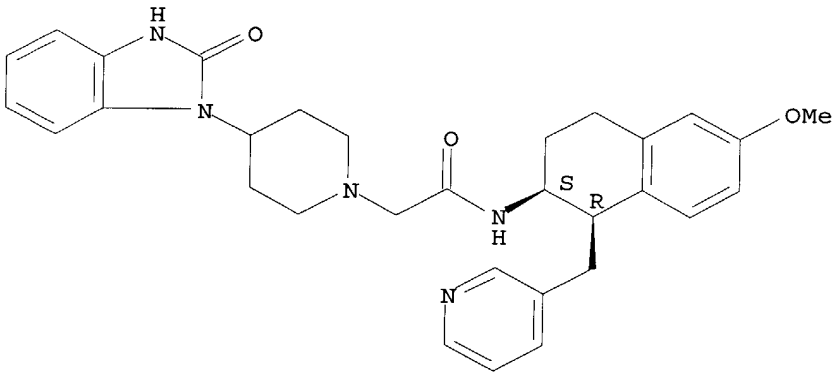
RN 324755-32-0 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2S)-1,2,3,4-tetrahydro-6-hydroxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



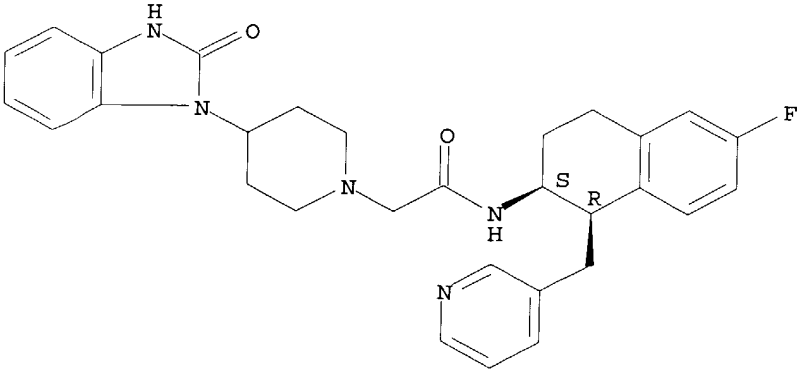
RN 324755-33-1 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2S)-1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 324755-34-2 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

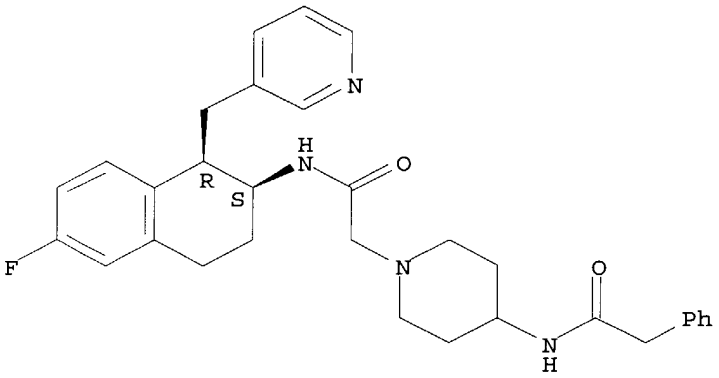
Relative stereochemistry.



10/ 071,483

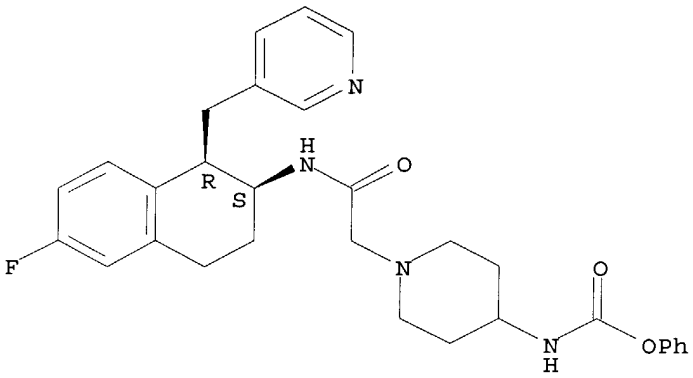
RN 324755-35-3 CAPLUS
CN 1-Piperidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-4-[(phenylacetyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



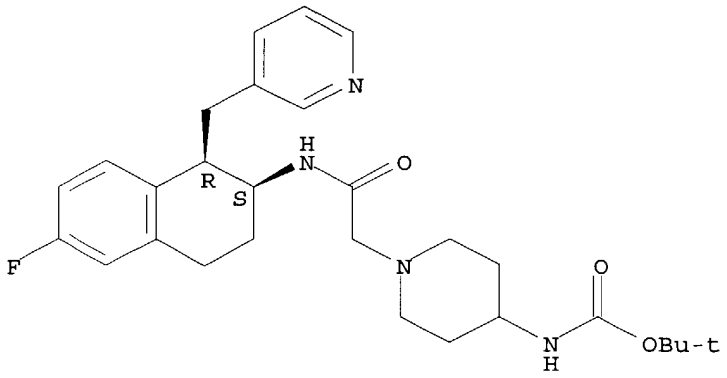
RN 324755-36-4 CAPLUS
CN Carbamic acid, [1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-4-piperidinyl]-, phenyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



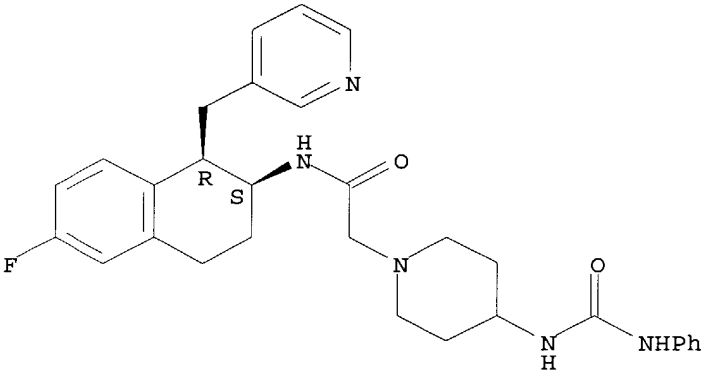
RN 324755-37-5 CAPLUS
CN Carbamic acid, [1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-4-piperidinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



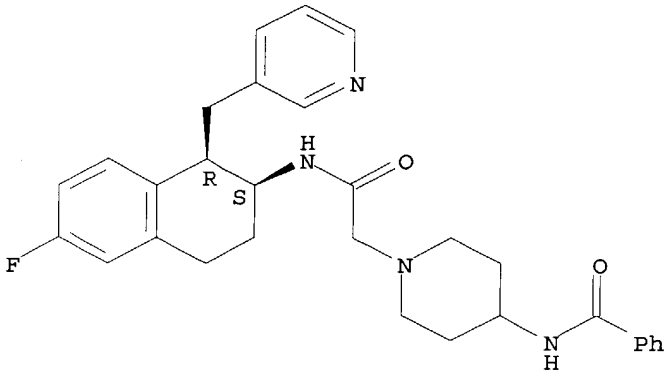
RN 324755-38-6 CAPLUS
CN 1-Piperidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-4-[[(phenylamino)carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

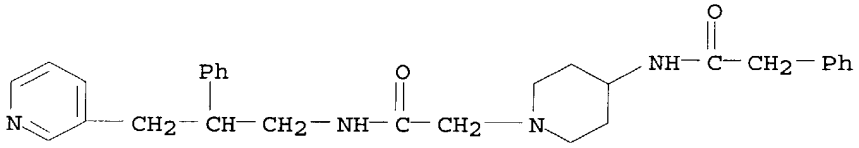


RN 324755-39-7 CAPLUS
CN 1-Piperidineacetamide, 4-(benzoylamino)-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

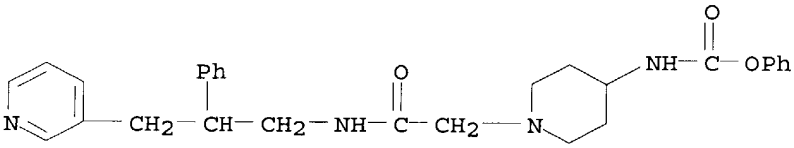
Relative stereochemistry.



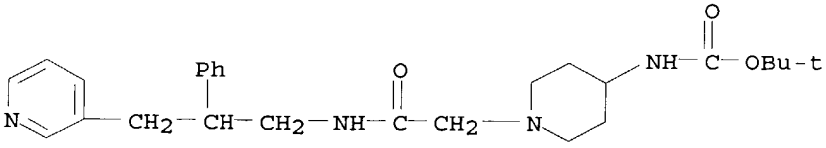
RN 324755-40-0 CAPLUS
CN 1-Piperidineacetamide, 4-[(phenylacetyl)amino]-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



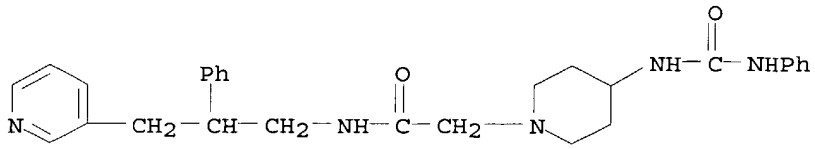
RN 324755-41-1 CAPLUS
CN Carbamic acid, [1-[2-oxo-2-[[2-phenyl-3-(3-pyridinyl)propyl]amino]ethyl]-4-piperidinyl]-, phenyl ester (9CI) (CA INDEX NAME)



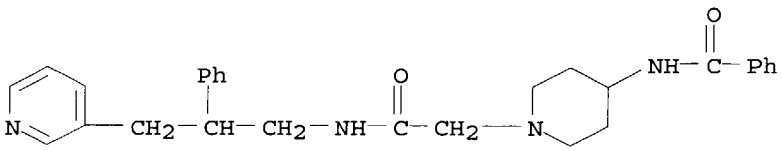
RN 324755-42-2 CAPLUS
CN Carbamic acid, [1-[2-oxo-2-[[2-phenyl-3-(3-pyridinyl)propyl]amino]ethyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



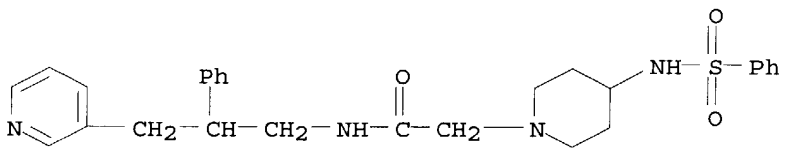
RN 324755-43-3 CAPLUS
CN 1-Piperidineacetamide, 4-[[(phenylamino)carbonyl]amino]-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 324755-44-4 CAPLUS
CN 1-Piperidineacetamide, 4-(benzoylamino)-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

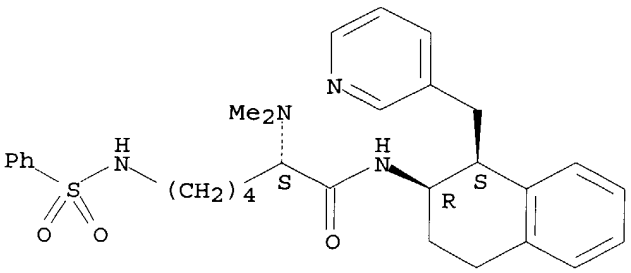


RN 324755-45-5 CAPLUS
CN 1-Piperidineacetamide, N-[2-phenyl-3-(3-pyridinyl)propyl]-4-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



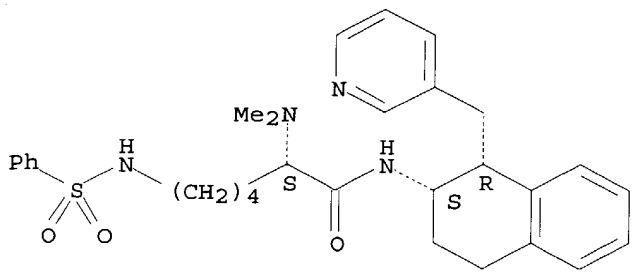
RN 324755-53-5 CAPLUS
CN Hexanamide, 2-(dimethylamino)-6-[(phenylsulfonyl)amino]-N-[(1S,2R)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



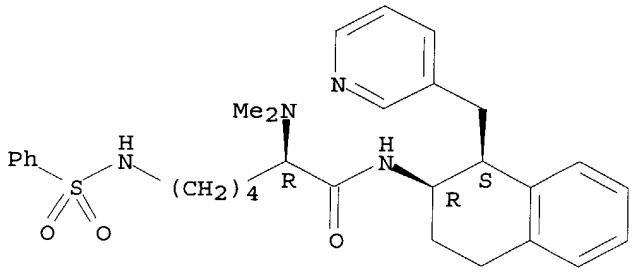
RN 324755-54-6 CAPLUS
CN Hexanamide, 2-(dimethylamino)-6-[(phenylsulfonyl)amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



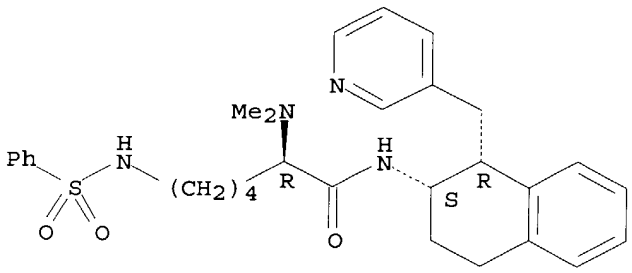
RN 324755-55-7 CAPLUS
CN Hexanamide, 2-(dimethylamino)-6-[(phenylsulfonyl)amino]-N-[(1S,2R)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



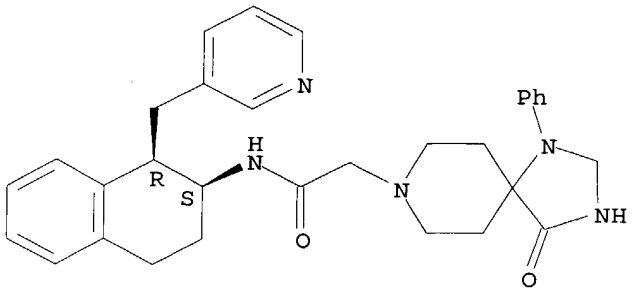
RN 324755-56-8 CAPLUS
CN Hexanamide, 2-(dimethylamino)-6-[(phenylsulfonyl)amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



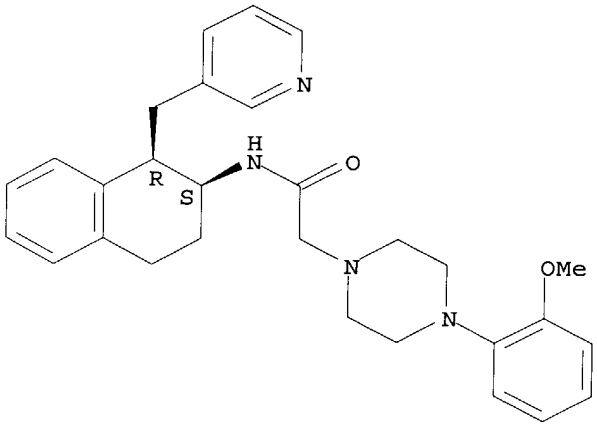
RN 324755-57-9 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-8-acetamide, 4-oxo-1-phenyl-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



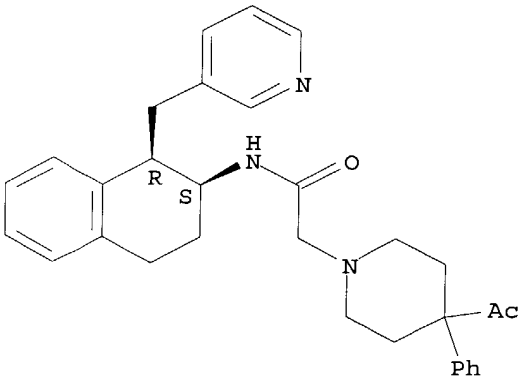
RN 324755-58-0 CAPLUS
CN 1-Piperazineacetamide, 4-(2-methoxyphenyl)-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 324755-59-1 CAPLUS
CN 1-Piperidineacetamide, 4-acetyl-4-phenyl-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

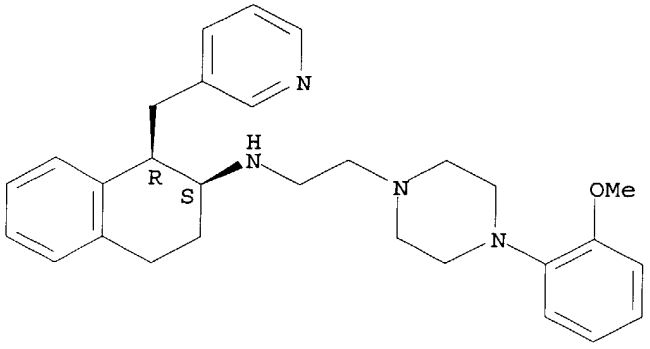


RN 324755-60-4 CAPLUS
CN 1-Piperazineethanamine, 4-(2-methoxyphenyl)-N-[(1R,2S)-1,2,3,4-tetrahydro-

10/ 071,483

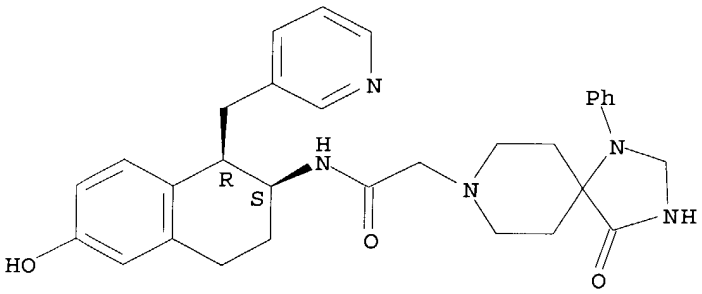
1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

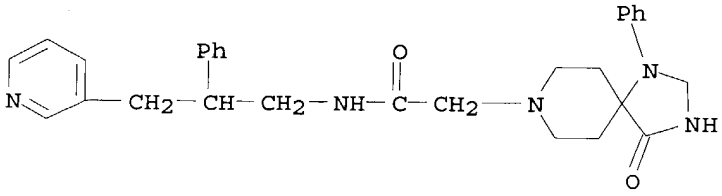


RN 324755-61-5 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-8-acetamide, 4-oxo-1-phenyl-N-[(1R,2S)-1,2,3,4-tetrahydro-6-hydroxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

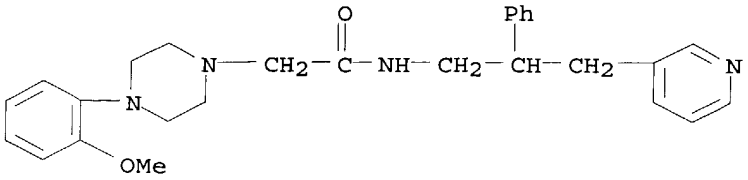
Relative stereochemistry.



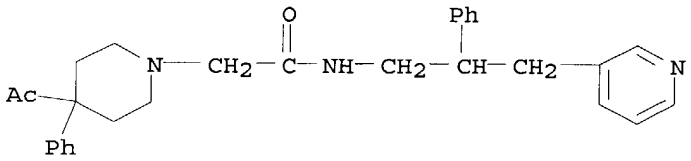
RN 324755-62-6 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-8-acetamide, 4-oxo-1-phenyl-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



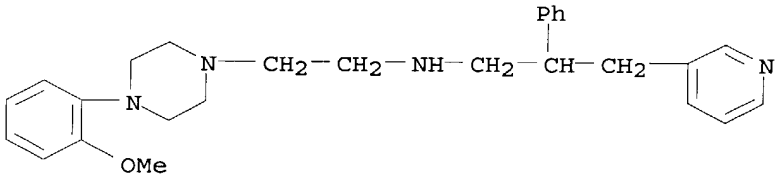
RN 324755-63-7 CAPLUS
CN 1-Piperazineacetamide, 4-(2-methoxyphenyl)-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



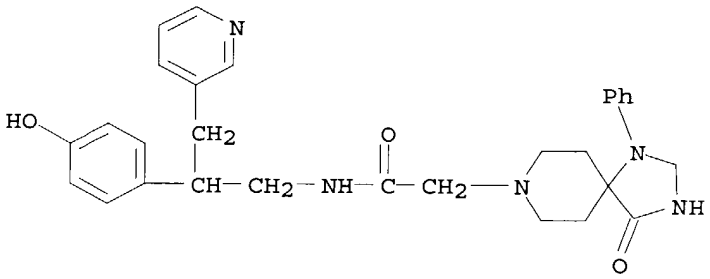
RN 324755-64-8 CAPLUS
CN 1-Piperidineacetamide, 4-acetyl-4-phenyl-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 324755-65-9 CAPLUS
CN 1-Piperazineethanamine, 4-(2-methoxyphenyl)-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

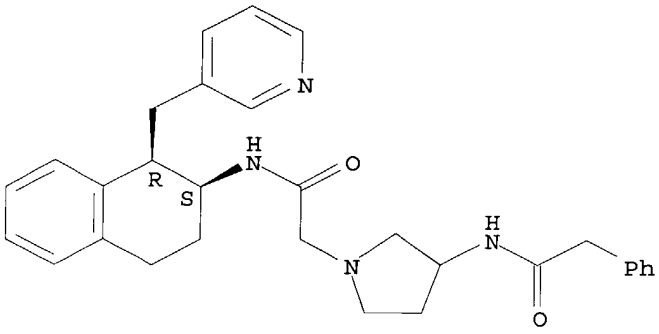


RN 324755-66-0 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-8-acetamide, N-[2-(4-hydroxyphenyl)-3-(3-pyridinyl)propyl]-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



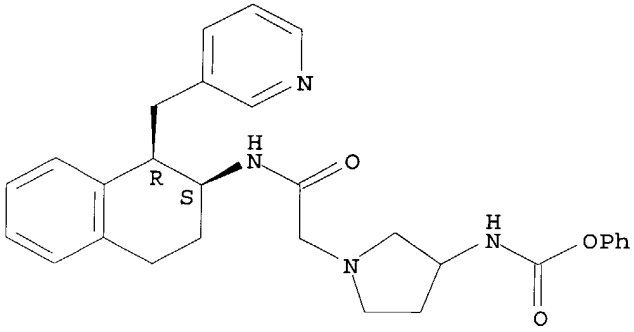
RN 324755-67-1 CAPLUS
CN 1-Pyrrolidineacetamide, 3-[(phenylacetyl)amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



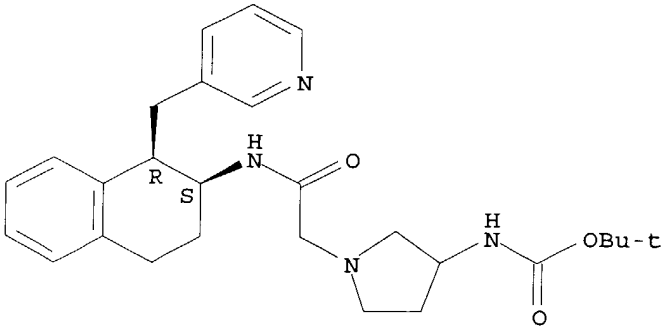
RN 324755-68-2 CAPLUS
CN Carbamic acid, [1-[2-oxo-2-[[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]ethyl]-3-pyrrolidinyl]-, phenyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 324755-69-3 CAPLUS
CN Carbamic acid, [1-[2-oxo-2-[[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]ethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

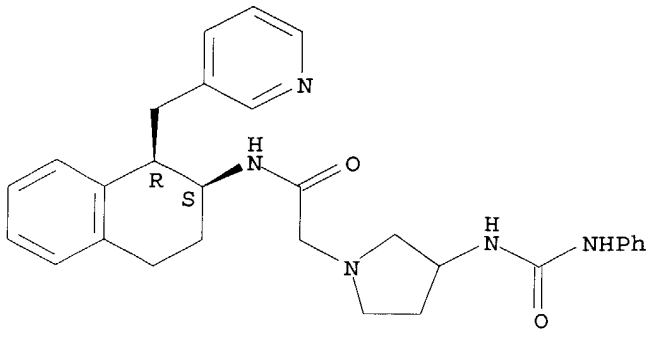
Relative stereochemistry.



RN 324755-70-6 CAPLUS
CN 1-Pyrrolidineacetamide, 3-[[(phenylamino)carbonyl]amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA

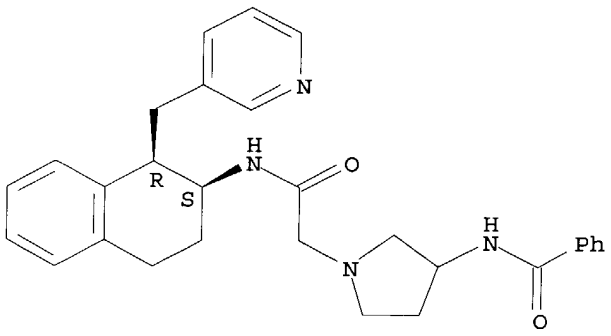
INDEX NAME)

Relative stereochemistry.



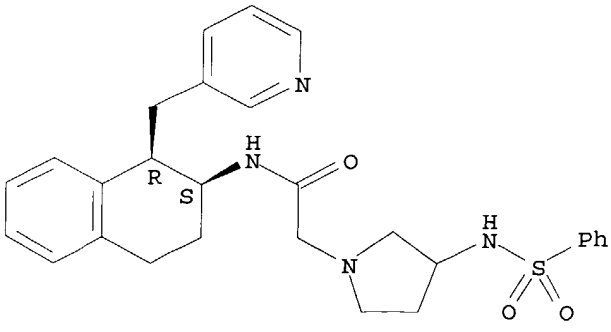
RN 324755-71-7 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(benzoylamino)-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 324755-73-9 CAPLUS
CN 1-Pyrrolidineacetamide, 3-[(phenylsulfonyl)amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

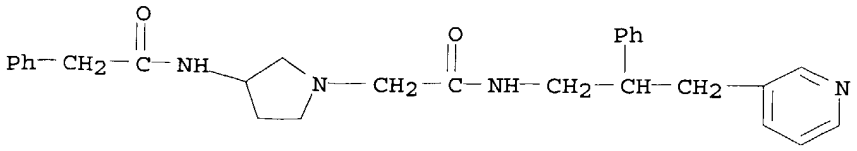
Relative stereochemistry.



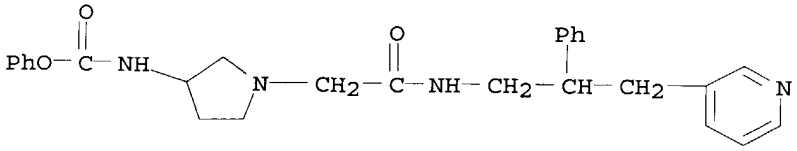
RN 324755-74-0 CAPLUS

10/ 071,483

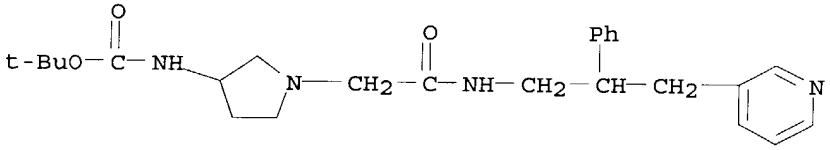
CN 1-Pyrrolidineacetamide, 3-[(phenylacetyl)amino]-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



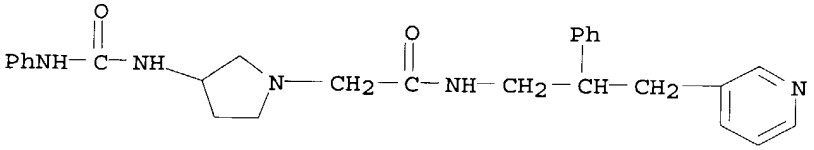
RN 324755-75-1 CAPLUS
CN Carbamic acid, [1-[2-oxo-2-[[2-phenyl-3-(3-pyridinyl)propyl]amino]ethyl]-3-pyrrolidinyl]-, phenyl ester (9CI) (CA INDEX NAME)



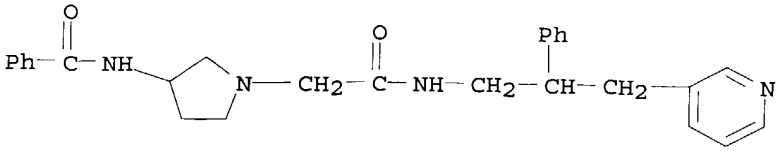
RN 324755-76-2 CAPLUS
CN Carbamic acid, [1-[2-oxo-2-[[2-phenyl-3-(3-pyridinyl)propyl]amino]ethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



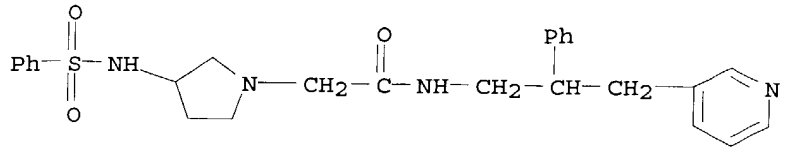
RN 324755-77-3 CAPLUS
CN 1-Pyrrolidineacetamide, 3-[[(phenylamino)carbonyl]amino]-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 324755-78-4 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(benzoylamino)-N-[2-phenyl-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 324755-79-5 CAPLUS
CN 1-Pyrrolidineacetamide, N-[2-phenyl-3-(3-pyridinyl)propyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

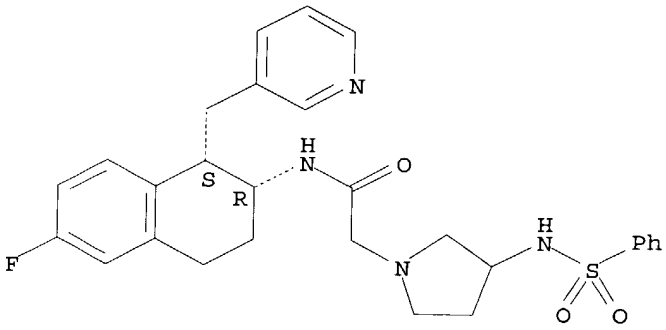


RN 324755-92-2 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

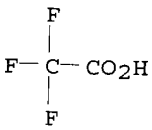
CRN 261715-56-4
CMF C28 H31 F N4 O3 S

Relative stereochemistry.



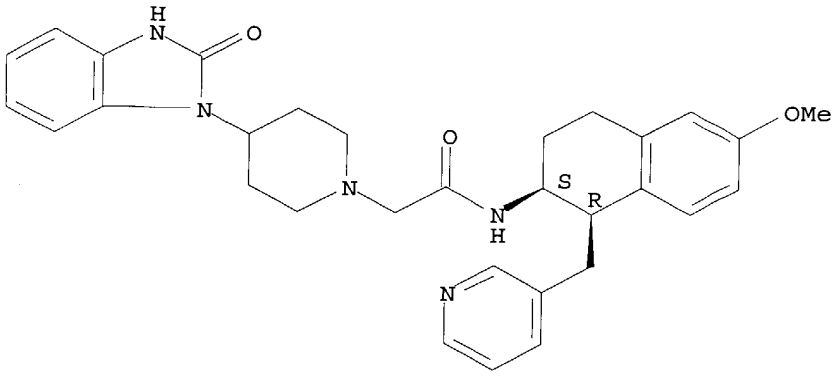
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 324755-93-3 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2S)-1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

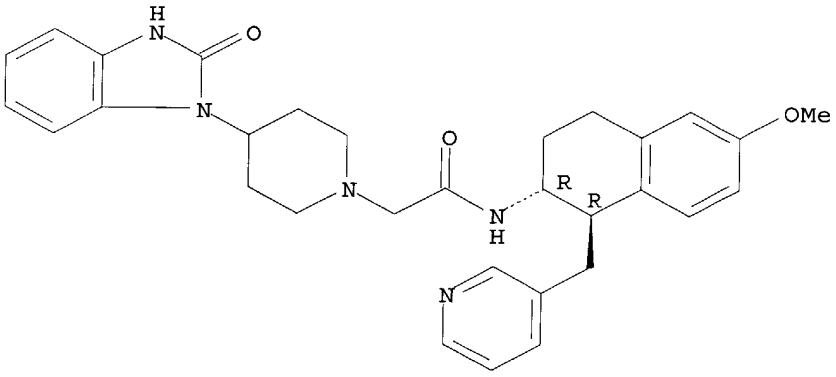
Relative stereochemistry.



●2 HCl

RN 324755-94-4 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2R)-1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

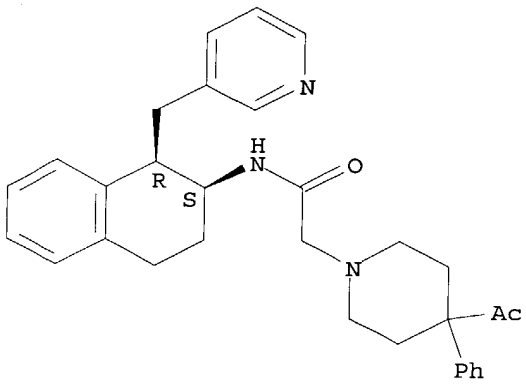
Relative stereochemistry.



●2 HCl

RN 324755-95-5 CAPLUS
CN 1-Piperidineacetamide, 4-acetyl-4-phenyl-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

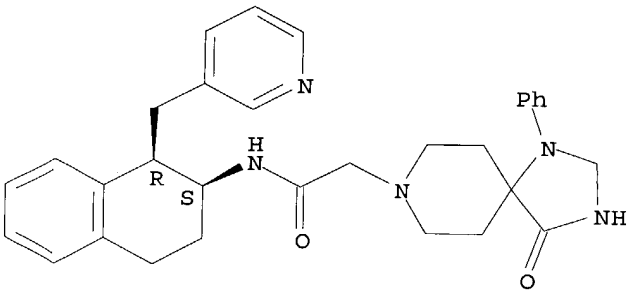
Relative stereochemistry.



●2 HCl

RN 324755-96-6 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-8-acetamide, 4-oxo-1-phenyl-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

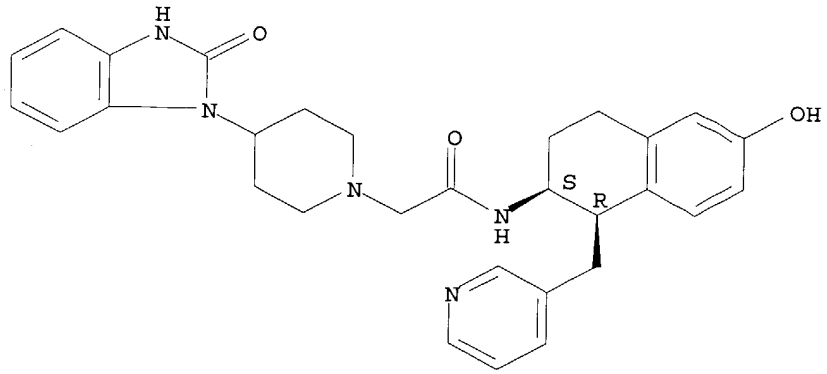
Relative stereochemistry.



●2 HCl

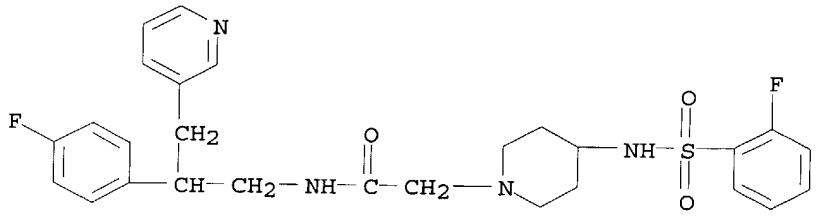
RN 324755-97-7 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2S)-1,2,3,4-tetrahydro-6-hydroxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



●2 HCl

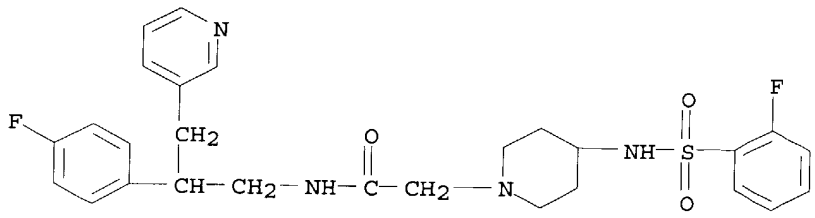
RN 324756-00-5 CAPLUS
CN 1-Piperidineacetamide, N-[2-(4-fluorophenyl)-3-(3-pyridinyl)propyl]-4-[[(2-fluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 324756-01-6 CAPLUS
CN 1-Piperidineacetamide, N-[2-(4-fluorophenyl)-3-(3-pyridinyl)propyl]-4-[[(2-fluorophenyl)sulfonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

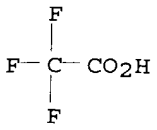
CM 1

CRN 324756-00-5
CMF C27 H30 F2 N4 O3 S



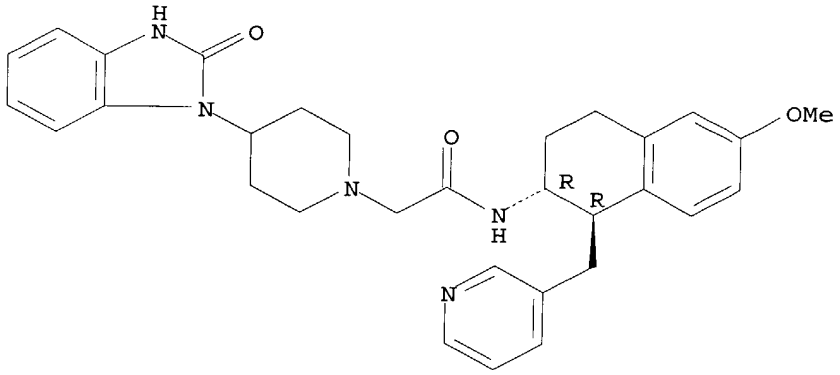
CM 2

CRN 76-05-1
CMF C2 H F3 O2



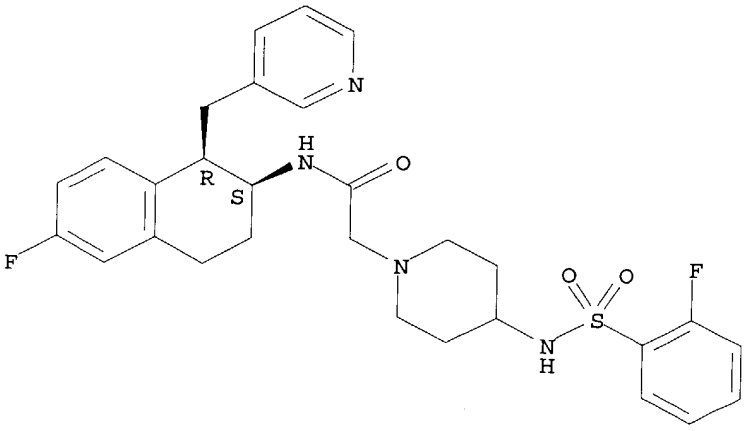
RN 324756-12-9 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R,2R)-1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



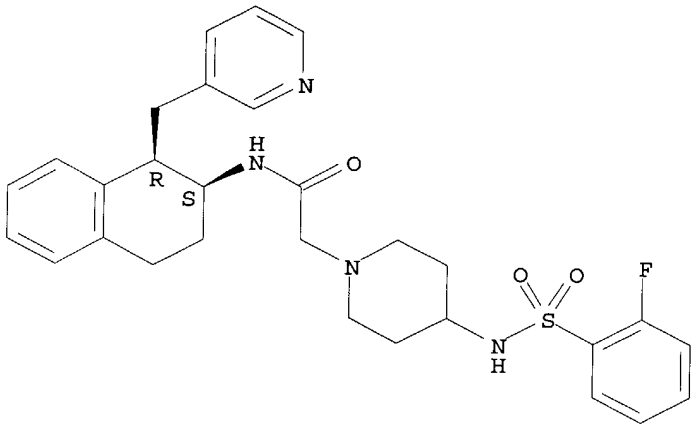
RN 324756-32-3 CAPLUS
CN 1-Piperidineacetamide, 4-[[(2-fluorophenyl)sulfonyl]amino]-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



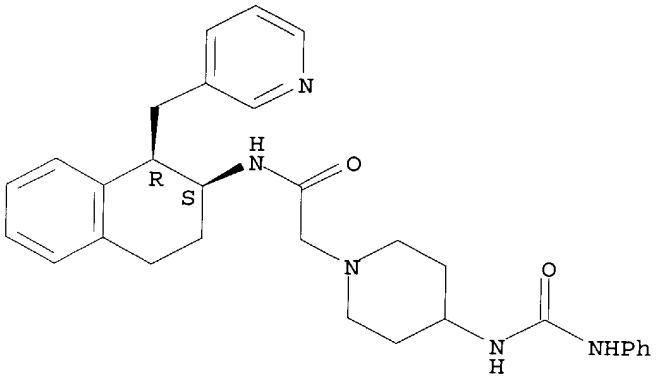
RN 324756-33-4 CAPLUS
CN 1-Piperidineacetamide, 4-[[(2-fluorophenyl)sulfonyl]amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



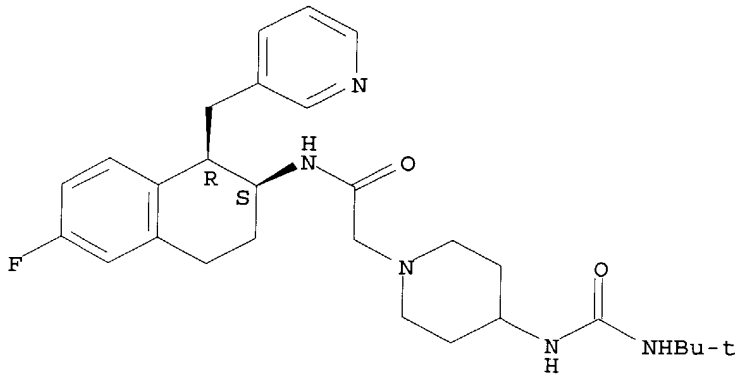
RN 324756-34-5 CAPLUS
CN 1-Piperidineacetamide, 4-[[(phenylamino)carbonyl]amino]-N-[(1R,2S)-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



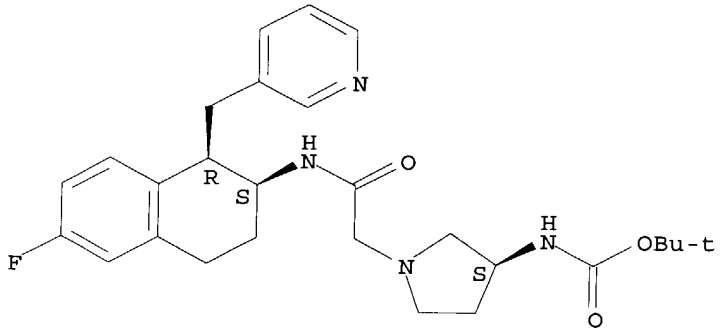
RN 324756-36-7 CAPLUS
CN 1-Piperidineacetamide, 4-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



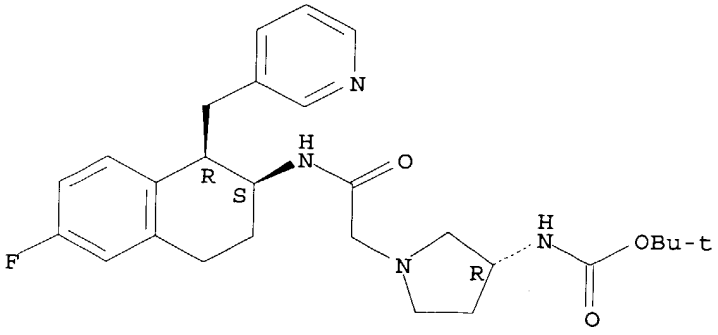
RN 324756-37-8 CAPLUS
CN Carbamic acid, [(3S)-1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 324756-38-9 CAPLUS
CN Carbamic acid, [(3R)-1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

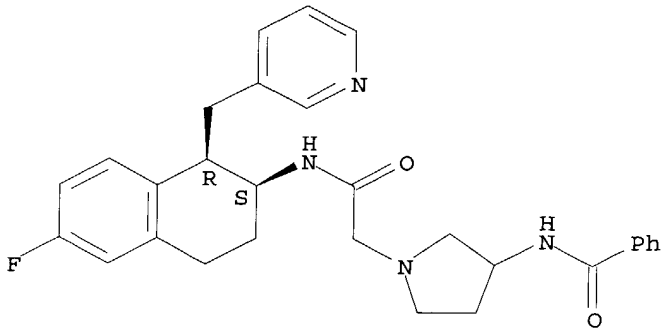


RN 324756-39-0 CAPLUS

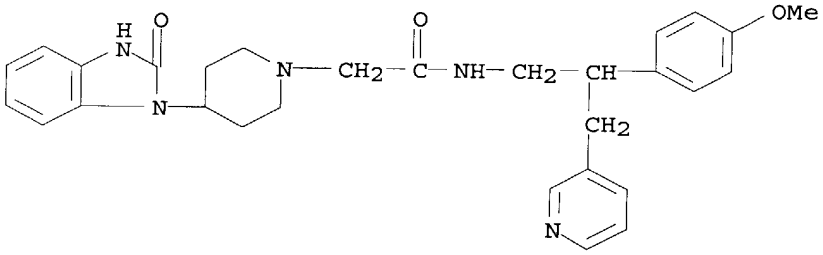
10/ 071,483

CN 1-Pyrrolidineacetamide, 3-(benzoylamino)-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

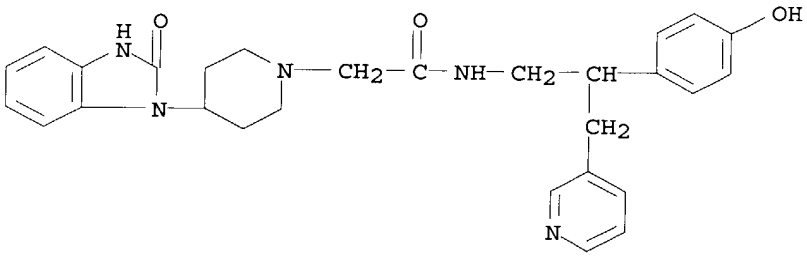
Relative stereochemistry.



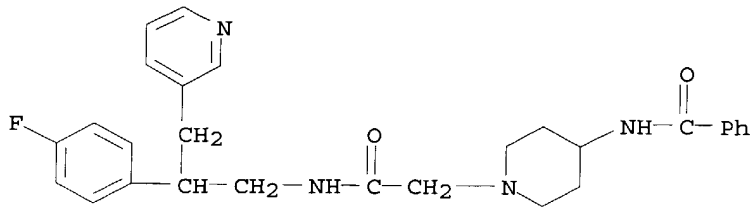
RN 324756-63-0 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[2-(4-methoxyphenyl)-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 324756-64-1 CAPLUS
CN 1-Piperidineacetamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[2-(4-hydroxyphenyl)-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 324756-71-0 CAPLUS
CN 1-Piperidineacetamide, 4-(benzoylamino)-N-[2-(4-fluorophenyl)-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



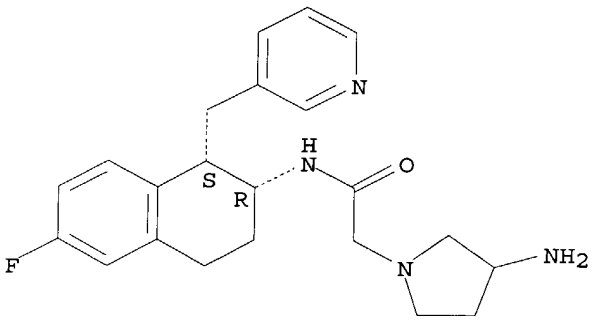
IT **261715-74-6P 324756-06-1P 324756-08-3P**
324756-78-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aromatic amines and amides as ligands for neuropeptide Y Y5 receptors useful in the treatment of obesity and other disorders)

RN 261715-74-6 CAPLUS
CN 1-Pyrrolidineacetamide, 3-amino-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

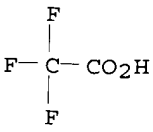
CRN 261715-73-5
CMF C22 H27 F N4 O

Relative stereochemistry.

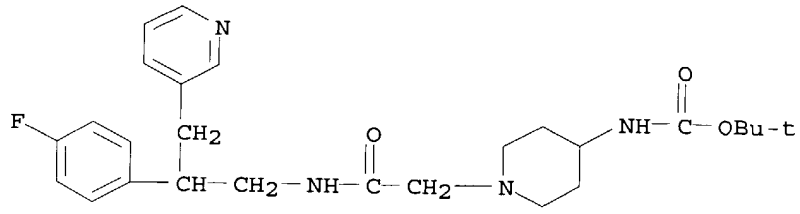


CM 2

CRN 76-05-1
CMF C2 H F3 O2



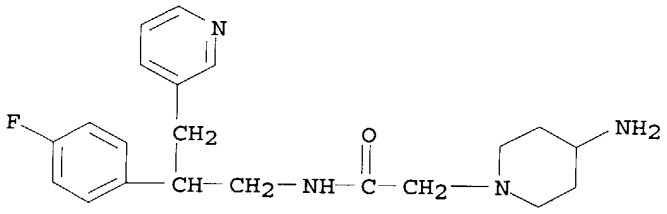
RN 324756-06-1 CAPLUS
CN Carbamic acid, [1-[2-[[2-(4-fluorophenyl)-3-(3-pyridinyl)propyl]amino]-2-oxoethyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 324756-08-3 CAPLUS
CN 1-Piperidineacetamide, 4-amino-N-[2-(4-fluorophenyl)-3-(3-pyridinyl)propyl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

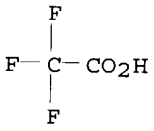
CM 1

CRN 324756-07-2
CMF C21 H27 F N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

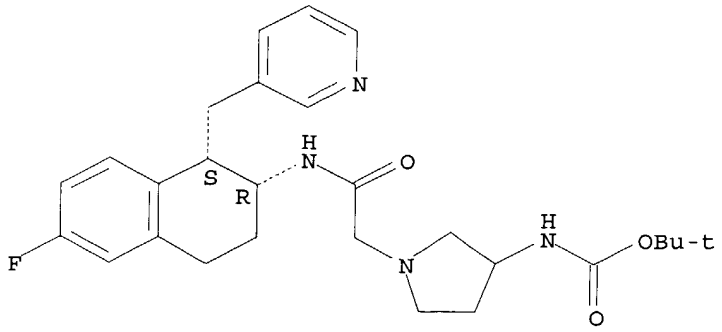


RN 324756-78-7 CAPLUS
CN Carbamic acid, [1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

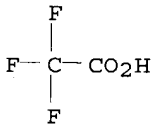
CRN 261715-72-4
CMF C27 H35 F N4 O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

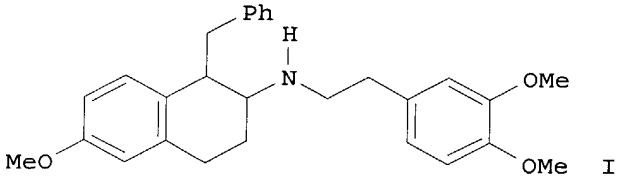
L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:241159 CAPLUS
DOCUMENT NUMBER: 132:278996
TITLE: Preparation of N-aralkyl-2-tetralinamines as neuropeptide Y Y5 receptor ligands
INVENTOR(S): Dax, Scott L.; Lovenberg, Timothy W.; Baxter, Ellen W.; Carson, John R.; Ludovici, Donald W.; Youngman, Mark A.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020376	A1	20000413	WO 1999-US23259	19991006
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346363	AA	20000413	CA 1999-2346363	19991006
AU 9962923	A1	20000426	AU 1999-62923	19991006

AU 763886	B2	20030731			
US 6201025	B1	20010313	US 1999-413292	19991006	
EP 1119543	A1	20010801	EP 1999-950218	19991006	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9914360	A	20011120	BR 1999-14360	19991006	
JP 2002526521	T2	20020820	JP 2000-574494	19991006	
NO 2001001721	A	20010605	NO 2001-1721	20010405	
PRIORITY APPLN. INFO.:			US 1998-103446P P	19981007	
			WO 1999-US23259 W	19991006	

OTHER SOURCE(S): MARPAT 132:278996

GI



I

AB R2CH2ZNHZ3R3 [I; R2 = H, halo, alkyl, (hetero)aryl, etc.; R3 = alkyl, alkoxyalkoxy, (hetero)aryl, etc.; Z = (un)substituted 1,2,3,4-tetrahydro-1,2-naphthylene; Z3 = alk(en)ylene, alkynylene, alkylenecycloalkylene] were prepared Thus, the pyrrolidine enamine of 6-methoxy-2-tetralone (preparation given) was alkylated by PhCH2Br and the product reductively aminated by H2NCH2CH2C6H3(OMe)2-3,4 to give title compound cis-II. Data for biol. activity of I were given.

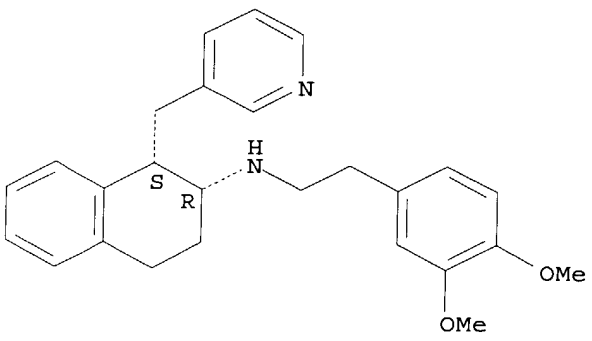
IT **263714-23-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aralkyl-2-tetralinamines as neuropeptide Y Y5 receptor ligands)

RN 263714-23-4 CAPLUS

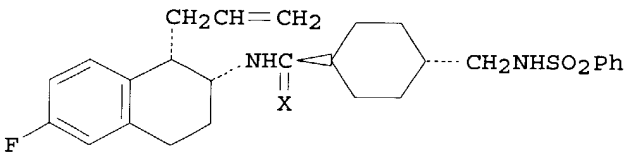
CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:44072 CAPLUS
DOCUMENT NUMBER: 132:236840
TITLE: α-Substituted N-(Sulfonamido)alkyl-β-aminotetralins: Potent and Selective Neuropeptide Y Y5 Receptor Antagonists
AUTHOR(S): Youngman, Mark A.; McNally, James J.; Lovenberg, Timothy W.; Reitz, Allen B.; Willard, Nicole M.; Nepomuceno, Diane H.; Wilson, Sandy J.; Crooke, Jeffrey J.; Rosenthal, Daniel; Vaidya, Anil H.; Dax, Scott L.
CORPORATE SOURCE: Drug Discovery The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(3), 346-350
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



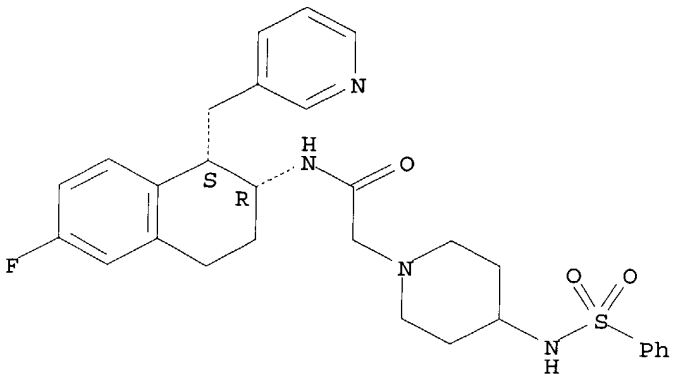
I

AB Title compds. such as I (X = H2) were prepared from β-aminotetralins via the amides, e.g., I (X = O). The products were shown to be potent and selective antagonists of the human Y5 receptor and may be useful for treating feeding disorders and obesity.

IT **261715-55-3P 261715-56-4P 261715-57-5P 261715-58-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(α-substituted N-(sulfonamido)alkyl-β-aminotetralins as neuropeptide Y5 receptor antagonists)

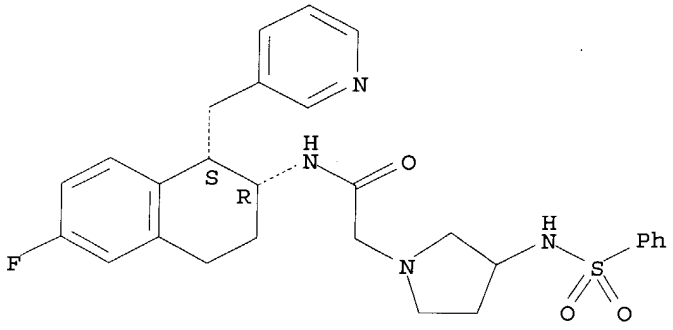
RN 261715-55-3 CAPLUS
CN 1-Piperidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-4-[(phenylsulfonyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



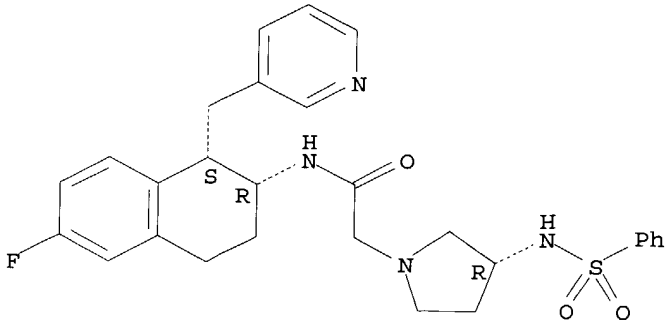
RN 261715-56-4 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 261715-57-5 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

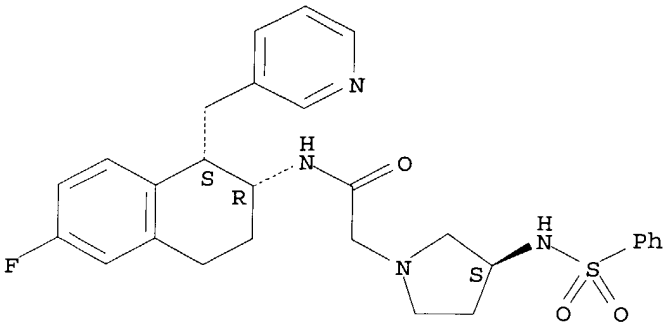


RN 261715-58-6 CAPLUS

10/ 071,483

CN 1-Pyrrolidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-3-[(phenylsulfonyl)amino]-, (3R)-rel- (9CI) (CA INDEX NAME)

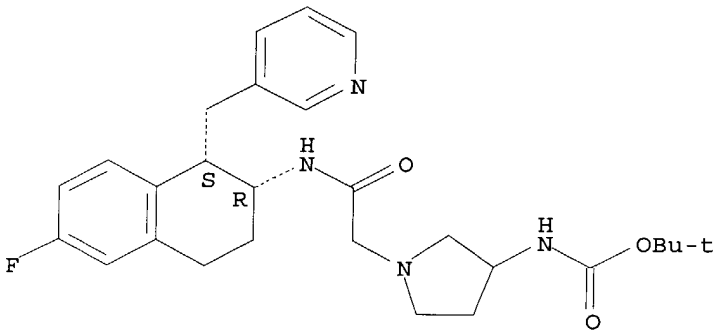
Relative stereochemistry.



IT **261715-72-4P 261715-74-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(α-substituted N-(sulfonamido)alkyl-β-aminotetralins as neuropeptide Y5 receptor antagonists)

RN 261715-72-4 CAPLUS
CN Carbamic acid, [1-[2-[[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]-2-oxoethyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 261715-74-6 CAPLUS
CN 1-Pyrrolidineacetamide, 3-amino-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-, rel-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 261715-73-5
CMF C22 H27 F N4 O

Relative stereochemistry.

10/ 071,483

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	DEC 08	INPADOC: Legal Status data reloaded
NEWS 5	SEP 29	DISSABS now available on STN
NEWS 6	OCT 10	PCTFULL: Two new display fields added
NEWS 7	OCT 21	BIOSIS file reloaded and enhanced
NEWS 8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9	NOV 24	MSDS-CCOHS file reloaded
NEWS 10	DEC 08	CABA reloaded with left truncation
NEWS 11	DEC 08	IMS file names changed
NEWS 12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14	DEC 17	DGENE: Two new display fields added
NEWS 15	DEC 18	BIOTECHNO no longer updated
NEWS 16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes

NEWS EXPRESS	DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

10/ 071,483

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:16:20 ON 02 MAR 2004

=> file reg

FILE 'REGISTRY' ENTERED AT 13:16:42 ON 02 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 MAR 2004 HIGHEST RN 656797-92-1
DICTIONARY FILE UPDATES: 1 MAR 2004 HIGHEST RN 656797-92-1

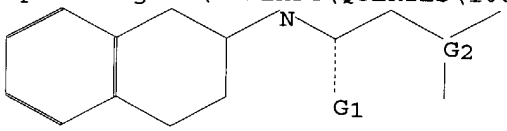
TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

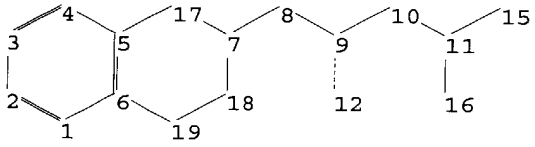
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10071483a.str





chain nodes :
8 9 12
ring nodes :
1 2 3 4 5 6 7 17 18 19
ring/chain nodes :
10 11 15 16
chain bonds :
7-8 8-9 9-10 9-12
ring/chain bonds :
10-11 11-15 11-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-17 6-19 7-17 7-18 18-19
exact/norm bonds :
7-8 8-9 9-12 10-11 11-15 11-16
exact bonds :
5-17 6-19 7-17 7-18 9-10 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:H,O

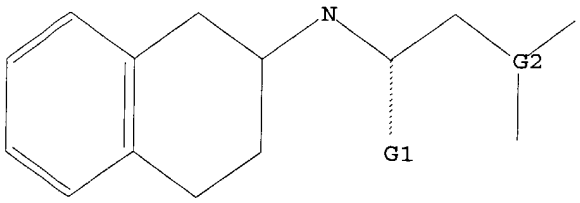
10/ 071,483

G2:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,O
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 13:17:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 58527 TO ITERATE

100.0% PROCESSED 58527 ITERATIONS 1517 ANSWERS
SEARCH TIME: 00.00.01

L2 1517 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 13:17:07 ON 02 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Mar 2004 VOL 140 ISS 10

10/ 071,483

FILE LAST UPDATED: 1 Mar 2004 (20040301/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 388 L2

=> s l3 (cyclohexyl or piperidin? or piperazin?)
MISSING OPERATOR 'L3 (CYCLOHEXYL'
The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s l3 and (cyclohexyl or piperidin? or piperazin?)
53573 CYCLOHEXYL
85611 PIPERIDIN?
39028 PIPERAZIN?
L4 54 L3 AND (CYCLOHEXYL OR PIPERIDIN? OR PIPERAZIN?)

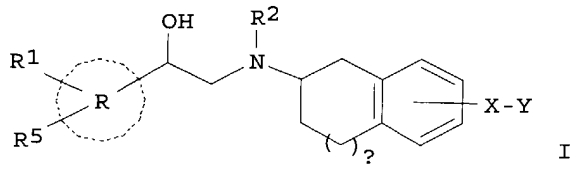
=> d l4 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 54 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:737720 CAPLUS
DOCUMENT NUMBER: 139:261169
TITLE: Preparation of aryl and/or pyridinyl aminoalcohol derivatives as selective β3 adrenergic receptor agonists useful against pollakiuria, urinary incontinence and other conditions
INVENTOR(S): Hattori, Kouji; Tomishima, Yasuyo; Nakajima, Yutaka; Imanishi, Masashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

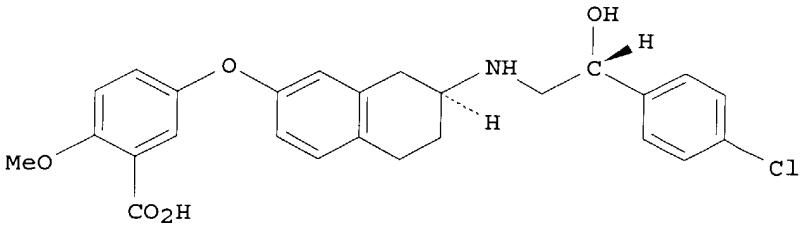
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076397	A1	20030918	WO 2003-JP2821	20030310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2002-1104 A 20020314
AU 2003-900127 A 20030110
OTHER SOURCE(S): MARPAT 139:261169
GI



I



II

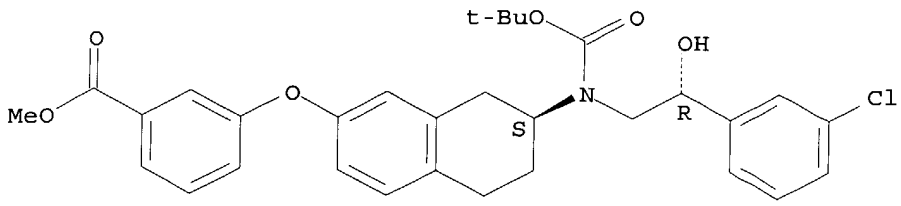
AB The present invention relates to aminoalcs. (shown as I; e.g. 5-[[(7S)-7-[[(2R)-2-(4-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methoxybenzoic acid hydrochloride (base shown as II); R = Ph, pyridinyl; R1 and R5 are each independently H, halogen, lower alkyl, etc.; R2 is H or an amino protective group; X is a bond, -O-O, -O-CH2-, etc.; Y is substituted Ph, thienyl, pyridinyl, pyrazinyl, **piperidinyl**; and n is 0, 1 or 2) or a salt thereof. Compds. I and pharmaceutically acceptable salts thereof are useful for the prophylactic and/or the therapeutic treatment of pollakiuria or urinary incontinence. The effect of II on the increase in intravesical pressure induced by carbachol in anesthetized dog was found to be a 54 % inhibition at 0.032 mg/kg. Forty-six example prepns. of intermediates and 81 of I are included. For example, 3-[[(7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]benzoic acid Me ester (240 mg) was prepared from (7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (400 mg), Et3N (1 mL), (3-methoxycarbonylphenyl)boronic acid (400 mg) and Cu(OAc)2 (400 mg) in CH2Cl2 (10 mL). The reactant (7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (12 g) was prepared from (7S)-7-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (10 g) and di-tert-Bu dicarbonate (8 g) in THF (100 mL).

IT **603121-98-8P**, 3-[[(7S)-7-[N-[(2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]benzoic acid methyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aryl and/or pyridinyl aminoalc. derivs. as selective β3 adrenergic receptor agonists useful against pollakiuria, urinary incontinence and other conditions)

RN 603121-98-8 CAPLUS

CN Benzoic acid, 3-[[(7S)-7-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl][(1,1-dimethylethoxy)carbonyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

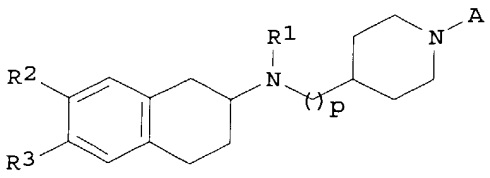


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

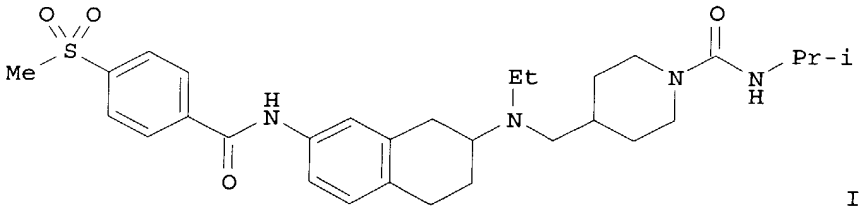
L4 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:454290 CAPLUS
DOCUMENT NUMBER: 139:36440
TITLE: Preparation of 4-**piperidinyl** alkylamine derivatives as muscarinic receptor antagonists
INVENTOR(S): Brotherton-Pleiss, Christine E.; Madera, Ann Marie; Weikert, Robert James
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048124	A1	20030612	WO 2002-EP13220	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003162780	A1	20030828	US 2002-308081	20021202
US 6627644	B2	20030930		

PRIORITY APPLN. INFO.: US 2001-336795P P 20011203
OTHER SOURCE(S): MARPAT 139:36440
GI



I



II

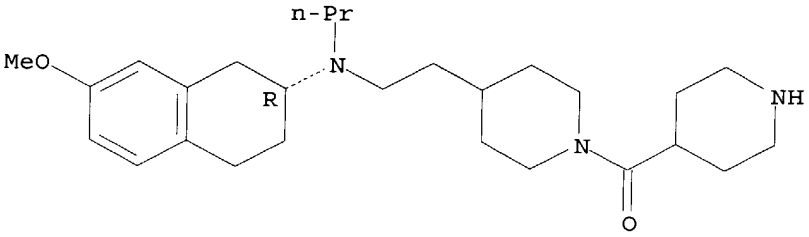
AB Title compds. I [A = acyl, sulfonyl; R1 = alkyl, allyl; R2-3 = H, halo, (hetero)aryl, etc.; p = 1-2] are prepared For instance, 7-nitro-3,4-dihydro-1H-naphthalen-2-one is used to alkylate 4-(aminomethyl)**piperidine**-1-carboxylic acid tert-Bu ester (1,2-dichloroethane, NaHB(OAc)3), the product alkylated with acetaldehyde (1,2-dichloroethane, NaHB(OAc)3), reduced (EtOH, H2-Pd/C) to the corresponding aniline, acylated with 4-(methanesulfonyl)benzoyl chloride (EtOAc, K2CO3), deprotected (CH2Cl2, TFA) and treated with isopropylisocyanate (CH2Cl2) to give II. Muscarinic M2/M3 inhibitory activities are determined for selected compds. I are useful for the treatment of genitourinary disorders.

IT **540495-00-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-**piperidinyl** alkylamine derivs. as muscarinic receptor antagonists)

RN 540495-00-9 CAPLUS

CN 4-Piperidineethanamine, 1-(4-piperidinylcarbonyl)-N-propyl-N-[(2R)-1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:356248 CAPLUS

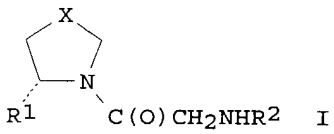
10/ 071,483

DOCUMENT NUMBER: 138:368754
TITLE: Preparation of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Boehringer, Markus; Hunziker, Daniel; Kuehne, Holger; Loeffler, Bernd Michael; Sarabu, Ramakanth; Wessel, Hans Peter
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037327	A1	20030508	WO 2002-EP11711	20021018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003130281	A1	20030710	US 2002-269519	20021014

PRIORITY APPLN. INFO.: EP 2001-125338 A 20011026
EP 2002-18227 A 20020821

OTHER SOURCE(S): MARPAT 138:368754
GI



I

AB The present invention relates to N-aminoacetyl-substituted pyrrolidines related compds. (shown as I; variables defined below; e.g. (2S)-1-[[1,2,3,4-Tetrahydronaphthalen-1-ylamino]acetyl]pyrrolidine-2-carbonitrile) and pharmaceutically acceptable salts thereof. The compds. are useful for the treatment and/or prophylaxis of diseases which are associated with dipeptidyl peptidase IV (DPP IV), such as diabetes, particularly noninsulin dependent diabetes mellitus, and impaired glucose tolerance. For I: R1 is H or CN; R2 is C(R31R4)(CH2)nR5, C(R3,R4)CH2NHR6, C(R3,R4)CH2OR7, or (un)substituted tetralinyl, tetrahydroquinolinyl or tetrahydroisoquinolinyl; R3 is H, lower-alkyl, benzyl, hydroxybenzyl or indolylmethylene; R4 is H or lower-alkyl, or R3 and R4 are bonded to each other to form a ring together with the C atom to which they are attached and -R3-R4- is -(CH2)2-5. R5 is (un)substituted 5-membered heteroaryl, bi- or tricyclic heterocyclyl, or aminophenyl; R6 is (un)substituted pyridinyl, pyrimidinyl, 5-membered heteroaryl or bi- or tricyclic heterocyclyl; R7 is (un)substituted aminophenyl, naphthyl or quinolinyl; X is C(R8,R9) or S; R8 and R9 = H or lower-alkyl, n = 0-2; addnl. details are given in the claims. Five pharmaceutical formulations are tabulated. IC50 values for inhibition of dipeptidyl peptidase IV are tabulated for 6 examples of I; e.g. 0.001 μM for (2S)-1-[[[1-dimethyl-2-(5-methyl-2-m-tolyl-1H-imidazol-4-yl)ethyl]amino]acetyl]pyrrolidine-2-carbonitrile.

10/ 071,483

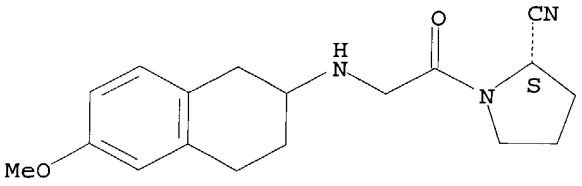
Example prepns. are given for 209 compds. I; for example, (2S)-1-[[1,2,3,4-tetrahydronaphthalen-1-ylamino]acetyl]pyrrolidine-2-carbonitrile was obtained from 1-amino-1,2,3,4-tetrahydronaphthalene and (2S)-1-chloroacetylpyrrolidine-2-carbonitrile in THF.

IT **521266-08-0P**, (2S)-1-[[(6-Methoxy-1,2,3,4-tetrahydronaphthalen-2-yl)amino]acetyl]pyrrolidine-2-carbonitrile hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors)

RN 521266-08-0 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)amino]acetyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:946063 CAPLUS
DOCUMENT NUMBER: 138:14078
TITLE: Preparation of hybrid 2-aminotetralin and aryl-substituted **piperazine** compounds and their use in altering CNS activity
INVENTOR(S): Dutta, Aloke K.
PATENT ASSIGNEE(S): Wayne State University, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

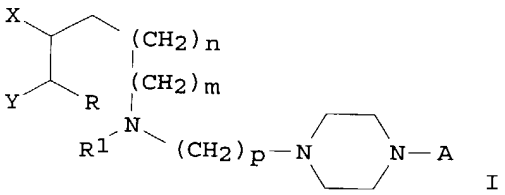
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098367	A2	20021212	WO 2002-US18267	20020607
WO 2002098367	A3	20030123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

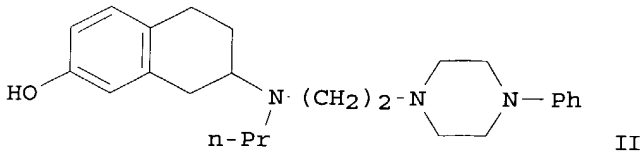
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

10/ 071,483

US 2003195219 A1 20031016 US 2003-344285 20030206
PRIORITY APPLN. INFO.: US 2001-296622P P 20010607
WO 2002-US18267 W 20020607
OTHER SOURCE(S): MARPAT 138:14078
GI



I

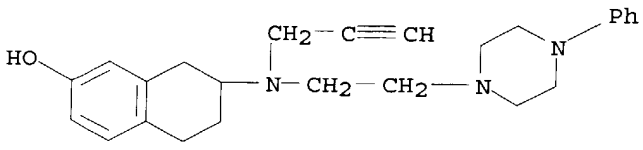


II

AB Hybrid compds. of formula I [R = H, alkyl, alkoxy, CN, etc.; R1 = alkyl, alkenyl, alkynyl, etc.; m = 0-1; n = 0-4; p = 1-4; A = heterocyclic aromatic ring; XY = (substituted) 5 or 6 membered aromatic ring], containing an aminotetralin moiety or a heterocyclic and/or open chain analog thereof linked through an alkylene group to an aryl ring system-substituted **piperidine** moiety, are prepared which exhibit high levels of CNS activity, in some cases exhibiting especially high relative binding efficiencies between D3 and D2 dopaminergic receptor subtypes. Thus, II was prepared from 1-phenylpiperazine, N-(2-bromoethyl)phthalimide, 7-methoxytetralone and propionyl chloride. The prepared compds. had relatively high affinity for the D2, D3 and D4 receptor subtypes.

IT **444145-86-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hybrid 2-aminotetralin and aryl-substituted **piperazine** compds. for altering CNS activity)

RN 444145-86-2 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[[2-(4-phenyl-1-piperazinyl)ethyl]-2-propynylamino]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849607 CAPLUS
DOCUMENT NUMBER: 137:353007
TITLE: Preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases

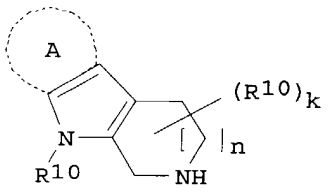
10/ 071,483

INVENTOR(S): Bhisetti, Govinda R.; Saunders, Jeffrey O.; Murcko, Mark A.; Lepre, Christopher A.; Britt, Shawn D.; Come, Jon H.; Deninger, David D.; Wang, Tianshang
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088101	A2	20021107	WO 2002-US13741	20020429
WO 2002088101	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003095958	A1	20030522	US 2002-136576	20020429
EP 1389194	A2	20040218	EP 2002-725881	20020429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 2001-287169P P 20010427
US 2001-301049P P 20010626
US 2001-342263P P 20011218
WO 2002-US13741 W 20020429

OTHER SOURCE(S): MARPAT 137:353007
GI



I

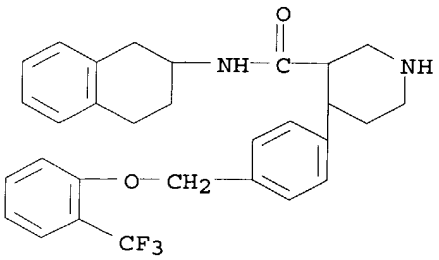
AB The present invention relates to a wide variety of inhibitors (e.g. naphthalene-1-carboxylic acid N-[2-(3,4-dichlorophenyl)-4-(**piperazin**-1-yl)pyrimidin-5-yl]amide; 9-[(naphthalen-2-yl)methyl]-6-[(3-trifluoromethylbenzyl)oxy]-2,3,4,9-tetrahydro-1H-β-carboline; 4-(biphenyl-4-yl)**piperidine**-3-carboxylic acid N-(1-(naphthalen-2-yl)ethyl)amide) of aspartic proteinases, particularly, BACE. The present invention also relates to compns. thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases. The inhibitors have the following structural features: HB-1, HPB-4; and at least one of HPB-2 and HPB-3, wherein: HB-1 is a 1st H bonding moiety capable of forming up to four H bonds with the carboxylate O atoms of Asp-228 and Asp-32 of BACE-1; HPB-2 is a 2nd hydrophobic moiety capable of associating with substantially all residues in the flap binding pocket; HPB-3 is a 3rd hydrophobic moiety capable of associating with substantially all residues in the P2' binding pocket; HPB-4 is a 4th hydrophobic moiety capable of

inducing favorable interactions with the Ph ring of at least two of Tyr-71, Phe-108 and Trp-76. In I (e.g. [6-(2-difluoromethoxybenzyloxy)-1,2,3,4-tetrahydro-β-carbolin-9-yl]naphthalen-1-ylmethanone), one set of the claimed compds., A is a five or six membered aryl ring having 0-2 heteroatoms independently selected from N, O or S, wherein: A has at least one R10 substituent and up to three more substituents selected from R10 or J; k is 0 or 1; n is 0-2; J is halogen, -R', -OR', -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R')2, -SR', -S(O)R', -S(O)N(R')2, -SO2R', -C(O)R', -CO2R', -C(O)N(R')2, -N(R')C(O)R', -N(R')C(O)OR', -N(R')C(O)N(R')2, or -OC(O)N(R')2, wherein R' is H, aliphatic, heterocyclyl, heterocyclyl-alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; wherein R' is optionally substituted with up to 3 substituents selected independently from -R11, -OR11, -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R11)2, -SR11, -S(O)R11, -S(O)N(R11)2, -SO2R11, -C(O)R11, -CO2R11, -C(O)N(R11)2, -N(R11)C(O)R', -N(R11)C(O)OR11, -N(R11)C(O)N(R11)2, or -OC(O)N(R11)2. R11 is H, (C1-C6)-alkyl, (C2-C6)-alkenyl or alkynyl, or (C3-C6)cycloalkyl; R10 is P1-R1-P2-R2-W; P1 and P2 each are independently: absent or aliphatic; R1 and R2 each are independently: absent or R; R is a suitable linker; W is a five to eleven membered monocyclic or bicyclic, aromatic or nonarom. ring having zero to three heteroatoms independently selected from O, S, N, or NH, wherein W has up to 3 substituents independently selected from J. Ranges of Ki values (>30, 3-30 and <3 μM) for inhibition of BACE-1 are tabulated for .apprx.500 compds. Although the methods of preparation are not claimed, 30 example prepns. are included.

IT **474331-77-6P**, 4-[4-(2-Trifluoromethylphenoxymethyl)phenyl] **piperidine**-3-carboxylic acid N-(1,2,3,4-tetrahydronaphthalen-2-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)

RN 474331-77-6 CAPLUS

CN 3-Piperidinecarboxamide, N-(1,2,3,4-tetrahydro-2-naphthalenyl)-4-[4-[[2-(trifluoromethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:116961 CAPLUS

DOCUMENT NUMBER: 137:125135

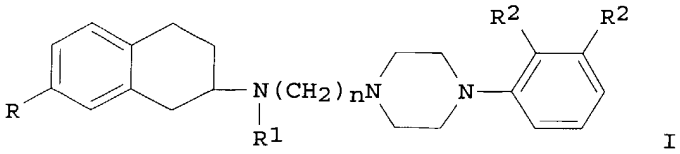
TITLE: A novel series of hybrid compounds derived by combining 2-aminotetralin and **piperazine** fragments: binding activity at D2 and D3 receptors

AUTHOR(S): Dutta, Aloke K.; Fei, Xiang-Shu; Reith, Maarten E. A.

CORPORATE SOURCE: Department of Pharmaceutical Sciences, Wayne State University, Detroit, MI, 48202, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 619-622

CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:125135
GI



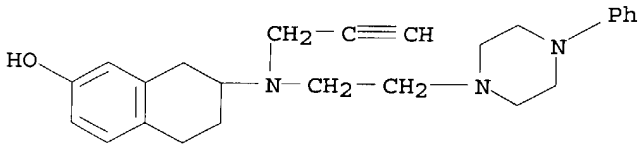
I

AB Title compds. such as I (R = MeO, OH; R1 = propargyl, Pr; R2 = H, Cl; n = 2, 4) were prepared Our preliminary study revealed that the affinity of I for the D2 and D3 receptors depended significantly on n. Further structure-activity studies led to a novel template showing 50- to 100-fold selectivity for the D3 receptor.

IT **444145-86-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of hybrid compds. derived by combining 2-aminotetralin and **piperazine** fragments and their binding activity at D2 and D3 receptors)

RN 444145-86-2 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[[2-(4-phenyl-1-piperazinyl)ethyl]-2-propynylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:747815 CAPLUS
DOCUMENT NUMBER: 135:304143
TITLE: Preparation of selective linear peptides with melanocortin-4 receptor (MC4-R) agonist activity
INVENTOR(S): Chen, Li; Cheung, Adrian Wai-hing; Chu, Xin-jie; Danho, Waleed; Swistok, Joseph; Yagaloff, Keith Alan
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074844	A2	20011011	WO 2001-EP3529	20010327
WO 2001074844	A3	20020613		

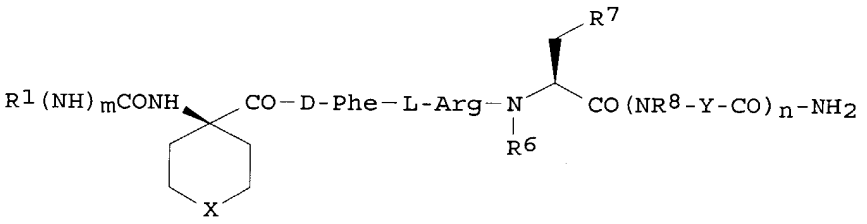
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001056179	A1	20011227	US 2001-811964	20010319
US 6600015	B2	20030729		
EP 1272516	A2	20030108	EP 2001-923703	20010327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529607	T2	20031007	JP 2001-572533	20010327
US 2003229200	A1	20031211	US 2003-435466	20030509

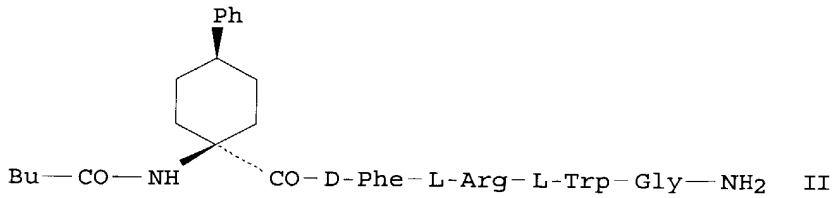
PRIORITY APPLN. INFO.: US 2000-194450P P 20000404
US 2001-811964 A1 20010319
WO 2001-EP3529 W 20010327

OTHER SOURCE(S): MARPAT 135:304143

GI



I



II

AB Peptides I [m, n = 0, 1; R1 = (un)substituted alkyl, phenylalkyl, carboxyalkyl or phenyl; X = phenylmethylene or alkoxyphenylmethylene, **cyclohexyl**-, cycloheptyl- or alkylmethylene, or (un)substituted phenylimino; R6, R8 = H, Me; R7 = 3-indolyl, 1- or 2-naphthyl; Y = CH2, CH2CH2, CHMe, CH2C6H4-m or p- or o-C6H4 (with provisos)] or an analog in which X-CH2 is (un)substituted benzo were prepared as MC4-R agonists. Thus, pentapeptide II [pentaApc-D-Phe-Arg-Trp-Gly-NH2] was prepared by the solid-phase method using a Fmoc-Linker-BHA resin.

IT **365553-01-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of selective linear peptides with melanocortin-4 receptor (MC4-R) agonist activity)

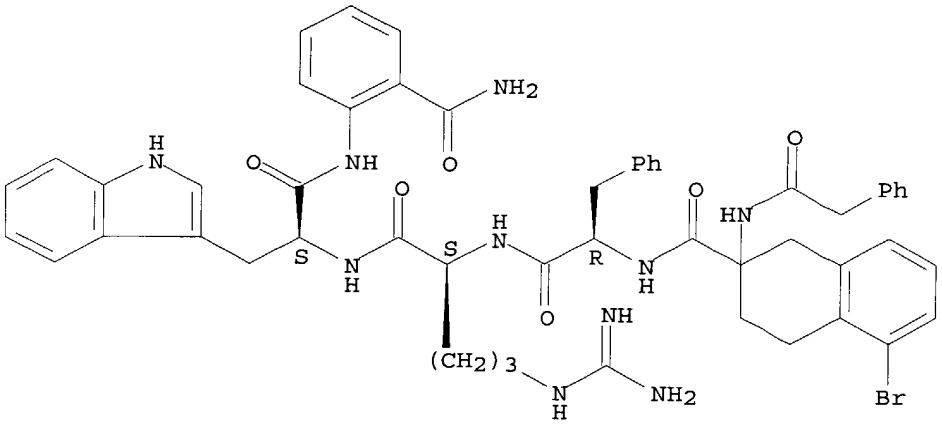
RN 365553-01-1 CAPLUS

CN L-Tryptophanamide, 5-bromo-1,2,3,4-tetrahydro-2-[(phenylacetyl)amino]-2-naphthalenecarbonyl-D-phenylalanyl-L-arginyl-N-[2-(aminocarbonyl)phenyl]-

10/ 071,483

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:565003 CAPLUS
DOCUMENT NUMBER: 135:152714
TITLE: Preparation of aromatic amines and amides useful as melanocortin receptor agonists and antagonists
INVENTOR(S): Lundstedt, Torbjoern; Skottner, Anna; Seifert, Elisabeth; Andersson, Per; Kaulina, Larisa; Dikovskaya, Klara; Mutule, Ilze; Mutulis, Felikss; Wikberg, Jarl; Starchenkov, Igor; Kreicberga, Jana
PATENT ASSIGNEE(S): Melacure Therapeutics AB, Swed.; Pett, Christopher Phineas; et al.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055107	A2	20010802	WO 2001-GB356	20010129
WO 2001055107	A3	20020117		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-2056 A 20000128
GB 2000-2058 A 20000128
OTHER SOURCE(S): MARPAT 135:152714

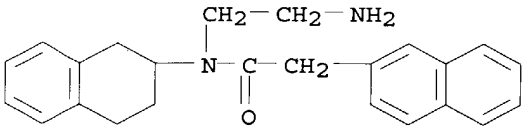
AB The present invention relates to aromatic amines and amides (I; B-E-N(R)-X-F-A and pharmacol. active salts thereof) and to the use of these compds. for the treatment of obesity, anorexia, inflammation, mental disorders and other diseases associated with the melanocortin receptors or related systems, e.g. the melanocyte stimulating hormones. In I: X is carbonyl, methylene or is absent (i.e. it is a single bond); E and F are

independently a saturated or unsatd., straight or branched chain acyclic hydrocarbon group having 1-10 C atoms, or E and/or F may be absent. R is -P-R4, -C(O)-D-R' (P and D are independently a saturated or unsatd., straight or branched chain acyclic hydrocarbon group having 1-10 C atoms; or D is absent (i.e. D is a single bond); R4 is hydroxy, **cyclohexyl**, cyclopentyl, guanidine, aminoguanidine, carboxy; R' is hydroxy, Me, **cyclohexyl**, cyclopentyl, guanidine, aminoguanidine, carboxy; or R4 or R' = (possibly substituted) amino, carbamoyl, alkoxy, alkoxycarbonyl, acyl, morpholinyl, pyrrolidinyl, **piperidinyl**; or R4 may be A and B as defined below). A and B are the same or different and are (possibly substituted) quinolinyl, imidazolyl, pyrazinyl, isoquinolinyl, cyclopentadienyl, pyridinyl, Ph, pyrimidinyl, pyrrolyl, isoindolyl, naphthyl, indolyl, indenyl. Several claimed compds. (N-(3-aminopropyl)-3-(1H-indol-3-yl)-N-(1,2,3,4-tetrahydronaphthalen-2-yl)propionamide, N-(5-aminopentyl)-N-(2-chloro-3-phenylallyl)-4-(1H-indol-3-yl)butyramide, [2-(1H-indol-3-yl)ethyl]bis(3-phenylpropyl)amine hydrochloride, 4-guanidino-N-[2-(1H-Indol-3-yl)ethyl]-N-(4-methoxybenzyl)butyramide hydrochloride) were tested (results given) for affinity for melanocortin receptors (MC1, MC3, MC4, MC5) and/or influence on cAMP. Anti-inflammatory effects were tested (results given) for [2-(1H-indol-3-yl)ethyl]bis(3-phenylpropyl)amine hydrochloride. Also claimed is a process for the production of the claimed compds. wherein R-Y is reacted with B-E-NH-X-F-A, preferably using a standard N-alkylation procedure. Two example prepns. are given. In one example, to a solution of 4-N-benzylbutylguanidine (10 mmol) in MeCN (15 mL) under stirring was added 1,3-bis(benzyloxycarbonyl)-2-methylthiopseudourea (10 mmol). Stirring was continued for 24 h at room temperature, the reaction mixture concentrated in vacuo, purified by chromatog. (silica gel; Et acetate) to give a viscous oil (90 %). To a solution of the above oil (N-(4-benzylaminobutyl)-N',N''-bis(benzyloxycarbonyl)guanidine) (0.5 mmol) and 3-(1H-indol-3-yl)propionic acid 2,5-dioxopyrrolidin-1-yl ester (0.5 mmol) in MeCN (10 mL) under stirring saturated NaHCO3 solution until pH 9 was added, stirred for 2 days at room temperature, evaporated in vacuo. The residue was dissolved in Et acetate (12 mL), washed with H2O (2x5 mL), dried (MgSO4) and evaporated in vacuo. To the crude intermediate dissolved in EtOH (10 mL), 5% Pd/C (20 mg) and 4 drops of concentrated HCl were added and hydrogenated for 1 h at ambient pressure; the Pd catalyst was filtered off, the solution evaporated in vacuo, and the residue purified by chromatog. (silica gel; chloroform-MeOH-H2O, 120:20:1) to give N-benzyl-N-(4-guanidinobutyl)-2-(1H-indol-3-yl)acetamide hydrochloride (47 %) as a colorless foam.

IT **352292-04-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic amines and amides useful as melanocortin receptor agonists and antagonists)

RN 352292-04-7 CAPLUS

CN 2-Naphthaleneacetamide, N-(2-aminoethyl)-N-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:101127 CAPLUS
DOCUMENT NUMBER: 134:162920

10/ 071,483

TITLE: Preparation of aromatic amines and amides as ligands for neuropeptide Y Y5 receptors useful in the treatment of obesity and other disorders

INVENTOR(S): Dax, Scott L.; Mcnally, James; Youngman, Mark

PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

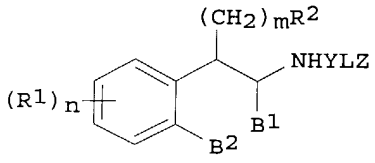
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009120	A1	20010208	WO 2000-US20482	20000727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6380224	B1	20020430	US 2000-626856	20000727
EP 1202986	A1	20020508	EP 2000-952233	20000727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000012804	A	20020806	BR 2000-12804	20000727
JP 2003506367	T2	20030218	JP 2001-514323	20000727
NO 2002000384	A	20020322	NO 2002-384	20020124
US 2002115715	A1	20020822	US 2002-71483	20020207
ZA 2002001660	A	20030527	ZA 2002-1660	20020227

PRIORITY APPLN. INFO.:
US 1999-146069P P 19990728
US 2000-626856 A3 20000727
WO 2000-US20482 W 20000727

OTHER SOURCE(S): MARPAT 134:162920

GI



I

AB Title compds. [I; R1 = H, OH, halo, trifluoroalkyl, cycloalkyl, NO2, amino, (substituted) alkyl, alkoxy, alkylthio, etc.; n = 1, 2; m = 0-3; B1, B2 = H; B1B2 = CH2; R2 = H, OH, halo, alkyl, alkenyl, cycloalkyl, (substituted) Ph, naphthyl, PhO, heteroaryl, heterocyclyl; L = alkylene, alkenylene, alkynylene, cycloalkylene, arylalkylene, α-aminoalkylene, **piperidin**-4-ylmethylene, **piperazine**-1-ylmethylene, etc.; Y = CH2, CO; Z = aryl, sulfonamido, arylsulfonamido, arylamido, arylureido, arylacetamido, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl], were prepared Thus, 1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthaleneamine bishydrochloride (preparation given), Nα-tert-butoxycarbonyl-Nω-2-fluorobenzenesulfonyl-L-lysine (preparation given), diisopropylethylamine, and 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate were stirred in DMF to give the amide coupling product as a mixture of diastereomers. The mixture was

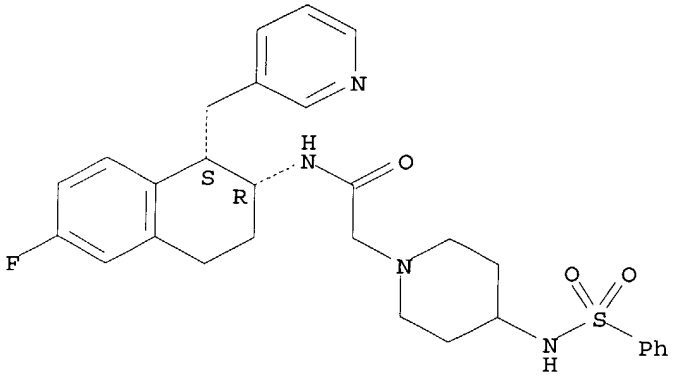
deprotected with CF3CO2H followed by reduction with BH3.THF to give N-[5-amino-6-[[cis-1,2,3,4-tetrahydro-6-methoxy-1-(3-pyridinylmethyl)-2-naphthalenyl]amino]hexyl]-2-fluorobenzenesulfonamide trihydrochloride. The latter at 3 μM gave 100% inhibition of binding of 125I-PYY binding to human NPY Y5 receptors.

IT **261715-55-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic amines and amides as ligands for neuropeptide Y Y5 receptors useful in the treatment of obesity and other disorders)

RN 261715-55-3 CAPLUS

CN 1-Piperidineacetamide, N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-2-naphthalenyl]-4-[(phenylsulfonyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:508629 CAPLUS

DOCUMENT NUMBER: 133:281663

TITLE: N-Acylated α-(3-pyridylmethyl)-β-aminotetralin antagonists of the human neuropeptide Y Y5 receptor

AUTHOR(S): McNally, J. J.; Youngman, M. A.; Lovenberg, T. W.; Nepomuceno, D.; Wilson, S.; Dax, S. L.

CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1641-1643
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:281663

AB α-(3-Pyridylmethyl)-β-aminotetralins were acylated with amino-**piperidinyl** and -pyrrolidinylacetic acids, and with (aminomethyl)cyclohexanecarboxylic acid. Reaction with acyl chlorides, chloroformates, and isocyanates gave amides, carbamates, and ureas , which bound to the Y5 receptor with nanomolar affinity. Congeners containing a terminal benzimidazolone group are functional Y5 antagonists.

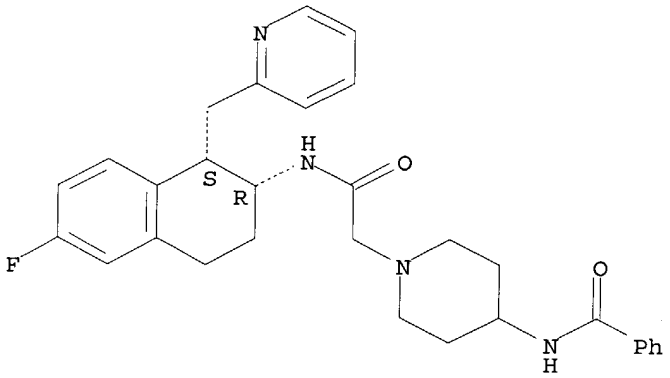
IT **299203-77-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-acylated α-(pyridylmethyl)β-aminotetralins as neuropeptide Y5 receptor antagonists)

RN 299203-77-3 CAPLUS

CN 1-Piperidineacetamide, 4-(benzoylamino)-N-[(1R,2S)-6-fluoro-1,2,3,4-tetrahydro-1-(2-pyridinylmethyl)-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

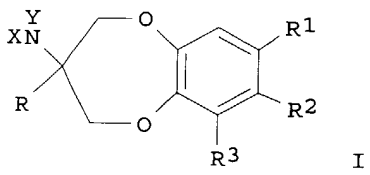


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:408824 CAPLUS
DOCUMENT NUMBER: 133:43541
TITLE: 3,4-dihydro-2H-1,5-benzodioxepins
INVENTOR(S): Sonda, Shuji; Katayama, Kenichi; Ikebu, Tsugio; Fujio, Masakazu; Nakamura, Koji
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000169468	A2	20000620	JP 1998-349563	19981209

PRIORITY APPLN. INFO.: JP 1998-349563 19981209
OTHER SOURCE(S): MARPAT 133:43541
GI



I

AB Title compds. [I; R1 = H, Cl; R2 = H, CH3, Cl; R3 = H, F, CH3; R =

10/ 071,483

CH2NR4R5, CN, COOH, **cyclohexyl**, C6H5CH2, COOCH3, 4-ClC6H4CH2NHCO, (4-ClC6H4CO)(CH3NH)CH; R4 = CH2CH2THP, cyclopentylethyl, cycloheptylethyl, 1-naphthyl, 3-C6H5OC6H4CH2, 3-C6H5CH2OC6H4CH2, C6H5CH2CH2, cyclopropylmethyl, COCH3, COCH2CH3, H; R5 = H, CH3, CH2CH3, 3,4,5-(CH3O)3C6H2, C6H5; X = CH3, H; Y = CH3, 4-ClC6H4CO; XY = (CH2)5, (CH2)4, (CH2)2O(CH2)2] and stereoisomers are prepared (process given) as medicine for the urinary organs, the digestive organ motion modifier, the respiratory system, the eye nervous system, the muscle relaxation (no data). The title compound I (R = COOH; X = H; Y = 4-ClC6H4CO; R1 = H; R2 = H; R3 = H) was prepared

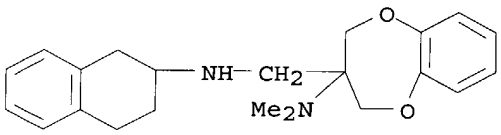
IT **274924-07-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodioxepin chemical compds. as medicine)

RN 274924-07-1 CAPLUS

CN 2H-1,5-Benzodioxepin-3-methanamine, 3-(dimethylamino)-3,4-dihydro-N-(1,2,3,4-tetrahydro-2-naphthalenyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

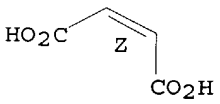
CRN 274924-06-0
CMF C22 H28 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1999:783924 CAPLUS
DOCUMENT NUMBER:	132:18797
TITLE:	Method for treating neurodegenerative disorders with tetrahydronaphthalenes, preparation thereof, pharmaceutical compositions, and screening and diagnostic methods
INVENTOR(S):	Reitz, Allen B.; Demeter, David A.; Lee, Daniel H. S.; Wang, Hoau-Yan; Chen, Robert H.; Ross, Tina Morgan; Scott, Malcolm K.; Plata-Salaman, Carlos R.
PATENT ASSIGNEE(S):	Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE:	PCT Int. Appl., 49 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

10/ 071,483

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962505	A2	19991209	WO 1999-US11702	19990527
WO 9962505	A3	20000406		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333951	AA	19991209	CA 1999-2333951	19990527
AU 9945433	A1	19991220	AU 1999-45433	19990527
AU 765142	B2	20030911		
EP 1083889	A2	20010321	EP 1999-928342	19990527
EP 1083889	B1	20031210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 2002013374	A1	20020131	US 1999-320885	19990527
US 6441049	B2	20020827		
JP 2002516853	T2	20020611	JP 2000-551761	19990527
AT 255888	E	20031215	AT 1999-928342	19990527
US 2003130165	A1	20030710	US 2002-162821	20020605

PRIORITY APPLN. INFO.:	US 1998-87577P	P	19980601
	US 1999-320885	A3	19990527
	WO 1999-US11702	W	19990527

OTHER SOURCE(S): MARPAT 132:18797

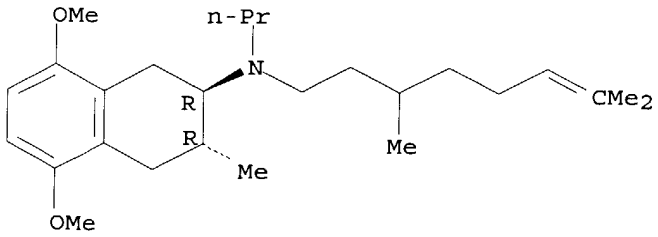
AB A method is provided for treating a neurodegenerative disorder, e.g. Alzheimer's disease, in a subject in need thereof which comprises administering to the subject an amount of a tetrahydronaphthalene compound (preparation included) effective to inhibit the interaction of amyloid-β with α7 nicotinic acetylcholine receptors.

IT **251975-28-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tetrahydronaphthalene derivative preparation for treatment of neurodegenerative disorders, pharmaceutical compns., and screening and diagnostic methods)

RN 251975-28-7 CAPLUS

CN 2-Naphthalenamine, N-(3,7-dimethyl-6-octenyl)-1,2,3,4-tetrahydro-5,8-dimethoxy-3-methyl-N-propyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:767212 CAPLUS
DOCUMENT NUMBER: 132:233690

10/ 071,483

TITLE: Radiosynthesis and in vitro evaluation of 2-(N-alkyl-N-1'-11C-propyl)amino-5-hydroxytetralin analogs as high affinity agonists for dopamine D2 receptors

AUTHOR(S): Shi, Bingzhi; Narayanan, Tanjore K.; Yang, Zhi-Ying; Christian, Bradley T.; Mukherjee, Jogeshwar

CORPORATE SOURCE: Department of Internal Medicine/Nuclear Medicine, Kettering Medical Center, Wright State University, Dayton, OH, USA

SOURCE: Nuclear Medicine and Biology (1999), 26(7), 725-735
CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

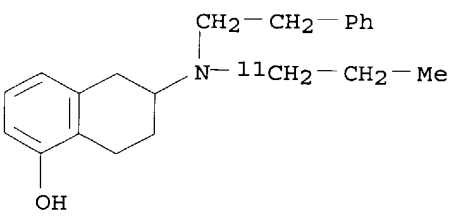
LANGUAGE: English

AB We have developed radiotracers based on agonists that may potentially allow the in vivo assessment of the high affinity (HA) state of the dopamine D-2 receptors. The population of HA state, which is likely the functional state of the receptor, may be altered in certain diseases. We carried out radiosyntheses and evaluated the binding affinities, lipophilicity, and in vitro autoradiog. binding characteristics of three dopamine D-2 receptor agonists: (±)-2-(N,N-dipropyl)amino-5-hydroxytetralin (5-OH-DPAT), (±)-2-(N-phenethyl-N-propyl)amino-5-hydroxytetralin (PPHT), and (±)-2-(N-cyclohexylethyl-N-propyl)amino-5-hydroxytetralin (ZYY-339). In 3H-spiperone assays using rat striata, ZYY-339 exhibited subnanomolar affinity for D-2 receptor sites (IC50 = 0.010 nM), PPHT was somewhat weaker (IC50 = 0.65 nM), and 5-OH-DPAT exhibited the weakest affinity (IC50 = 2.5 nM) of the three compds. Radiosynthesis of these derivs., 2-(N-propyl-N-1'-11C-propyl)amino-5-hydroxytetralin (11C-5-OH-DPAT), 2-(N-phenethyl-N-1'-11C-propyl)amino-5-hydroxytetralin (11C-PPHT), and 2-(N-cyclohexylethyl-N-1'-11C-propyl)amino-5-hydroxytetralin (11C-ZYY-339) was achieved by first synthesizing 11C-1-propionyl chloride and subsequent coupling with the appropriate secondary amine precursor to form the resp. amide, which was then reduced to provide the desired tertiary amine products. The final products were obtained by reverse-phase high performance liquid chromatog. (HPLC) purification in radiochem. yields of 5-10% after 60-75 min from the end of 11CO2 trapping and with specific activities in the range of 250-1,000 Ci/mmol. In vitro autoradiographs in rat brain slices with 11C-5-OH-DPAT, 11C-PPHT, and 11C-ZYY-339 revealed selective binding of the three radiotracers to the dopamine D-2 receptors in the striata.

IT **261910-94-5P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(radiosynthesis and in vitro evaluation of 2-(N-alkyl-N-1'-11C-propyl)amino-5-hydroxytetralin analogs as high affinity agonists for dopamine D2 receptors)

RN 261910-94-5 CAPLUS

CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)propyl-1-11C-amino]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:405112 CAPLUS
DOCUMENT NUMBER: 131:56155
TITLE: Methods for the simultaneous identification of novel biological targets and lead structures for drug development using combinatorial libraries and probes
INVENTOR(S): Heefner, Donald L.; Zepp, Charles M.; Gao, Yun; Jones, Steven W.
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931267	A1	19990624	WO 1998-US26894	19981218
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314422	AA	19990624	CA 1998-2314422	19981218
AU 9919256	A1	19990705	AU 1999-19256	19981218
EP 1049796	A1	20001108	EP 1998-964053	19981218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002508507	T2	20020319	JP 2000-539165	19981218

PRIORITY APPLN. INFO.: US 1997-68035P P 19971218
WO 1998-US26894 W 19981218

AB The combinatorial screening assays and detection methods of the present invention encompass highly diversified libraries of compds. which act as fingerprints to allow for the identification of specific mol. differences existing between biol. samples. The combinatorial screening assay and detection methods of the present invention utilize highly diversified libraries of compds. to interrogate and characterize complex mixts. in order to identify specific mol. differences existing between biol. samples, which may serve as targets for diagnosis of development of therapeutics. The invention is base, in part, on the design of sensitive, rapid, homogeneous assay systems that permit the evaluation, interrogation, and characterization of samples using complex, highly diversified libraries of mol. probes. The ability to run the high throughput assays in a homogeneous format increases sensitivity of screening. In addition, the homogeneous format allows the mols. which interact to maintain their native or active conformations. Moreover, the homogeneous assay systems of the invention utilize robust detection systems that do not require separation steps for detection of reaction products. The assays of the invention can be used for diagnostics, drug screening and discovery, target-driven discover, and in the field of proteomics and genomics for the identification of disease markers and drug targets.

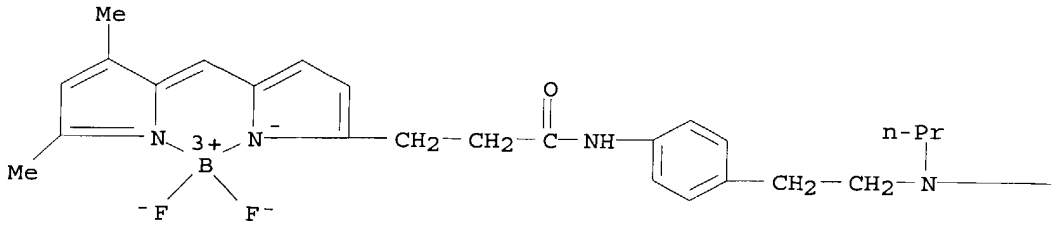
IT **135243-34-4**, BODIPY FL PPHT
RL: ARG (Analytical reagent use); ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)

(identification of novel biol. targets and lead structures for drug development using combinatorial libraries and probes)

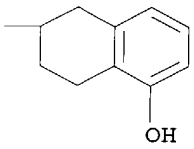
RN 135243-34-4 CAPLUS

CN Boron, [5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-N-[4-[2-[propyl(1,2,3,4-tetrahydro-5-hydroxy-2-naphthalenyl)amino]ethyl]phenyl]-1H-pyrrole-2-propanamidato-κN1]difluoro-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:122129 CAPLUS

DOCUMENT NUMBER: 128:239539

TITLE: Validity of (-)-[3H]-CGP 12177A as a radioligand for the "putative β4-adrenoceptor" in rat atrium

AUTHOR(S): Sarsero, Doreen; Molenaar, Peter; Kaumann, Alberto J.

CORPORATE SOURCE: Department of Pharmacology, University of Melbourne, Parkville, 3052, Australia

SOURCE: British Journal of Pharmacology (1998), 123(3), 371-380
CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Stockton Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We have recently suggested the existence in the heart of a "putative β4-adrenoceptor" based on the cardiostimulant effects of non-conventional partial agonists, compds. that cause cardiostimulant effects at greater concns. than those required to block β1- and β2-adrenoceptors. We sought to obtain further evidence by establishing and validating a radioligand binding assay for this receptor with (-)-[3H]-CGP 12177A ((-)-4-(3-tertiarybutylamino-2-hydroxypropoxy) benzimidazol-2-one) in rat atrium. We investigated (-)-[3H]-CGP 12177A for this purpose for two reasons, because it is a non-conventional partial agonist and also because it is a hydrophilic radioligand. Increasing

concns. of (-)-[3H]-CGP 12177A, in the absence or presence of 20 μM (-)-CGP 12177A to define non-specific binding, resulted in a biphasic saturation isotherm. Low concns. bound to β1- and β2-adrenoceptors (pKD 9.4±0.1, Bmax 26.9±3.1 fmol mg-1 protein) and higher concns. bound to the "putative β4-adrenoceptor" (pKD 7.5±0.1, Bmax 47.7±4.9 fmol mg-1 protein). In other expts. designed to exclude β1- and β2-adrenoceptors, (-)-[3H]-CGP 12177A (1-200 nM) binding in the presence of 500 nM (-)-propranolol was also saturable (pKD 7.6±0.1, Bmax 50.8±7.4 fmol mg-1 protein). The non-conventional partial agonists (-)-CGP 12177A (pKi 7.3±0.2), (±)-cyanopindolol (pKi 7.6±0.2), (-)-pindolol (pKi 6.6±0.1) and (±)-carazolol (pKi 7.2±0.2) and the antagonist (-)-bupranolol (pKi 6.6±0.2), all competed for (-)-[3H]-CGP 12177A binding in the presence of 500 nM (-)-propranolol at the "putative β4-adrenoceptor", with affinities closely similar to potencies and affinities determined in organ bath studies. The catecholamines competed with (-)-[3H]-CGP 12177A at the "putative β4-adrenoceptor" in a stereoselective manner, (-)-noradrenaline (pKiH 6.3±0.3, pKiL 3.5±0.1), (-)-adrenaline (pKiH 6.5±0.2, pKiL 2.9±0.1), (-)-isoprenaline (pKiH 6.2±0.5, pKiL 3.4±0.1), (+)-isoprenaline (pKi<1.7), (-)-RO363 ((-)-(1-(3,4-dimethoxyphenethylamino)-3-(3,4-dihydroxyphenoxy)-2-propranol)oxalate, pKi 5.5±0.1). The inclusion of guanosine 5-triphosphate (GTP 0.1 mM) had no effect on binding of (-)-CGP 12177A or (-)-isoprenaline to the "putative β4-adrenoceptor". In competition binding studies, (-)-CGP 12177A competed with (-)-[3H]-CGP 12177A for one receptor state in the absence (pKi 7.3±0.2) or presence of GTP (pKi 7.3±0.2). (-)-Isoprenaline competed with (-)-[3H]-CGP 12177A for two states in the absence (pKiH 6.6±0.3, pKiL 3.5±0.1; % H 25±7) or presence of GTP (pKiH 6.2±0.5, pKiL 3.4±0.1; % H 37±6). In contrast, at β1-adrenoceptors, GTP stabilized the low affinity state of the receptor for (-)-isoprenaline. The specificity of binding to the "putative β4-adrenoceptor" was tested with compds. active at other receptors. High concns. of the β3-adrenoceptor agonists, BRL 37344 ((RR + SS)[4-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]phenoxy]acetic acid, 6 μM), SR 58611A (ethyl{(7S)-7-[(2R)-2-(3-chlorophenyl)-2-hydroxyethylamino]-5,6,7,8-tetrahydronaphtyl-2-yloxy} acetate hydrochloride, 6 μM), ZD 2079 ((±)-1-phenyl-2-(2-4-carboxymethylphenoxy)-ethylamino)-ethan-1-ol, 60 μM, CL 316243 (disodium (R,R)-5-[2-[2-(3-chlorophenyl)-2-hydroxyethyl-amino]propyl]-1,3-benzodioxole-2,2-dicarboxylate, 60 μM) and antagonist SR 59230A (3-(2-ethylphenoxy)-1-[(1S)-1,2,3,4-tetrahydronaphth-1-ylamino]-2S-2-propanol oxalate, 6 μM) caused less than 22% inhibition of (-)-[3H]-CGP 12177A binding in the presence of 500 nM (-)-propranolol. Histamine (1 mM), atropine (1 μM), phentolamine (10 μM), 5-HT (100 μM) and the 5-HT4 receptor antagonist SB 207710 ((1-butyl-4-**piperidinyl**)-Me-8-amino-7-iodo-1,4-benzodioxan-5-carboxylate, 10 nM) caused less than 26% inhibition of binding. Non-conventional partial agonists, the antagonist (-)-bupranolol and catecholamines all competed for (-)-[3H]-CGP 12177A binding in the absence of (-)-propranolol at β1-adrenoceptors, with affinities (pKi) ranging from 1.6-3.6 log orders greater than at the "putative β4-adrenoceptor". We have established and validated a radioligand binding assay in rat atrium for the "putative β4-adrenoceptor" which is distinct from β1-, β2- and β3-adrenoceptors. The stereoselective interaction with the catecholamines provides further support for the classification of the receptor as "putative β4-adrenoceptor".

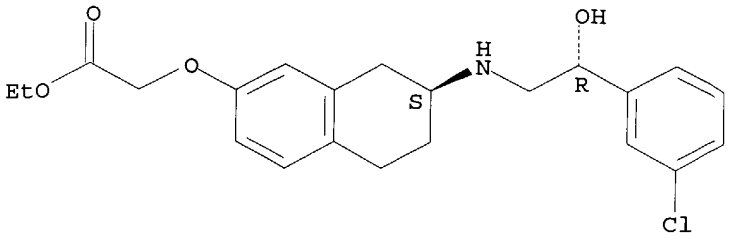
IT **121524-09-2**, SR 58611A
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(validity of (-)-[3H]-CGP 12177A as a radioligand for putative β4-adrenoceptor in rat atrium in relation to competitive binding assays with other agonists and antagonists)

RN 121524-09-2 CAPLUS

10/ 071,483

CN Acetic acid, [[(7S)-7-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

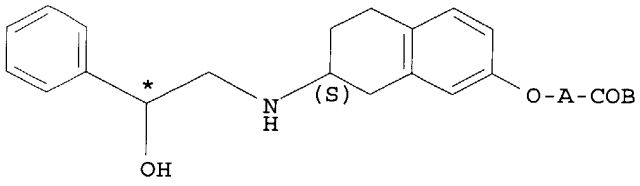
L4 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:696737 CAPLUS
DOCUMENT NUMBER: 127:307221
TITLE: Preparation of phenylethanolaminotetralincarboxamide derivatives as selective β2-adrenergic agonists
INVENTOR(S): Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan; Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738970	A1	19971023	WO 1997-JP1159	19970404
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251090	AA	19971023	CA 1997-2251090	19970404
AU 9721783	A1	19971107	AU 1997-21783	19970404
AU 726686	B2	20001116		
EP 893432	A1	19990127	EP 1997-914598	19970404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1219926	A	19990616	CN 1997-194877	19970404
CN 1100033	B	20030129		
BR 9708642	A	19990803	BR 1997-8642	19970404

10/ 071,483

TW 448143	B	20010801	TW 1997-86104755		19970410
NO 9804699	A	19981211	NO 1998-4699		19981008
KR 2000005391	A	20000125	KR 1998-708122		19981012
US 6046192	A	20000404	US 1999-155478		19990308
PRIORITY APPLN. INFO.:			JP 1996-126225	A	19960412
			WO 1997-JP1159	W	19970404

OTHER SOURCE(S): MARPAT 127:307221
GI



I

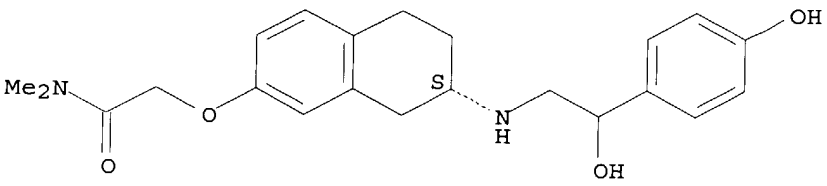
AB Phenylethanolaminotetralincarboxamide derivative represented by general formula [I; A = lower alkylene; B = amino, di(lower alkyl)amino or 3- to 7-membered alicyclic amino which may bear oxygen on the ring; the asterisked carbon atom represents a carbon atom with an R- or S-configuration or a mixture of such atoms; and the carbon atom labeled with (S) represents a carbon atom with an S-configuration] and pharmacol. acceptable salts thereof are prepared These compds. have selective β2-adrenergic receptor stimulating effects while reducing the burden on the heart such as tachycardia (no data), and are useful as preventives for threatened abortion and premature birth, bronchodilator, and agents for remission and lithagogue for urinary calculus. Thus, a solution of Et 2-[(2S)-2-[[(2RS)-2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-1,2,3,4-tetrahydronaphthalene-7-yloxy]acetate in THF was heated with dimethylamine in a shield tube at 60° for 60 h to give 2-[(2S)-2-[[(2RS)-2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-1,2,3,4-tetrahydronaphthalen-7-yloxy]-N,N-dimethylacetamide I (A-COB = CH2CONMe2).

IT **197436-84-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylethanolaminotetralincarboxamide derivs. as selective β2-adrenergic agonists for drugs)

RN 197436-84-3 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:679059 CAPLUS
DOCUMENT NUMBER: 127:346302
TITLE: 6-phenylpyridyl-2-amine derivatives as nitric oxide

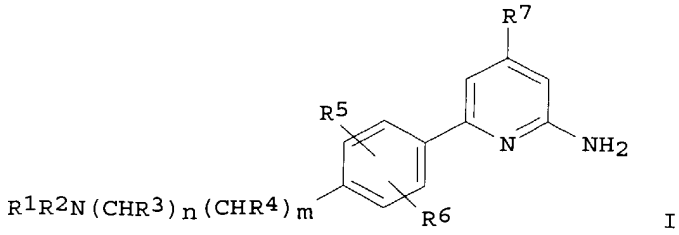
10/ 071,483

synthase inhibitors
INVENTOR(S): Lowe, John Adams, III; Whittle, Peter John
PATENT ASSIGNEE(S): Pfizer Inc., USA; Lowe, John Adams, III; Whittle, Peter John
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

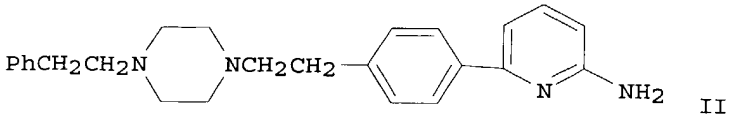
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736871	A1	19971009	WO 1997-IB132	19970217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250372	AA	19971009	CA 1997-2250372	19970217
AU 9715548	A1	19971022	AU 1997-15548	19970217
AU 729129	B2	20010125		
EP 891332	A1	19990120	EP 1997-901748	19970217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI, RO				
CN 1215391	A	19990428	CN 1997-193526	19970217
BR 9708386	A	19990803	BR 1997-8386	19970217
JP 11510513	T2	19990914	JP 1997-535075	19970217
JP 3455229	B2	20031014		
NZ 326874	A	20000128	NZ 1997-326874	19970217
IL 125811	A1	20030112	IL 1997-125811	19970217
CZ 291647	B6	20030416	CZ 1998-2614	19970217
TW 438793	B	20010607	TW 1997-86101888	19970218
US 6235747	B1	20010522	US 1997-816235	19970313
HR 970174	A1	20001231	HR 1997-970174	19970326
HR 970174	B1	20020630		
ZA 9702689	A	19980928	ZA 1997-2689	19970327
NO 9804516	A	19980928	NO 1998-4516	19980928
KR 2000005127	A	20000125	KR 1998-707773	19980929
US 2001034348	A1	20011025	US 2001-826132	20010404
US 6465491	B2	20021015		

PRIORITY APPLN. INFO.: US 1996-14343P P 19960329
WO 1997-IB132 W 19970217
US 1997-816235 A3 19970313

OTHER SOURCE(S): MARPAT 127:346302
GI



I



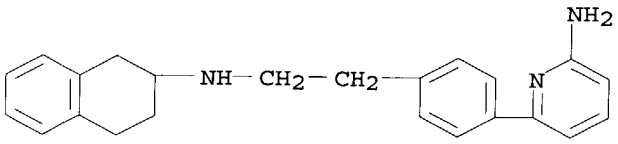
II

AB Title compds. I [NR1R2 = amino; R3, R4 = H, alkyl, aralkyl; R5, R6 = Me, OMe, OH, H; R7 = alkyl; m, n = 1-2] were prepared and exhibit activity as nitric oxide synthase (NOS) inhibitors for use in the treatment and prevention of central nervous system disorders (no data). Thus,the amine II was prepared from 2,6-dibromopyridine and 4-H2NC6H4CH2CH2OH via 2-(2,5-dimethylpyrrol-1-yl)-6-[4-(2-chloroethyl)phenyl]pyridine.

IT **198209-62-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoethylphenylpyridylamines as nitric oxide synthase inhibitors)

RN 198209-62-0 CAPLUS

CN 2-Pyridinamine, 6-[4-[2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:640655 CAPLUS

DOCUMENT NUMBER: 127:307398

TITLE: New **piperidinyl**- and **piperazinyl**-substituted 1,2,3,4-tetrahydronaphthalene derivatives useful as 5-HT antagonists

INVENTOR(S): Berg, Stefan; Florvall, Lennart; Ross, Svante; Thorberg, Seth-Olov

PATENT ASSIGNEE(S): Astra AB, Swed.; Berg, Stefan; Florvall, Lennart; Ross, Svante; Thorberg, Seth-Olov

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

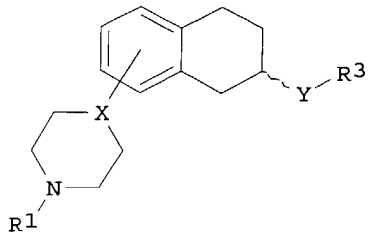
10/ 071,483

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

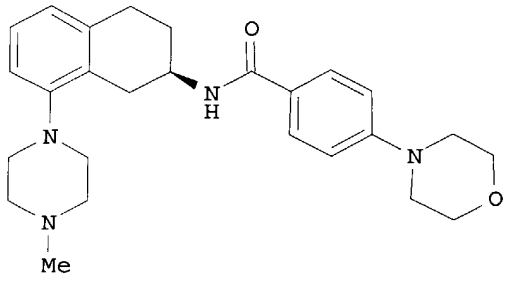
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734883	A1	19970925	WO 1997-SE469	19970320
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9702056	A	19970922	ZA 1997-2056	19970310
CA 2247940	AA	19970925	CA 1997-2247940	19970320
AU 9721865	A1	19971010	AU 1997-21865	19970320
AU 709856	B2	19990909		
EP 888319	A1	19990107	EP 1997-914727	19970320
EP 888319	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1219170	A	19990609	CN 1997-194726	19970320
CN 1073101	B	20011017		
BR 9708093	A	19990727	BR 1997-8093	19970320
NZ 331613	A	20000327	NZ 1997-331613	19970320
JP 2000506883	T2	20000606	JP 1997-533410	19970320
SK 282359	B6	20020107	SK 1998-1188	19970320
AT 231847	E	20030215	AT 1997-914727	19970320
US 6124283	A	20000926	US 1997-836004	19970425
NO 9804385	A	19981123	NO 1998-4385	19980921
US 6410530	B1	20020625	US 2000-653427	20000831

PRIORITY APPLN. INFO.: SE 1996-1110 A 19960322
WO 1997-SE469 W 19970320
US 1997-836004 A3 19970425

OTHER SOURCE(S): MARPAT 127:307398
GI



I



II

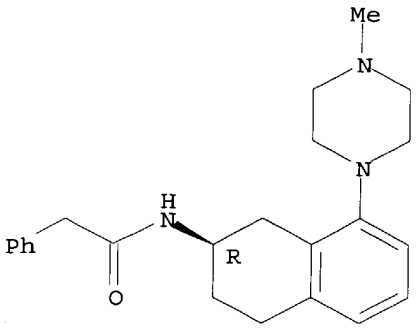
AB New **piperidinyl**- and **piperazinyl**-substituted 1,2,3,4-tetrahydronaphthalene derivs. I [X = N or CH; Y = NR2CH2, CH2NR2, NR2CO, CONR2, or NR2SO2; R1 = H, C1-6 alkyl, or C3-6 cycloalkyl; R2 = H or C1-6 alkyl; R3 = C1-6 alkyl, C3-6 cycloalkyl, or (CH2)n-aryl where aryl = Ph or heteroarom. ring containing 1 or 2 N/O/S atoms and which may be mono- or di-substituted; n = 0-4], as enantiomers, racemates, free bases, or pharmaceutically acceptable salts or hydrates, are disclosed. Also disclosed are pharmaceutical formulations containing I, use of I in the treatment of disorders mediated by 5-hydroxytryptamine (5-HT), and processes and intermediates for the preparation of I. The compds. are primarily selective antagonists of the 5-HT1D receptor (no data). A variety of preferred compds., mostly (R)-isomers, are specifically claimed. Synthetic examples (138) include preparation of both I and their intermediates. For instance, (R)-8-methoxy-2-amino-1,2,3,4-tetrahydronaphthalene-HCl was converted in 8 steps to (R)-2-amino-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydronaphthalene, which was condensed with 4-morpholinobenzoic acid using 1,1'-carbonyldiimidazole in DMF to give title compound II.

IT **197445-85-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **piperidinyl**- and **piperazinyl**-substituted tetrahydronaphthalenes as 5-HT1D antagonists)

RN 197445-85-5 CAPLUS

CN Benzeneacetamide, N-[1,2,3,4-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:207658 CAPLUS
DOCUMENT NUMBER: 126:199840
TITLE: Preparation of peptide derivatives as cell adhesion inhibitors
INVENTOR(S): Lin, Ko-Chung; Adams, Steven P.; Castro, Alfredo C.; Zimmerman, Craig N.; Cuervo, Julio Hernan; Lee, Wen-Cherng; Hammond, Charles E.; Carter, Mary Beth; Almquist, Ronald G.; Ensinger, Carol Lee
PATENT ASSIGNEE(S): Biogen, Inc., USA; Lin, Ko-Chung; Adams, Steven, P.; Castro, Alfredo, C.; Zimmerman, Craig, N.; Cuervo, Julio, Hernan; Lee, Wen-Cherng; Hammond, Charles, E.; Carter, Mary, Beth; et al.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703094	A1	19970130	WO 1996-US11570	19960711
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 6248713	B1	20010619	US 1995-498237	19950711
CA 2226868	AA	19970130	CA 1996-2226868	19960711
AU 9664894	A1	19970210	AU 1996-64894	19960711
AU 716276	B2	20000224		
EP 842196	A1	19980520	EP 1996-924444	19960711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1193325	A	19980916	CN 1996-196380	19960711
BR 9609782	A	19990309	BR 1996-9782	19960711
JP 11511124	T2	19990928	JP 1996-505989	19960711
NZ 312950	A	20000128	NZ 1996-312950	19960711
EE 3694	B1	20020415	EE 1997-362	19960711
EE 200200384	A	20021015	EE 2002-200200384	19960711
FI 9800033	A	19980305	FI 1998-33	19980109
NO 9800097	A	19980311	NO 1998-97	19980109
BG 63876	B1	20030430	BG 1998-102241	19980210
US 6239108	B1	20010529	US 1998-983391	19980810

US 6596687	B1	20030722	US 2000-482296		20000113
AU 758886	B2	20030403	AU 2000-36445		20000525
PRIORITY APPLN. INFO.:			US 1995-498237	A	19950711
			AU 1996-64894	A3	19960711
			WO 1996-US11570	W	19960711

OTHER SOURCE(S): MARPAT 126:199840

AB The present invention relates to novel peptide derivs. that are useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical composition of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many inflammatory and autoimmune diseases. Thus, coupling of 4-(2-MeC6H4NHCONH)C6H4CH2CO2H (preparation given) with protected peptide H-Leu-Asp(OCH2Ph)-Val-OCH2Ph (preparation given), followed by catalytic hydrogenolysis, gave cell adhesion inhibitor peptide 4-(2-MeC6H4NHCONH)C6H4CH2CO-Leu-Asp-Val-OH (I). All 408 prepared peptide derivs., including I, inhibited VLA4-dependent adhesion to a bovine serum albumin conjugate with H-Cys-Tyr-Asp-Glu-Leu-Pro-Gln-Leu-Val-Thr-Leu-Pro-His-Pro-Asn-Leu-His-Gly-Pro-Glu-Ile-Leu-Asp-Val-Pro-Ser-Thr-OH, with IC50 values of <1 mM.

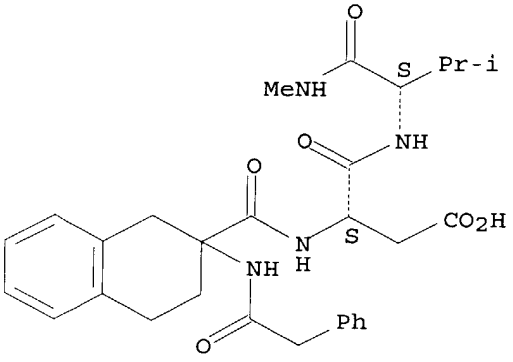
IT **187735-22-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivs. as cell adhesion inhibitors)

RN 187735-22-4 CAPLUS

CN L-Valinamide, 1,2,3,4-tetrahydro-2-[(phenylacetyl)amino]-2-naphthalenecarbonyl-L-α-aspartyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

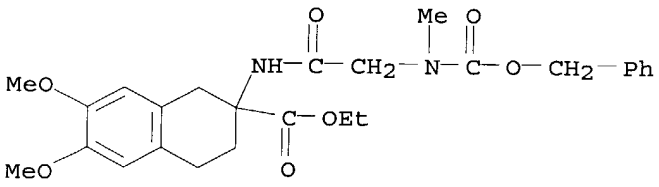


L4 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1996:466898 CAPLUS
DOCUMENT NUMBER:	125:114489
TITLE:	Preparation of heterocyclic amine-compound antagonists of gonadotropin-releasing hormone receptors
INVENTOR(S):	Kato, Kaneyoshi; Sugiura, Yoshihiro; Kato, Koichi
PATENT ASSIGNEE(S):	Takeda Chemical Industries, Ltd., Japan
SOURCE:	Eur. Pat. Appl., 123 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 712845	A1	19960522	EP 1995-308331	19951121
EP 712845	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08253447	A2	19961001	JP 1995-300330	19951117
CA 2163325	AA	19960522	CA 1995-2163325	19951120
US 5633248	A	19970527	US 1995-561282	19951121
AT 207058	E	20011115	AT 1995-308331	19951121

PRIORITY APPLN. INFO.: JP 1994-286245 A 19941121
OTHER SOURCE(S): MARPAT 125:114489
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; Ar1, Ar2 = (un)substituted aryl; P, Q = divalent aliphatic hydrocarbyl having ≥2 carbon atoms and optionally having ether O or S in the chain; R1, R3 = COR, CONHR, hydrocarbyl; R = hydrocarbyl, heterocyclyl; R2, R4 = H, alkyl; group; NR1R2 and/or NR3R4 may form a nitrogen-containing heterocyclic group; j = 0, 1], which demonstrate high gonadotropin-releasing hormone (GnRH) receptor antagonist activity, useful in the treatment of hormone-dependent diseases [e.g., prostate cancer (no data), endometriosis (no data), etc. (no data)], are prepared and I-containing formulations presented. Thus, II was prepared and demonstrated a IC50 of 0.08 μM against the binding of 125I-leuprolerin to human GnRH receptors.
IT **171800-96-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic amine-compound antagonists of gonadotropin-releasing hormone receptors)
RN 171800-96-7 CAPLUS
CN 2-Naphthalenecarboxylic acid, 1,2,3,4-tetrahydro-6,7-dimethoxy-2-[[[methyl[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

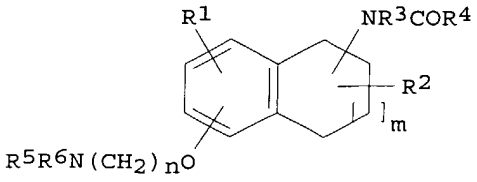


L4 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:382751 CAPLUS
DOCUMENT NUMBER: 125:58082
TITLE: Preparation of N-acyltetralinamines and analogs as cholesterol biosynthesis inhibitors
INVENTOR(S): Woitun, Eberhard; Maier, Roland; Mueller, Peter; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard; Budzinski, Ralph-Michael; Hallermayer, Gerhard
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

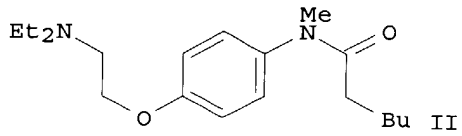
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 071,483

DE 4438029 A1 19960502 DE 1994-4438029 19941025
PRIORITY APPLN. INFO.: DE 1994-4438029 19941025
OTHER SOURCE(S): MARPAT 125:58082
GI



I



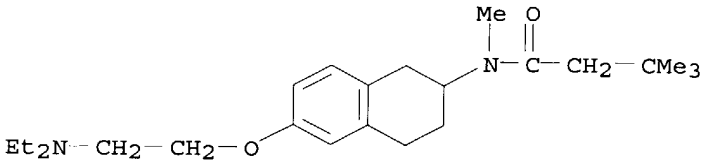
II

AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H or alkyl; R3 = alk(en)yl, Ph, **cyclohexyl**, etc.; R4 = (phenyl)alk(en)yl, Ph, **cyclohexyl**(alkyl), etc.; R5,R6 = H, alkyl, phenyl(alkyl); NR4R5 = heterocyclyl; m = 0-2; n = 2-4] were prepared Thus, 6-methoxy-2-tetralone was reductively aminated by MeNH and the product N-acylated by BuCH2COCl to give, after ether cleavage and reetherification with Et2NCH2CH2Cl, title compound II which had IC50 of 10-6M against cholesterol biosynthesis in human hepatoma cells in vitro.

IT **178057-83-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyltetralinamines and analogs as cholesterol biosynthesis inhibitors)

RN 178057-83-5 CAPLUS

CN Butanamide, N-[6-[2-(diethylamino)ethoxy]-1,2,3,4-tetrahydro-2-naphthalenyl]-N,3,3-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:994175 CAPLUS
DOCUMENT NUMBER: 124:55797
TITLE: Preparation of heterocyclic spiro compound monoamine oxidase inhibitors and calcium channel blockers
INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Nagai, Yasuo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 110 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

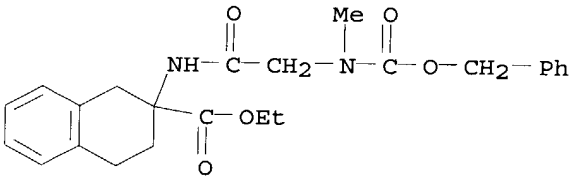
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 670313	A1	19950906	EP 1995-102939	19950302

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

10/ 071,483

CA 2143903	AA	19950905	CA 1995-2143903	19950303
JP 07291935	A2	19951107	JP 1995-43344	19950303
US 5591849	A	19970107	US 1995-398290	19950303

PRIORITY APPLN. INFO.: JP 1994-34421 19940304
OTHER SOURCE(S): MARPAT 124:55797
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = (un)substituted aromatic ring; D = CH2, O, NR; R = H, (un)substituted hydrocarbon group; T = H, (un)substituted hydrocarbon group; X = CH2, CO, CH(OH); e, f, n = 1-3], which inhibit monoamine uptake, monoamine oxidase B, and/or Ca-ion uptake, and are useful for the treatment of CNS diseases, are prepared and I-containing formulations presented. Thus, **piperidine** spiro derivative II was prepared and demonstrated a IC50 against 3H-norepinephrine uptake in rat cerebral cortex of 0.062 μM.
IT **171800-95-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic spiro compound monoamine oxidase inhibitors and calcium channel blockers)
RN 171800-95-6 CAPLUS
CN 2-Naphthalenecarboxylic acid, 1,2,3,4-tetrahydro-2-[[[methyl[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



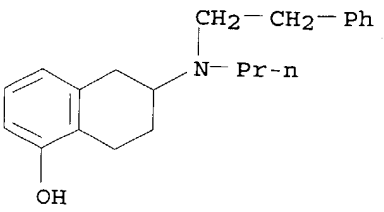
L4 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:313069 CAPLUS
DOCUMENT NUMBER: 122:122955
TITLE: Cytotoxic effects of sigma ligands: sigma receptor-mediated alterations in cellular morphology and viability
AUTHOR(S): Vilner, Bertold J.; de Costa, Brian R.; Bowen, Wayne D.
CORPORATE SOURCE: Unit Receptor Biochem. Pharmacology, National Inst. Diabetes Digestive Kidney Diseases, Bethesda, MD, 20892, USA
SOURCE: Journal of Neuroscience (1995), 15(1, Pt. 1), 117-34
CODEN: JNRSDS; ISSN: 0270-6474
PUBLISHER: Society for Neuroscience
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The morphol. effects of several neuroleptics as well as other novel and prototypic sigma ligands were examined by addition to cultures of C6 glioma cells. Sigma ligands caused loss of processes, assumption of spherical shape, and cessation of cell division. The time course and magnitude of this effect were dependent on the concentration of sigma ligand. Continued exposure to sigma compds. ultimately resulted in cell death. However, the morphol. effect was reversible when sigma ligand was removed shortly after rounding. The potency of compds. to produce these effects generally correlated with binding affinity at sigma receptors of C6 glioma cells membranes labeled with [3H](+)-pentazocine. At a concentration of 100 μM, haloperidol, reduced haloperidol, fluphenazine, perphenazine, trifluoperazine, BD737, LR172, BE1008, and SH344 produced significant

effects in 3-6 h of exposure. Other compds., such as trifluoperidol, thioridazine, and (-)-butaclamol, produced significant effects by 24 h of exposure. Despite the requirement of micromolar concns. of ligand (some compds. were effective at 30 μm), the effect showed a remarkable specificity for compds. exhibiting sigma receptor binding affinity. Neuroleptics lacking potent sigma affinity [e.g., (-)-sulpiride, (+)-butaclamol, and clozapine] and other compds. that lack significant sigma affinity but that are agonists or antagonists at dopamine, serotonin, adrenergic, glutamate, phencyclidine, GABA, opiate, or muscarinic cholinergic receptors were without effect on cellular morphol. at concns. up to 300 μM over a period of 72 h. Likewise, blockers and activators of Na+, K+, and Ca2+ channels and a monoamine oxidase inhibitor devoid of sigma affinity were without effect. Interestingly, 1,3-di-o-tolylguanidine (DTG), (+)-3-(3-hydroxyphenyl)-N-(1-propyl) **piperidine** [(+)-3-PPP], (+)-pentazocine, (+)-cyclazocine, and other sigma-active benzomorphans and morphinans appeared inactive in up to 72 h of culture. However, these compds. interacted synergistically with a subeffective dose of BD737 (30 μM) to produce effects usually in 6 h or less. Also, the pH of the culture medium had a profound effect on the activity of sigma compds. Increasing the pH from the normal range of 7.2-7.4 to pH 8.3-8.5 shifted the dose curves (30, 100, 300 μM) for all sigma compds. to the left. Under these conditions, DTG, (+)-3-PPP, and benzomorphans produced effects in 24 h or less. Decreasing the medium pH to 6.5-6.7 markedly reduced the activity of all sigma ligands, producing significant protection from cytotoxic effects. Importantly, compds. that lacked sigma binding affinity showed neither synergism with 30 μM MD737 nor an increase in activity at higher pH. These results confirm the sigma receptor specificity of this effect. Sigma ligands had similar effects on other cells of neuronal and non-neuronal origin, including SK-N-SH and SH-SY5Y neuroblastomas, NCB-20 hybridoma, NG 108-15 neuroblastoma-glioma hybrid, COS-7 (kidney), MRS-5 (lung), and PC12 pheochromosome vital role in cell function and may have important implications for neurodegenerative disorders and neuroleptic treatment.

IT **87857-27-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(cytotoxic effects of sigma ligands: sigma receptor-mediated alterations in cellular morphol. and viability)

RN 87857-27-0 CAPLUS

CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)propylamino]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:671968 CAPLUS

DOCUMENT NUMBER: 121:271968

TITLE: Pharmacological characterization of the novel discriminative stimulus effects of a low dose of cocaine

AUTHOR(S): Terry, Philip; Witkin, Jeffrey M.; Katz, Jonathan L.

CORPORATE SOURCE: Natl. Inst. Drug Abuse Intramural Res. Program, National Inst. Health, Baltimore, MD, USA

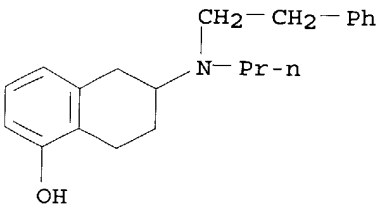
10/ 071,483

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1994), 270(3), 1041-8
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Twelve rats were trained to press one lever after cocaine injection (3 mg/kg i.p.) and another lever after saline injection. Once rats were reliably discriminating cocaine from saline, other drugs were examined for their efficacies in substituting for cocaine. The dopamine uptake inhibitors WIN 35,428 [2-β-carbomethoxy-3-β-(4-fluorophenyl)tropane-1,5-naphthalenedisulfonate] and GBR 12909 {1-[[2-bis(4-fluorophenyl)methoxy]ethyl]-4-[3-phenylpropyl] **piperazine** dihydrochloride} fully substituted for cocaine (cocaine responding >80%), whereas the peripherally active cocaine methiodide and the 5-hydroxytryptamine uptake inhibitor fluoxetine did not substitute at all. Pentobarbital also failed to produced any cocaine-appropriate responding. Two selective norepinephrine uptake inhibitors were tested: tomoxetine fully substituted for the 3-mg/kg dose of cocaine and nisoxetine approached full substitution (79.7% cocaine responding). The direct-acting dopamine D-1 agonists SKF 38393 [(±)-7-bromo-8-hydroxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine HCl], SKF 77434 [(±)-7,8-dihydroxy-3-allyl-1-phenyl-2,3,4,5-tetrahydro-1H-benzazepine HCl] and SKF 75670 [3-methyl-7,8-dihydroxy-1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine HBr] fully substituted for cocaine, whereas the peripherally active dopamine D-1 agonist fenoldopam did not. Of four dopamine D-2 agonists tested, only quinpirole fully substituted; the others (N-0434 [(±)-2-(N-propyl-N-phenylethylamino)-5-hydroxytetralin], (-)-NPA [R(-)-propylnorapomorphine HCl] and SDZ 208-912 {N-[(8)-2,6-dimethylergoline-8-yl]-2,2-dimethylpropanamide}) produced very limited partial substitution (cocaine responding <32%). The results indicate that the 3 mg/kg of cocaine discriminative stimulus is centrally mediated and pharmacol. specific, but different from that produced by the more commonly used 10-mg/kg training dose. After training at 3 mg/kg of cocaine, there is an enhanced involvement of dopamine D-1 receptors in comparison with dopamine D-2 receptors. The results further suggest that not all dopamine D-2 agonists produce similar effects at a training dose of 3 mg/kg of cocaine. Finally these results suggest an involvement of norepinephrine in the discriminative stimulus effects of low doses of cocaine.

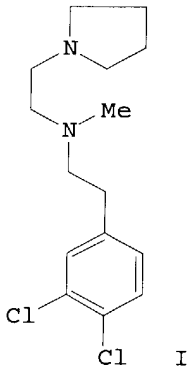
IT **71787-90-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. characterization of novel discriminative stimulus effects of low dose of cocaine)

RN 71787-90-1 CAPLUS
CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)propylamino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:106904 CAPLUS
DOCUMENT NUMBER: 120:106904
TITLE: Synthesis and evaluation of conformationally restricted N-[2-(3,4-dichlorophenyl)ethyl]-N-methyl-2-(1-pyrrolidinyl)ethylamines at σ receptors. 2. **Piperazines**, bicyclic amines, bridged bicyclic amines, and miscellaneous compounds
AUTHOR(S): de Costa, Brian R.; He, Xiaoshu; Linders, Joannes T. M.; Dominguez, Celia; Gu, Zi Qiang; Williams, Wanda; Bowen, Wayne
CORPORATE SOURCE: Lab. Med. Chem., Natl. Inst. Diabetes Dig. Kidney Dis., Bethesda, MD, 20892, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(16), 2311-20
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:106904
GI



I

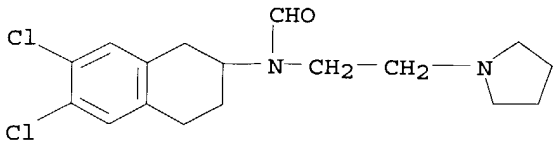
AB As a continuation of an earlier study (J. Med. Chemical 1992, 35, 4334-4343) the σ-receptor ligand was conformationally restricted in 2-(1-pyrrolidinyl)-N-[2-(3,4-dichlorophenyl)ethyl]-N-methylethylamine (I) by incorporating it into homologous **piperazines** and homopiperazines, diazabicyclononanes and decanes, bridgehead bicyclooctanes and nonanes as well as other miscellaneous compds. σ-Receptor binding affinities were obtained using [3H](+)-pentazocine in guinea pig brain membranes. Probably the N lone pair orientation found in the **piperazines** affords the strongest binding interaction. Other N lone pair orientations or compds. representing unlikely staggered conformations of I [as in 4-[2-(3,4-dichlorophenyl)ethyl]-1,4-diazabicyclo[3.2.2]nonane] show very weak σ interaction. Comparison of the binding data of different N-substituted homologs of I with those of the 1-[2-(3,4-dichlorophenyl)ethyl]-4-alkylpiperazines suggests that the 2 N atoms of I are working in opposition to one another in terms of their sensitivity to steric bulk. The high binding affinity of 1,4-diazabicyclo[4.3.0]nonanes suggests that these may approx. the Me and pyrrolidine ring conformations found in I when it is bound to the σ receptor. Binding data suggest that the conformation of I favors strong binding interaction at σ-receptors. σ-Receptor Ki's was 0.55 nM for 1-[2-(3,4-dichlorophenyl)ethyl]-4-n-butylpiperazine. Overall comparison of the results indicate that I is subject to considerable conformational freedom and suggests that the σ receptor is not subject to rigid stereochem. restraints with I. These results corroborate an earlier study

where I was restrained using simple monocyclic heterocycles.

IT **150208-75-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as σ-receptor antagonist)

RN 150208-75-6 CAPLUS

CN Formamide, N-(6,7-dichloro-1,2,3,4-tetrahydro-2-naphthalenyl)-N-[2-(1-pyrrolidinyl)ethyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

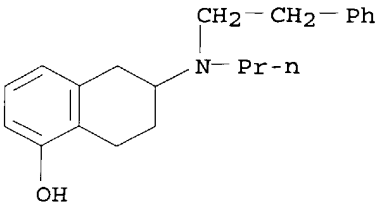
L4 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:120780 CAPLUS
DOCUMENT NUMBER: 116:120780
TITLE: Competitive interactions at [3H]1,3-di(2-tolyl)guanidine (DTG)-defined σ recognition sites in guinea pig brain
AUTHOR(S): DeHaven-Hudkins, Diane L.; Fleissner, Lorraine C.
CORPORATE SOURCE: Dep. Enzymol. Receptor Biochem., Sterling Winthrop Pharm. Res. Div., Malvern, PA, 19355-1314, USA
SOURCE: Life Sciences (1992), 50(9), PL65-PL70
CODEN: LIFSAK; ISSN: 0024-3205
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In saturation binding expts., (+)pentazocine, (+)3-(3-hydroxyphenyl)-N-propylpiperidine (3-PPP), haloperidol, and rimcazole did not inhibit the binding of [3H]DTG in a purely competitive fashion. Although Scatchard anal. indicated that [3H]DTG bound to a single site, the inhibition curves of some, but not all, reference compds. exhibited Hill coeffs. of less than 0.8. The Scatchard data were consistent with a model of hyperbolic competitive inhibition of binding to the [3H]DTG-defined σ site, although other possibilities such as neg. cooperativity or binding to two sites cannot be definitely excluded. Compds. from numerous pharmacol. and structural causes inhibited the binding of [3H]DTG, suggesting that interactions of [3H]DTG with other receptors may have confounded the Scatchard anal. of the binding of [3H]DTG to σ recognition sites.

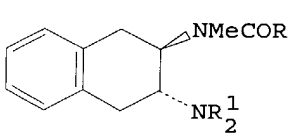
IT **87857-27-0**
RL: BIOL (Biological study)
(at ditolylguanidine-defined σ recognition sites competitive interaction of, in brain)

RN 87857-27-0 CAPLUS

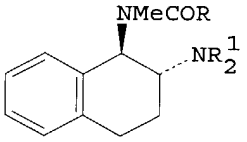
CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)propylamino]- (9CI) (CA INDEX NAME)



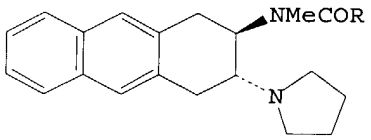
L4 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:408474 CAPLUS
DOCUMENT NUMBER: 115:8474
TITLE: Naphtho and benzo analogs of the κ opioid agonist trans-(±)-3,4-dichloro-N-methyl-N-[2-(1-pyrrolidinyl)**cyclohexyl**]benzeneacetamide
AUTHOR(S): Freeman, Jeremiah P.; Michalson, Eric T.; D'Andrea, Stan V.; Baczynskyj, Lubomir; VonVoigtlander, Philip F.; Lahti, R. A.; Smith, Martin W.; Lawson, Charles F.; Scahill, Terrence A.; et al.
CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Notre Dame, Notre Dame, IN, 46556, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(6), 1891-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

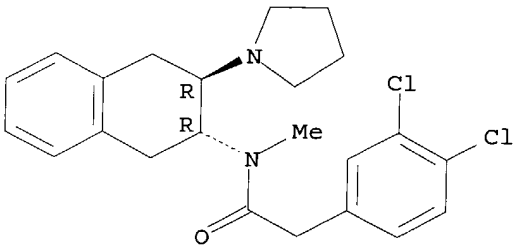


III

AB Further elaboration on the structure-activity relationships in the U-50,488 series has revealed that benzologation of this 1,2-cyclohexanediamine derivative provides compds. which either maintain the interaction with the κ receptor, e.g., phenylacetamides I and II, (R = 3,4-Cl2C6H3CH2, R12N = 1-pyrrolidinyl) or eliminate the μ receptor-mediated analgesia e.g., benzamides I and II, (R = 3,4-Cl2C6H3, R1 = Me, R12N = 1-pyrrolidinyl). Naphthologation also caused the elimination of μ receptor-mediated analgesia e.g., naphthalenes III (R = 3,4-Cl2C6H3CH2, 3,4-Cl2C6H3). The products were prepared from dihydronaphthalenes or dihydroanthracene by a sequence of epoxidn., amination and acylation.

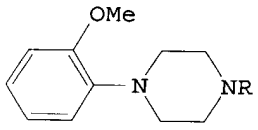
IT **115904-98-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and opioid antagonist activity of)
RN 115904-98-8 CAPLUS
CN Benzeneacetamide, 3,4-dichloro-N-methyl-N-[1,2,3,4-tetrahydro-3-(1-pyrrolidinyl)-2-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

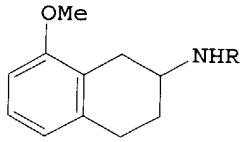


L4 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

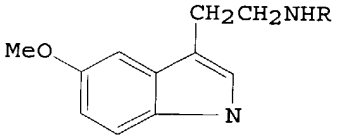
ACCESSION NUMBER: 1989:477800 CAPLUS
DOCUMENT NUMBER: 111:77800
TITLE: N-(phthalimidoalkyl) derivatives of serotonergic agents: a common interaction at 5-HT1A serotonin binding sites?
AUTHOR(S): Glennon, Richard A.; Naiman, Noreen A.; Pierson, M. Edward; Smith, J. Doyle; Ismaiel, Abd M.; Titeler, Milt; Lyon, Robert A.
CORPORATE SOURCE: Med. Coll. Virginia, Virginia Commonw. Univ., Richmond, VA, 23298-0581, USA
SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1921-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:77800
GI



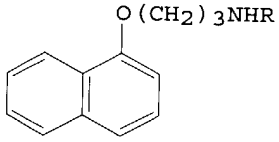
I



II



III



IV

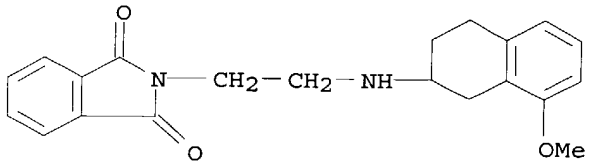
AB Several classes of agents bind at central 5-HT1A serotonin sites. To challenge the hypothesis that these agents bind in a relatively similar manner (i.e., share common aryl and terminal amine sites), N-(phthalimidobutyl) derivs. of several such agents were prepared This bulky functionality is tolerated by the receptor when incorporated into examples of all major classes of 5-HT1A agents [i.e., arylpiperazine, 2-aminotetralin, phenylalkylamine, indolylalkylamide, and (aryloxy)alkylamine derivs. (e.g., I, II, PhCH2CH2NHR, III, IV, resp.; R = 4-phthalimido-1-butyl)]. The length of the alkyl chain separating the terminal amine from the phthalimido group is of major importance, and a 4-carbon chain appears optimal. Alteration of the chain length can have a significant influence on the affinity; decreasing the chain length from 4 to 3 carbon atoms can reduce the affinity by an order of magnitude, and

further shortening can have an even more pronounced effect.

IT **120991-69-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and serotonin receptor binding of)

RN 120991-69-7 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[(1,2,3,4-tetrahydro-8-methoxy-2-naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

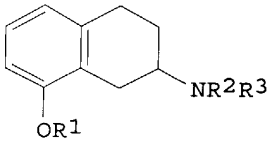
L4 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:57322 CAPLUS
DOCUMENT NUMBER: 110:57322
TITLE: Preparation of 2-aminotetralin derivatives as drugs
INVENTOR(S): Schohe, Rudolf; Glaser, Thomas; Traber, Joerg; Allen, George S.
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 82 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3718317	A1	19880616	DE 1987-3718317	19870601
NO 8704939	A	19880613	NO 1987-4939	19871126
NO 166639	B	19910513		
NO 166639	C	19910821		
EP 270947	A2	19880615	EP 1987-117549	19871127
EP 270947	A3	19881228		
EP 270947	B1	19930519		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 89546	E	19930615	AT 1987-117549	19871127
ES 2054649	T3	19940816	ES 1987-117549	19871127
JP 01153662	A2	19890615	JP 1987-304711	19871203
FI 8705395	A	19880611	FI 1987-5395	19871208
US 4880802	A	19891114	US 1987-130373	19871208
DD 281376	A5	19900808	DD 1987-310089	19871208
CA 1332834	A1	19941101	CA 1987-553731	19871208
DK 8706470	A	19880611	DK 1987-6470	19871209
ZA 8709254	A	19880831	ZA 1987-9254	19871209
HU 46654	A2	19881128	HU 1987-5542	19871209
AU 8782417	A1	19880616	AU 1987-82417	19871210
AU 606904	B2	19910221		
CN 87107539	A	19880713	CN 1987-107539	19871210
US 5026857	A	19910625	US 1989-378733	19890712
US 5153225	A	19921006	US 1991-682823	19910409
US 4880802	B1	19940125	US 1991-90002346	19910510

10/ 071,483

US 5298513	A	19940329	US 1992-891485	19920529
US 5463105	A	19951031	US 1993-131267	19931001
PRIORITY APPLN. INFO.:			DE 1986-3642192	19861210
			DE 1987-3718317	19870601
			EP 1987-117549	19871127
			US 1987-130373	19871208
			US 1989-378733	19890712
			US 1991-682823	19910409
			US 1992-891485	19920529

OTHER SOURCE(S): CASREACT 110:57322; MARPAT 110:57322
GI

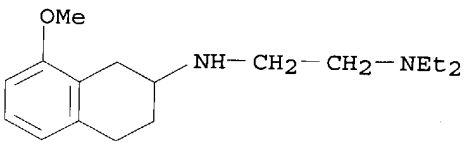


I

AB Title compds. I [R1 = H, alkyl; R2 = H, acyl, alkyl, R3 = quinuclidinyl, (substituted) alkyl, alkenyl, alkynyl, or benzyl, heterocyclyl] are prepared for treating central nervous system, cardiovascular, and intestinal disorders in humans and animals. Reductive amination of 3.0 mmol 8-methoxy-2-tetralone with 9.0 mmol 4-(ethoxycarbonylaminomethyl) **piperidine** and NaBH3CN in MeOH gave 43% I [R1 = Me; NR2R3 = 4-(ethoxycarbonylaminomethyl)**piperidino**] (II). In tests measuring contraction of arteria basilaris in dogs II.2HCl showed a serotonin antagonistic effect.

IT **116618-66-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as central nervous system, cardiovascular and gastrointestinal agent)

RN 116618-66-7 CAPLUS
CN 1,2-Ethanediamine, N,N-diethyl-N'-(1,2,3,4-tetrahydro-8-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

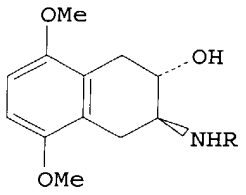


L4 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1984:551549 CAPLUS
DOCUMENT NUMBER:	101:151549
TITLE:	Derivatives of 2-amino-1,2,3,4-tetrahydronaphthalene, X. Syntheses of N-alkyl- and -dialkylaminoalkanoyl derivatives of trans-2-amino-3-hydroxy-5,8-dimethoxy-1,2,3,4-tetrahydronaphthalene
AUTHOR(S):	Khristova, K.; Danchev, D.
CORPORATE SOURCE:	Fac. Pharm., Med. Acad., Sofia, 1000, Bulg.
SOURCE:	Archiv der Pharmazie (Weinheim, Germany) (1984), 317(7), 619-23 CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE:	Journal
LANGUAGE:	English

10/ 071,483

GI



I

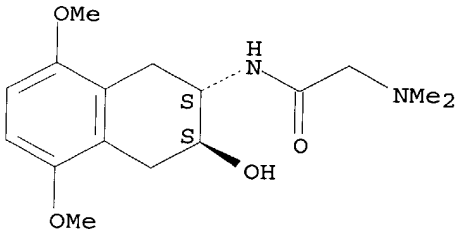
AB The amides I [R = COCH2NR1R2; R1 = H, R2 = CHMe2, CHMeEt, CMe3; R1 = R2 = Me, Et; NR1R2 = pyrrolidino, **piperidino**, morpholino, 4-(2-hydroxyethyl)**piperazino**] were prepared by acylating I (R = H) with ClCOCH2Cl and aminating I (R = COCH2Cl). I (R = COCH2NHCMe3) had antiarrhythmic activity (no data).

IT **92311-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 92311-84-7 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-(1,2,3,4-tetrahydro-3-hydroxy-5,8-dimethoxy-2-naphthalenyl)-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L4 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:406778 CAPLUS

DOCUMENT NUMBER: 101:6778

TITLE: Synthesis and β-adrenergic blocking activity of 2-(N-substituted amino)-1,2,3,4-tetrahydronaphthalen-1-ol derivatives

AUTHOR(S): Itoh, Katsumi; Miyake, Akio; Tada, Norio; Hirata, Minoru; Oka, Yoshikazu

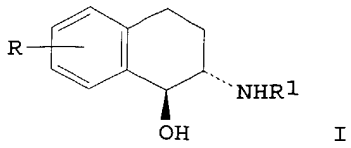
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1984), 32(1), 130-51
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



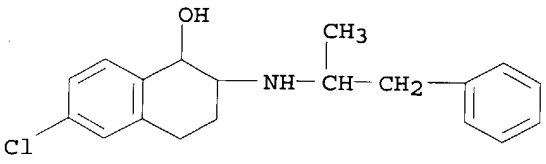
I

AB The title compds. I (R = alkoxy, alkylthio, substituted amino, cyano aryl, halo, etc., R1 = CHMe2, CHMeCH2CH2Ph, CHPh2 **cyclohexyl**) were prepared from 3,4-dihydro-1(2H)-naphthaleneones. I were tested in vitro for β-adrenergic activity. I (R = 6-Cl, R1 = CHPh2) at 10-6 M inhibited isoproterenol-induced tachycardia by 22%.

IT **90400-43-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and β-adrenergic activity of)

RN 90400-43-4 CAPLUS

CN 1-Naphthalenol, 6-chloro-1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:121048 CAPLUS
DOCUMENT NUMBER: 86:121048
TITLE: Derivatives of 2-amino-(1,2,3,4-tetrahydronaphthalene)
INVENTOR(S): Vanhoof, Pierre M.; Clarebout, Pierre M.
PATENT ASSIGNEE(S): Christiaens, A., S. A., Belg.
SOURCE: U.S., 8 pp. Division of U.S. 3,943,172.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

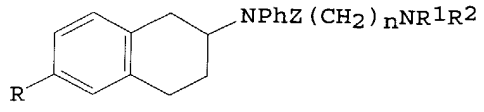
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3984464	A	19761005	US 1974-530096	19741206
US 3943172	A	19760309	US 1973-404048	19731005
US 3981872	A	19760921	US 1974-530095	19741206

PRIORITY APPLN. INFO.:

BE	1972-46268	19721006
US	1973-404048	19731005
GB	1972-46268	19721006

GI

10/ 071,483



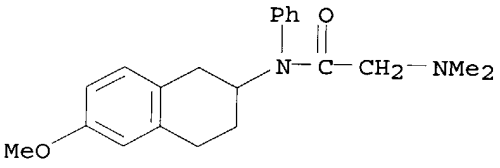
I

AB About 18 aminonaphthalenes I [R = H, OMe; R1 = H, Me, Et; R2 = Me, Et; or (NR1R2) = **piperidino**, pyrrolidino; Z = CH2, CO; n = 1,2; base or acid addition salt, e.g., fumarate and oxalate], useful as antiarrhythmics, were prepared Thus, β-tetralol was converted to its mesylate, which was heated with PhNH2 at 130°c and the product was acidified with HCl to give 2-anilinotetralin hydrochloride (II). II was treated with NaNH2 in PhMe and then heated with Cl(CH2)3NEt2 to give I (R = H; R1 = R2 = Et; Z = CH2; n = 2) (III). Acid addition salts of III on oral administration to rats showed antiarrhythmic activity 719% of that of procainamide.

IT **61895-25-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 61895-25-8 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-phenyl-N-(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:420934 CAPLUS

DOCUMENT NUMBER: 85:20934

TITLE: Aminotetralol compounds

INVENTOR(S): Sugihara, Hirosada; Watanabe, Masazumi; Motohashi, Michio; Nishikawa, Masao; Sanno, Yasushi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Ger. Offen., 149 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

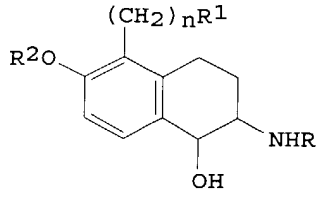
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2525512	A1	19751218	DE 1975-2525512	19750607
DE 2525512	C2	19871126		
JP 58033219	B4	19830718	JP 1974-67539	19740612
JP 50160249	A2	19751225		
JP 51125265	A2	19761101	JP 1974-137883	19741129
JP 51086456	A2	19760729	JP 1975-8148	19750117
ZA 7503647	A	19760526	ZA 1975-3647	19750605
BE 830122	A1	19751211	BE 1975-157237	19750611

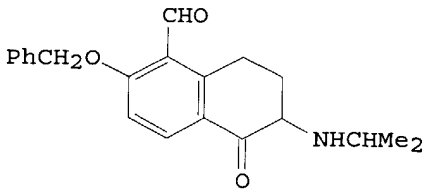
PRIORITY APPLN. INFO.: JP 1974-67539 19740612
JP 1974-123539 19741025
JP 1974-137883 19741129

JP 1975-8148 19750117

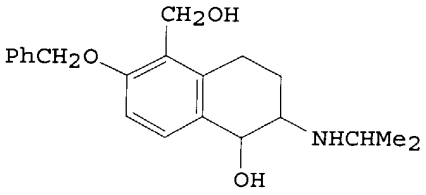
GI



I



II



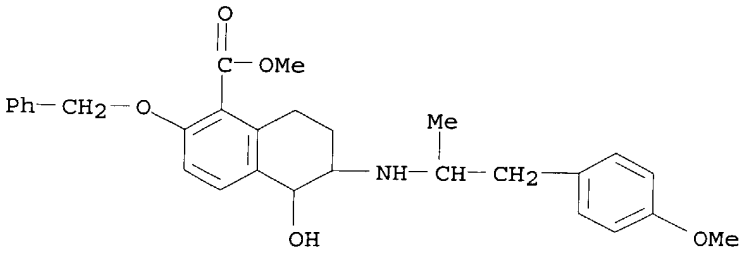
III

AB Tetrahydronaphthols I (R = Me2CH, Me3C, **cyclohexyl**, 4-MeOC6H4CH2CHMe, etc; R1 = H, Ac, HO, NO2, CN, NH2, etc; R2 = H, PhCH2, Me; n = 0,1,2), useful as bronchodilators, were prepared Thus, reduction of II with NaBH4 gave III. Several methods for the preparation of starting materials and animal test procedures were described. Pharmaceutical formulations were given.

IT **59605-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 59605-18-4 CAPLUS

CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:105281 CAPLUS

DOCUMENT NUMBER: 84:105281

TITLE: Aminotetralol compounds

INVENTOR(S): Sugihara, Hirosada; Watanabe, Masazumi; Motohashi, Michio; Nishikawa, Masao; Sanno, Yasushi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Ger. Offen., 63 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

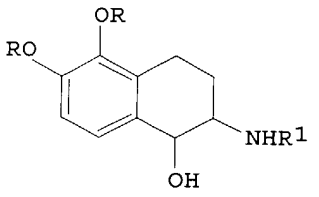
10/ 071,483

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

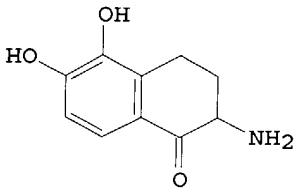
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2514455	A1	19751030	DE 1975-2514455	19750403
JP 50148341	A2	19751127	JP 1974-44631	19740419
JP 50140432	A2	19751111	JP 1974-46097	19740423
JP 50142547	A2	19751117	JP 1974-47211	19740425
JP 58026332	B4	19830602		
DK 7500872	A	19751020	DK 1975-872	19750304
US 4010202	A	19770301	US 1975-555128	19750304
NO 7500835	A	19751021	NO 1975-835	19750312
AU 7579045	A1	19760916	AU 1975-79045	19750313
ES 435624	A1	19770316	ES 1975-435624	19750314
CA 1050557	A1	19790313	CA 1975-222226	19750317
FR 2267763	A1	19751114	FR 1975-9116	19750324
FR 2267763	B1	19780630		
BE 827375	A1	19750929	BE 1975-154941	19750328
AT 7502490	A	19770115	AT 1975-2490	19750402
AT 338773	B	19770912		
CH 617180	A	19800514	CH 1975-4321	19750404
NL 7504551	A	19751021	NL 1975-4551	19750416
SE 7504450	A	19751020	SE 1975-4450	19750417
FI 7501146	A	19751020	FI 1975-1146	19750417
GB 1502155	A	19780222	GB 1975-16345	19750421

PRIORITY APPLN. INFO.: JP 1974-44631 19740419
JP 1974-46097 19740423
JP 1974-47211 19740425

OTHER SOURCE(S): CASREACT 84:105281
GI



I



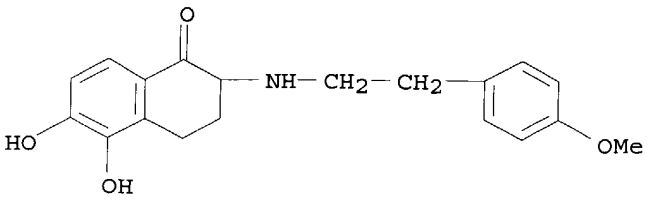
III

AB Aminotetrahydronaphthols I (R = H, Me, PhCH2; R1 = **cyclohexyl**, PhCH2CH2, cyclobutyl, MeOCH2CH2, PhCHMeCH2, etc.) were prepared by the reaction of a dihydroxyaminodihydronaphthalenone with an aldehyde or ketone and hydrogenation of the intermediate. Thus, I (R = R1 = H) (II) reacted with Ph(CH2)2CHO in EtOH, followed by hydrogenation to give I (R = H, R1 = PhCH2CH2CH2). II was prepared by the hydrogenation of III. I were useful as bronchodilators. Test data and pharmaceutical formulations were given.

IT **58475-69-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 58475-69-7 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-5,6-dihydroxy-2-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

L4 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:403674 CAPLUS
DOCUMENT NUMBER: 81:3674
TITLE: Antiarrhythmic N-(aminoalkyl)- and N-(aminoalkanoyl)-N-phenyl-1,2,3,4-tetrahydronaphthalenamines
INVENTOR(S): Vanhoof, Pierre; Clarebout, Pierre
PATENT ASSIGNEE(S): Manufacture de Produits Pharmaceutiques A. Christiaens S. A.
SOURCE: Ger. Offen., 29 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2349841	A1	19740411	DE 1973-2349841	19731004
DE 2349841	B2	19791129		
DE 2349841	C3	19800814		
BE 805437	A1	19740328	BE 1973-136144	19730928
ZA 7307695	A	19740828	ZA 1973-7695	19731001
CA 1020944	A1	19771115	CA 1973-182410	19731002
FR 2201891	A1	19740503	FR 1973-35524	19731004
AU 7361014	A1	19750410	AU 1973-61014	19731004
AT 7308487	A	19750715	AT 1973-8487	19731004
AT 329041	B	19760426		
DD 107903	C	19740820	DD 1973-173906	19731005
DD 108903	C	19741012	DD 1973-175710	19731005
HU 167253	P	19750927	HU 1973-CI1414	19731005
ES 419381	A1	19760401	ES 1973-419381	19731005
GB 1440440	A	19760623	GB 1972-46268	19731005
CH 585691	A	19770315	CH 1973-14285	19731005
CH 589607	A	19770715	CH 1976-13702	19731005
NL 156131	B	19780315	NL 1973-13721	19731005
JP 49092056	A2	19740903	JP 1973-112750	19731006
US 3981872	A	19760921	US 1974-530095	19741206
AT 7409956	A	19760115	AT 1974-9956	19741213
AT 332386	B	19760927		

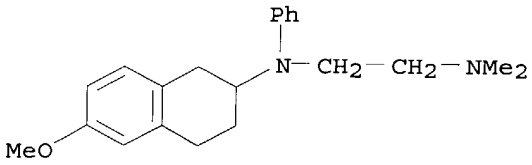
PRIORITY APPLN. INFO.:
GB 1972-46268 19721006
AT 1973-8487 19731004
US 1973-404048 19731005

GI For diagram(s), see printed CA Issue.

AB Fourteen aminonaphthalenes I [R = H or OMe; R1 = H; R2 = NPhCO(CH2)2NHR4 or NPh(CH2)nNR3R4 with n = 2 or 3; R3 = H, Me, or Et, R4 = Me or Et, or NR3R4 = 1-pyrrolidinyl or **piperidino**] were prepared, mainly as

salts, by reaction of I (R1 = H, R2 = NHPh) (II) with Cl(CH2)nNR3R4 or successive reaction of II with ClCO(CH2)2Cl and R4NH2, optionally followed by LiAlH4 reduction II were prepared by NaBH4 reduction of I (R1R2 = O), reaction of the resulting I (R1 = H, R2 = OH) with ClSO2Me, and subsequent reaction with PhNH2. I had antiarrhythmic activity in rats.

IT **52802-29-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52802-29-6 CAPLUS
CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



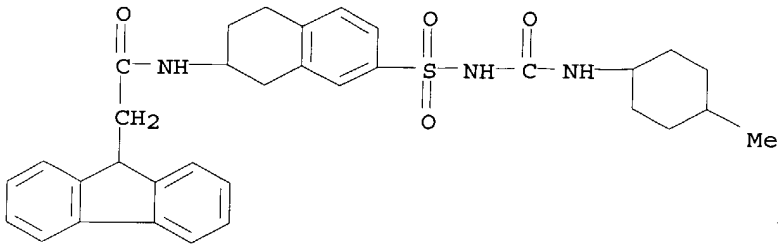
L4 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:111008 CAPLUS
DOCUMENT NUMBER: 78:111008
TITLE: [2-(Acylamino)-1,2,3,4-tetrahydro-7-naphthylsulfonyl]ureas
INVENTOR(S): Heerdt, Ruth; Huebner, Manfred; Schmidt, Felix Helmut; Thiel, Max; Aumueller, Walter
PATENT ASSIGNEE(S): Boehringer, Mannheim G.m.b.H.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2135805	A1	19730208	DE 1971-2135805	19710717
GB 1336983	A	19731114	GB 1972-32364	19720711
FR 2146260	A1	19730302	FR 1972-25217	19720712
SE 382632	B	19760209	SE 1972-9167	19720712
CH 577468	A	19760715	CH 1975-16011	19720712
CH 583187	A	19761231	CH 1972-10482	19720712
AT 320661	B	19750225	AT 1972-6093	19720714
AT 324350	B	19750825	AT 1973-9454	19720714

PRIORITY APPLN. INFO.: DE 1971-2135805 19710717
GI For diagram(s), see printed CA Issue.
AB Nineteen title compds. [I, e.g. R = 2,5-MeOMeC6H3, 2,5-MeOFC6H3, 2,5-(MeO)2C6H3, 2,5-EtOClC6H3, 3-(β-methoxyethoxy)-2-thienyl, fluoren-9-ylmethyl, 5-methyl-3-isoxazolyl; R1 = Bu, cyclopentyl, 4-methylcyclohexyl, 3-cyclohexenyl, 1-adamantyl, or 4-methylpiperidino], useful as hypoglycemics, were prepared by reaction of II with OCNR1 or of III with H2NR1. I (R = 5-methyl-3-isoxazolyl, R1 = **cyclohexyl**) was prepared by adding 5-methylisoxazole-3-carbonyl chloride to N-(2-amino-1,2,3,4-tetrahydro-7-naphthylsulfonyl)-N'-cyclohexylurea.

IT **40153-68-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40153-68-2 CAPLUS
CN 9H-Fluorene-9-acetamide, N-[1,2,3,4-tetrahydro-7-[[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonyl]-2-naphthalenyl]- (9CI)

(CA INDEX NAME)

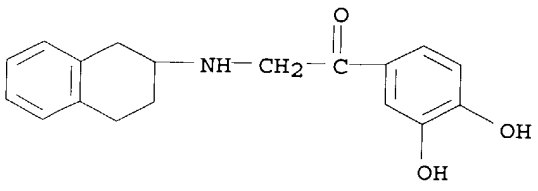


L4 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:522371 CAPLUS
DOCUMENT NUMBER: 77:122371
TITLE: Effect of N-cycloalkylation on the cardiovascular activity of noradrenalone
AUTHOR(S): Chang, L. C. T.; Cobbin, L. B.
CORPORATE SOURCE: Dep. Pharmacol., Univ. Sydney, Sydney, Australia
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1972), 197(2), 222-35
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English

AB N-cycloalkyl substituted noradrenalones such as N-cyclobutyl noradrenalone (I) [34604-72-3], N-cyclopentyl noradrenalone [16149-16-9], and N-**cyclohexyl** noradrenalone [16149-18-1] act directly upon adrenergic receptors and release noradrenaline from sympathetic nerve endings, and adrenaline from the suprarenal glands. They increase amplitude of contraction and coronary flow in isolated perfused kitten hearts. In spinal cats the compds. cause an initial depressor response, followed by a secondary increase in the blood pressure, accompanied by a small increase in heart rate. They are much less potent than the naturally occurring catechol amines on the cardiovascular system. All compds. except N-**cyclohexyl** noradrenalone cause contraction of the spleen but none had any significant effect upon the nictitating membrane.

IT **33406-45-0**
RL: BIOL (Biological study)
(circulation in response to)

RN 33406-45-0 CAPLUS
CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:99694 CAPLUS
DOCUMENT NUMBER: 76:99694
TITLE: Blood sugar-lowering sulfonylamino pyrimidines
INVENTOR(S): Hagedorn, Adolf; Huebner, Manfred; Heerdt, Ruth;

10/ 071,483

	Schmidt, Felix Helmut; Aumueller, Walter
PATENT ASSIGNEE(S):	Boehringer Mannheim G.m.b.H.
SOURCE:	Ger. Offen., 18 pp.
	CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2022746	A	19711202	DE 1970-2022746	19700509
CH 563992	A	19750715	CH 1971-6640	19710505
CH 563993	A	19750715	CH 1975-3593	19710505
CH 563994	A	19750715	CH 1975-3594	19710505
CH 572913	A	19760227	CH 1975-3595	19710505
GB 1291661	A	19721004	GB 1971-1291661	19710506
FR 2100641	A5	19720324	FR 1971-16514	19710507
FR 2100641	B1	19750418		
AT 306728	B	19730425	AT 1971-3996	19710507
AT 306737	B	19730425	AT 1972-4102	19710507
AT 306738	B	19730425	AT 1972-4104	19710507
AT 307428	B	19730525	AT 1972-4103	19710507

PRIORITY APPLN. INFO.: DE 1970-2022746 19700509

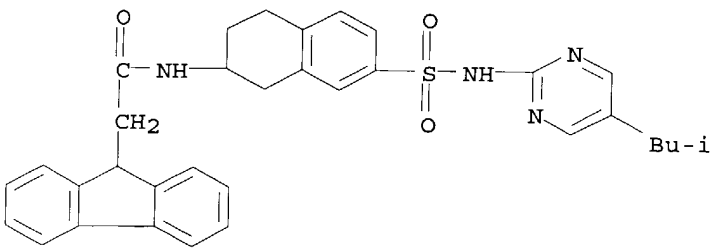
GI For diagram(s), see printed CA Issue.

AB Approx. 20 title compds. [I, R = 5,2-Me(MeO)C6H3, 5,2-Cl(MeO)C6H3, 5,2-Cl(EtO)C6H3, 5,2-F(MeO)C6H3, 5,2-Br(MeO)C6H3, 9-fluorenylmethyl, 2-MeOC6-H4, 3-ethoxy-2-thienyl, 3-(2-methoxyethoxy)-2-thienyl; R1 = Me2CHO, Pr, MeOCH2, Me2CHS, Me2CHCH2, PhCH2, MeOCH2CH2, **cyclohexyl**, cyclohexylmethyl, cyclohexyloxy, Ph; R2 = H, Me; R1R2 = (CH2)4] were prepared -(2-Methoxy-5-methylbenzamido)-1,2,3,4-tetrahydronaphthalene-7-sulfonyl chloride was treated with 2-amino-5-isopropoxypyrimidine in absolute pyridine to give I [R = 5,2-Me(MeO)C6H3, R1 = Me2CHO, R2 = H]. -Fluorenylacetyl chloride was treated with 2-amino-N-(5-isobutyl-2-pyrimidinyl)-1,2,3,4-tetrahydronaphthalene-7-sulfonamide to give 2-(9-fluorenylacetamido)-N-(5-isobutyl-2-pyrimidinyl)-1,2,3,4-tetrahydronaphthalene-7-sulfonamide. -(5-Chloro-2-methoxybenzamido)-1,2,3,4-tetrahydronaphtha-lene-7-sulfonamide Na salt and 5-isobutyl-2-trimethylammonio-pyrimidine chloride gave I [R = 5,2-Cl(MeO)C6H3, R1 = Me2CHCH2, R2 = H].

IT **35204-80-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35204-80-9 CAPLUS

CN 9H-Fluorene-9-acetamide, N-[1,2,3,4-tetrahydro-7-[[[5-(2-methylpropyl)-2-pyrimidinyl]amino]sulfonyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:10300 CAPLUS

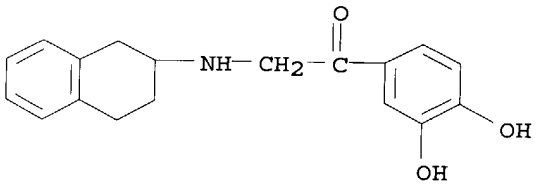
10/ 071,483

DOCUMENT NUMBER: 76:10300
TITLE: Acute toxicities of N-cycloalkyl derivatives of noradrenalone and their effects on voluntary locomotor activity in mice
AUTHOR(S): Chang, L. C. T.; Cobbin, L. B.
CORPORATE SOURCE: Dep. Pharmacol., Univ. Sydney, Sydney, Australia
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1971), 192(2), 279-85
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The acute toxicities of N-cycloalkyl derivs. of noradrenalone (I) [499-61-6] in mice were less than those of catechol amines, their derivs., and isoprenaline [7683-59-2]. N-cyclobutyl noradrenalone [33406-44-9] stimulated the central nervous system, while N-β-tetrahydronaphthyl noradrenalone [**33406-45-0**] and I were inhibitory. N-cyclopentyl and N-**cyclohexyl** I had no significant effect on the voluntary locomotor activity.

IT **33406-45-0**
RL: BIOL (Biological study)
(nervous system in response to, toxicity in relation to)

RN 33406-45-0 CAPLUS
CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]- (9CI) (CA INDEX NAME)



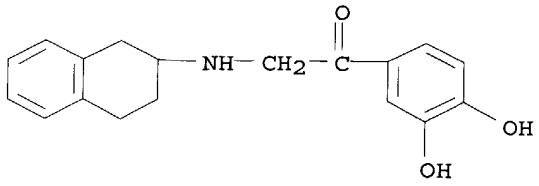
L4 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:516734 CAPLUS
DOCUMENT NUMBER: 67:116734
TITLE: New sympathomimetic amines. 1,2,3,4-tetrahydro-2-naphthylamine and of noradrenaline
AUTHOR(S): Temple, Diana M.
CORPORATE SOURCE: Univ. Sydney, Sydney, Australia
SOURCE: Australian Journal of Chemistry (1967), 20(3), 601-4
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB For the study of their sympathomimetic or sympatholytic actions, compds. of the structures I and II were prepared by known methods: I in which R = cyclopentyl, R' = H or Me, and R = cyclobutylmethyl, R' = H; and II in which R = cyclobutyl, cyclopentyl, **cyclohexyl**, 1,2,3,4-tetrahydro-2-naphthyl, or p-acetylphenyl.

IT **16149-22-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 16149-22-7 CAPLUS
CN Acetophenone, 3',4'-dihydroxy-2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

L4 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:453927 CAPLUS
DOCUMENT NUMBER: 67:53927
TITLE: 9,10-Ethano-9,10-dihydroanthracene diamines
INVENTOR(S): Boissier, Jacques R.; Ratouis, Roger
PATENT ASSIGNEE(S): Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)
SOURCE: Fr., 4 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1451527		19660902	FR	19650722

GI For diagram(s), see printed CA Issue.

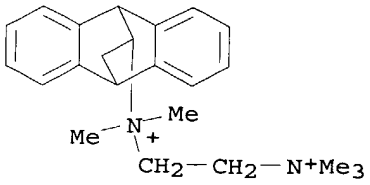
AB Preparation of alkylenediamines of 9,10-ethano-9,10-dihydroanthracene (II) is described where in I and RNH(CH2)nNR1R2 (R = H or Me; R1 and R2 = H, Me, alkyl, or **piperidine**; n = 2 or 3) are hydrogenated over PtO2 or Raney Ni to form II. Thus 22 g. I, 10 g. Me2NCH2CH2NH2 and 0.5 g. PtO2 were agitated 4 hrs. at 20° under 50 bars H. After the separation of catalyst the crude oil was dissolved in 100 ml. ether and acidified with 100 ml. 2N HCl (in EtOH). After 15 hrs. at 0°, 60% II (R = H, R1 = R2 = Me, n = 2).2HCl, m. 225-30°, was obtained. Similarly were prepared II (R = R1 = R2 = Me; n = 2).2HCl (III), m. 246-8°, 58% yield and III.2MeI, m. 185-90°. These compds. are useful as spasmolytic agents and remedies of hypotension.

IT **10047-96-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 10047-96-8 CAPLUS

CN 1,2-Ethanediaminium, N-(9,10-dihydro-9,10-ethanoanthracen-11-yl)-N,N,N',N',N'-pentamethyl-, diiodide (9CI) (CA INDEX NAME)

10/ 071,483



●2 I^-

L4 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:103968 CAPLUS
DOCUMENT NUMBER: 64:103968
ORIGINAL REFERENCE NO.: 64:19518h,19519a-b
TITLE: β-Adrenergic blocking medicaments
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 19 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M3564		19651102	FR	
PRIORITY APPLN. INFO.:			GB	19620117

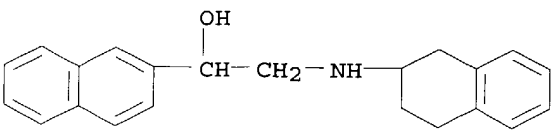
GI For diagram(s), see printed CA Issue.

AB Compns. containing compds. of the general formula I have β-adrenergic blocking activity and are useful in the treatment of coronary arterial disorders. The compns. may be in the form of tablets and capsules containing 5-500 mg. I. The preparation of compns. is described containing I (R and NR'R'' given): H, EtNH; H, PrNH; H, cyclohexylamino; Me, NH2; H, PhCH2CH2NH; H, BuNH; H, iso-PrNH; H, iso-Pr2N (II); H, **piperidino**; H, Me2N. To a stirred solution of 10 parts 2-bromoacetylnaphthalene in 10 parts MeOH was rapidly added 3 parts NaBH4 at <25° and, after 30 min. at 20°, pouring into ice and extracting with Et2O gave crude 1-(2-naphthyl)-2-bromoethanol (III). Heating 6.3 parts III and 8 parts iso-Pr2NH in 16 parts EtOH under reflux 16 hrs. gave after evaporation, conversion to the hydrochloride, and chromatography of the base on Al2O3, II.HCl, m. 160-1° (MeOH-AcOEt).

IT **6047-53-6**, 2-Naphthalenemethanol, α-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]-
(preparation of)

RN 6047-53-6 CAPLUS

CN 2-Naphthalenemethanol, α-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:60720 CAPLUS

10/ 071,483

DOCUMENT NUMBER: 60:60720
ORIGINAL REFERENCE NO.: 60:10621f-g
TITLE: Naphthols
INVENTOR(S): Gac, Robert; Zeppieri, Louis
PATENT ASSIGNEE(S): Progil
SOURCE: 21 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

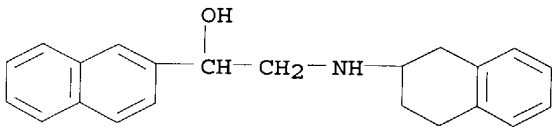
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1344298		19631129	FR	19620830
GB 1038147			GB	

AB Tetralones and tetralols were heated at .apprx. their b.p. at 1-5 atmospheric in the presence of a dehydrogenation catalyst such as Ni, Cu, Fe, Co, Cr, or Pt on a CaO, MgO, CuO, SrO, or ZnO support to give the title compds. (apparatus pictured). Thus, 1 part CuO was mixed with 2 parts ZnO, cylindrical pellets (3 + 3 mm.) were prepared from the mixture, and the pellets reduced in H at 100-275° to give a catalyst containing metallic Cu. The prepared catalyst (1000 g.) was placed in a reactor at 200°, 1700 g. tetralone preheated at 200°, and the tetralone passed over the catalyst bed at 10 m./hr. 10 hrs. to give a product containing 22.1% α-naphthol and no tetrahydronaphthol.

IT **6047-53-6**, 2-Naphthalenemethanol, α-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]-
(pharmaceutical containing)

RN 6047-53-6 CAPLUS

CN 2-Naphthalenemethanol, α-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:7606 CAPLUS
DOCUMENT NUMBER: 56:7606
ORIGINAL REFERENCE NO.: 56:1410f-h
TITLE: Tetrahydro-β-naphthylamine derivatives
INVENTOR(S): Voigtlaender, Wolfgang; Wunderlich, Helmut
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 19176		19600616	DD	

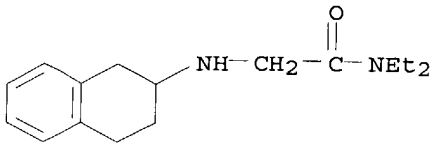
AB The title products were made by treating tetrahydro-β-naphthylamine (I) in the presence of aqueous bases with equimolar amts. of alkylhalides. Thus, BuBr 29 was added dropwise to a stirred mixture of I 30 in 2N NaOH 100 and the mixture refluxed 2 hrs. and distilled to obtain N-butyltetrahydro-β-naphthylamine 21 parts, b2 118-25°. Similarly prepared were the following derivs. of I: N-allyl, b2 115-21°; N-tetralylglycinediethylamide, b3-4 215-23°; N-tetralyl-β-alaninediethylamide, b1-2 186-91°, N-tetralyl-N-allyl-β-alaninediethylamide, b2-3 212-15°, N(**piperidinoethyl**)tetralylamine, b1 158-64°, N-tetralyl-N-methyl-N',N'-

diethylethylenediamine, b2-3 158-63°.

IT **93141-87-8**, Acetamide, N,N-diethyl-2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]-
(preparation of)

RN 93141-87-8 CAPLUS

CN Acetamide, N,N-diethyl-2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]- (7CI) (CA INDEX NAME)



L4 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:124926 CAPLUS

DOCUMENT NUMBER: 55:124926

ORIGINAL REFERENCE NO.: 55:23560d-h

TITLE: Syntheses of oxytocics. I. Syntheses of 2-(diethylamino)acetamide derivatives

AUTHOR(S): Horii, Zenichi; Watanabe, Toshio

CORPORATE SOURCE: Univ. Osaka

SOURCE: Yakugaku Zasshi (1961), 81, 636-9
CODEN: YKKZAJ; ISSN: 0031-6903

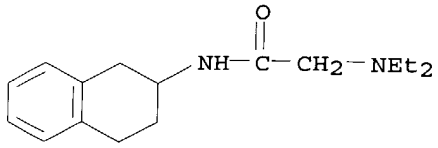
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB RNH2 (2 moles) in C6H6 or Et2O at 0-5° was treated with 1 mole ClCH2COCl; or 1 mole RNH2 in C5H5N or Me3N was treated with 1 mole ClCH2COCl, or, 1 mole RNH2 in 10% aqueous NaOH at 0-5° was treated with 1 mole ClCH2COCl with vigorous stirring to give RNHCOCH2Cl (I) (R, % yield, and m.p. given): C6H11, 42, 108-9°; 3,4-dimethyl-5-oxazolyl, 30, 96°; 4,5-dimethyl-2-thiazolyl, 33, 143-5°; o-EtOC6H4, 48, 66-7°; 2-C5H4N, 21, 122-4°; 1,2,3,4-tetrahydro-2-naphthyl, 56, 132°; 2-indanyl, 55, 124-5°; PhCH2, 30, 93°; PhCH2CH2, 47, 62°; 3-indolyl, 62, 175°; 3-indolylethyl, 41, 87-8°. Similarly were prepared RCOCH2Cl (R, % yield, and b.p./mm. or m.p. given): Et2N, 83, 104°/8; **piperidino**, 28, 108-9°; morpholino, 37, 78-86°/0.08. Reaction of I and Et2NH gave RNHCOCH2NEt2 (II), (R, % yield, b.p./mm. and m.p. of salt given): C6H11, 68, 118-25°/2 (picrate, m. 178-80°); 2-thienyl, 79, - (free base, m. 64-5°); 3,4-dimethyl-5-oxazolyl, 55, 120-4°/0.02 (HCl salt, m. 135-6°); 4,5-dimethyl-2-thiazolyl, 85, 167°/4 (HCl salt, m. 185-7°); o-EtOC6H4, 69, 140-5°/1 (HCl salt, m. 126°); 2-C5H4N, 30, 133°/2.5 (diperchlorate, m. 197-8°); 1,2,3,4-tetrahydro-2-naphthyl, 64, 180-3°/0.04 (HCl salt, m. 106°); 2-indanyl, 82, -, (free base, m. 54-5°); PhCH2, 70, 140-6°/0.03 (picrate, m. 160-1°); PhCH2CH2, 65, 162-4°/0.03 (picrate, m. 145-6°); 3-indolyl, 42, 200°/0.02 (free base, m. 154-5°); 3-indolylethyl, 93, 240°/0.08 (free base, m. 57-8°). Similarly were prepared RCOCH2NEt2 (R, % yield, b.p./mm. and m.p. of salt given): Et2N, 84, 76°/0.2 (tartrate, m. 136°); **piperidino**, 65, 108-12°/2 (perchlorate, m. 131.5-2°); morpholino, 42, 85-95°/0.05 (perchlorate, m. 213-15°). Among the products tested II (R = 2-thienyl) showed 1/100 and that of II (R = 3-indolylethyl) showed 1/150 efficiency, resp., of ergometrine.

IT **110334-06-0**, Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)-, hydrochloride

(preparation of)
RN 110334-06-0 CAPLUS
CN Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)-, hydrochloride (6CI) (CA INDEX NAME)

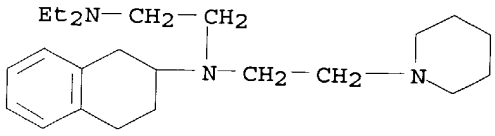


● HCl

L4 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1960:103341 CAPLUS
DOCUMENT NUMBER: 54:103341
ORIGINAL REFERENCE NO.: 54:19627i,19628a
TITLE: Bisquaternary bis(dialkylaminoethyl)-β-tetralylamines
INVENTOR(S): Voigtlander, Wolfgang; Wunderlich, Helmut
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 17096		19590620	DD	

AB Sym. or unsym. bis(dialkylaminoethyl)-β-tetralylamines were produced by the following reaction. Tetrahydro-β-naphthylamine 30, K2CO3 30, and xylene 50 was heated with stirring and .beta.**piperidinoethyl** chloride 35 parts added. The mixture was refluxed 1 hr. β-**Piperidinoethyl** chloride 35 parts was dropped in slowly and the mixture refluxed 2 hrs. After cooling, alkalizing, fractionating of the xylene solution and reaction with MeI, N,N-bis(β- **piperidinoethyl**)-β-tetralylamine-2MeI, m. 246-7°, was obtained. The following compds. were also prepared: N,N- bis(β-diethylaminoethyl)-β-tetralylamine-2MeI, m. 224-6°; N-(β-diethylaminoethyl)-N-(.beta.**piperidinoethyl**)-β-tetralylamine-2MeI, 224-5°. The compds. were used as drugs.
IT **103645-39-2**, **Piperidine**, 1-{2-[(2-diethylaminoethyl)(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl}-
(preparation of)
RN 103645-39-2 CAPLUS
CN Piperidine, 1-[2-[(2-diethylaminoethyl)(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl]- (6CI) (CA INDEX NAME)



L4 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

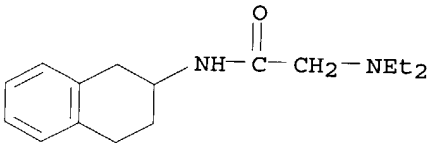
10/ 071,483

ACCESSION NUMBER: 1960:18679 CAPLUS
DOCUMENT NUMBER: 54:18679
ORIGINAL REFERENCE NO.: 54:3751a-c
TITLE: Comparison of in vitro and in vivo effects of four synthetic oxytocics on pregnant human uterus
AUTHOR(S): Sandberg, Finn; Ingelman-Sundberg, Axel; Lindgren, Lennart; Ryden, Gunnar
CORPORATE SOURCE: Sabbatsbergs Sjukhys, Stockholm
SOURCE: Arzneimittel-Forschung (1959), 9, 544-8
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Four synthetic oxytocics, N,N-diethyl-N'-(2-tetralyl)glycinamide-HCl, N,N,N',N'-tetraethylglycinamide-HCl, 2-(2,6-dimethylpiperidinomethyl)naphthalene-HCl (I), and Methergin (II) were tested in vitro and on the human uterus in the 1st and 3rd stages of labor. Both I and II have a pronounced oxytocic effect in vitro and in vivo; I appeared to be more effective in vitro and caused significantly less loss of blood in 20-mg. doses. In the 1st stage of labor 0.1 mg. II had a stronger oxytocic effect than 10 mg. I. The other 2 compds. were active in the guinea pig and rabbit, but inactive in vitro and on the human uterus.

IT **101438-41-9**, Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)-
(oxytocic activity of)

RN 101438-41-9 CAPLUS
CN Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)- (6CI) (CA INDEX NAME)

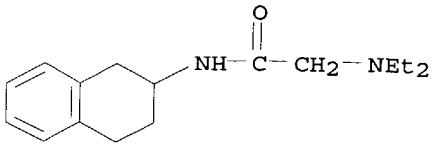


L4 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:84989 CAPLUS
DOCUMENT NUMBER: 53:84989
ORIGINAL REFERENCE NO.: 53:15337i,15338a
TITLE: Action of some sympatholytic agents on pregnancy in the rat
AUTHOR(S): Bovet-Nitti, F.; Bovet, D.
CORPORATE SOURCE: Ist. super sanita, Rome
SOURCE: Proceedings of the Society for Experimental Biology and Medicine (1959), 100, 555-7
CODEN: PSEBAA; ISSN: 0037-9727
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Seventeen diverse drugs acting on the autonomic nervous system, including certain sympatholytic derivs. of the tetrahydro-2-naphthylamine and 2-aminomethylbenzodioxan series, were tested for action on gestation in the rat. Certain ones interfered with normal pregnancy but their mechanism of action is not explained.

IT **101438-41-9**, Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)-
(effect on pregnancy)

RN 101438-41-9 CAPLUS
CN Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)- (6CI) (CA INDEX NAME)



L4 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:25540 CAPLUS
DOCUMENT NUMBER: 51:25540
ORIGINAL REFERENCE NO.: 51:5074a-i,5075a-b
TITLE: Condensation of 4-vinylpyridine and 2-methyl-6-vinylpyridine with primary and secondary amines
AUTHOR(S): Profit, Elmar
CORPORATE SOURCE: Tech. Hochschule, Halle, Germany
SOURCE: Journal de Physiologie (Paris, 1946-1992) (1956), 4, 19-34
CODEN: JOPHAN; ISSN: 0021-7948
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

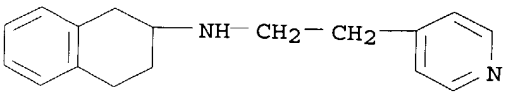
AB cf. Chemical Tech. 7, 511 (1955); 4-Vinylpyridine (I) and 2-methyl-6-vinylpyridine (II) reacted readily with 1-4 moles primary and secondary alkyl and aryl amines upon refluxing at 120-40° for 2-6.75 hrs. in the presence of 0.01-0.02 mole AcOH as catalyst. In some cases polymerization rather than condensation occurred. I did not react with Me2CHNH2. The following derivs. of I were prepared: with 2 moles BuNH2, yellow liquid, 28.7% yield, b6.2 162-7°, nD20 1.5390; with 1 mole isohexylamine (III), 47.8% yellow oil, b0.8 111-17°; with 2 moles III, 6.5% viscous yellow oil, b1 194-200°; with 1 mole PhNH2, 61% white crystals, m. 61.5°, b0.5 162-6°, nD20 1.6043; with 1 mole p-toluidine, 60.9% yellow odorless oil, b0.5 180-5°, nD20 1.5969, and 12% viscous yellow oil of aromatic odor, b0.25 236-41°, nD20 10.6001; with 1 mole m-toluidine, 76.3% light greenish turbid oil, b2 184-7°, nD20 1.5928; with 1 mole o-anisidine, 72.4% yellow odorless oil, b0.3 176-7°, nD20 1.5951; with 1 mole p-anisidine, 78.1% yellow oil with an aminelike odor, b0.4 180-5°, nD20 1.5871; with 1 mole 2-aminophenyl-1-propyl ether, 71.3% greenish yellow oil, b0.6 179-85°, nD20 1.5753; with 1 mole PhCH2NH2, 50.2% colorless and odorless oil, b0.3 143°, nD20 1.5679; with 2 moles PhCH2NH2, 15.8% yellow milky oil of strong odor, b0.4 235°, nD20 1.5795; with 1 mole "1-propoxy-4-(1'-methyl)-benzylamine", 63.4% yellow-green oil, b1 184-90°, nD20 1.5420; with 1 mole methyl anthranilate, 20% yellow-brown oil of sweetish odor, b0.6 166°, nD20 1.5947; with 1 mole α-naphthylamine, 58.7% green viscous oil, b0.8 226-8°; with 1 mole 1:1 mixture of 1- and 2-aminotetrahydronaphthalenes, 80% green, viscous oil, b0.2 195-206°, nD20 1.6113; with ε-aminocapronitrile, 47.0% yellow, odorless oil, b0.3 158-66°, nD20 1.5090, and a yellow oil of aminelike odor, b0.6 246-56°, nD20 1.5359; with 2 moles hexamethylenediamine, 14.4% odorless and colorless oil, b0.25, 159-62°, nD20 1.5138, and 21.1% yellow oil of aminelike odor, b2.4 216-19°, n2020 1.5331. 1-Propoxy-2-amino-4-nitrobenzene (IV) gave with I an oil, b1.5 174-244° (decomposition) 2-Vinylpyridine and IV gave a yellow powder, m. 105°. I with Et2NH yielded 8% brown liquid, b12 124-8°; with Pr2NH, a colorless solid, m. above 215°; with Bu2NH a yellow oil of aminelike odor, b19 171-5°, nD20 1.4893; with diisohexylamine, 66.6% white solid, m. 276-98°; with n-benzylaniline, 55.8% orange colored oil of aminelike odor, b6.3 203-5°, nD20 1.6180; with phthalimide, grayish crystals, m.

138° (from C6H6); with tech. pyrrolidine, 28.4% greenish oil of aminelike odor, b12 145-8°, nD20 1.5272; with **piperidine**, 83.7% light green oil, b16 156-60°, nD20 1.5261; with 2-pipecoline, brown, jellylike mass; with tetrahydroquinoline, greenish, odorless oil, b0.2 171-3°, nD20 1.6004. The following derivs. of II were prepared: with 1 mole PrNH2, 51.7% yellow liquid, b14 125-6°, nD20 1.5080; with 2 moles PrNH2, 31.0% yellow oil, b0.6 161°, nD20 1.5359; with BuNH2, colorless liquid of aminelike odor, b0.6 88-92° with resin formation, nD20 1.5024; with 1 mole III, 37.0% colorless oil, b12 148-52°, nD20 1.4945; with 2 moles III, 56.9% green viscous oil, b0.4 178-83°, nD20 1.5240; with 1 mole PhNH2, 81.6% white crystals, m. 59°, b0.9 154°, nD20 1.5914; with 1 mole o-toluidine, 81.5% yellow oil, b0.7 160-3°, nD20 1.5827; with 1 mole m-toluidine, 65.5% yellow liquid, b0.8 152-4°, nD20 1.5830; with 1 mole p-toluidine, 68.4% yellow oil, b0.5 176°, nD20 1.5860; with 1 mole o-anisidine, 68.6% yellow oil, b0.2 164°, nD20 1.5852; with 1 mole p-anisidine, 67.2% yellow oil, b0.15 168-70°, nD20 1.5791, and 4.2% yellow oil, b0.25 225-30°; with 1 mole 2-aminophenyl-1-propyl ether, 76.3% yellow oil, b0.4 174-6°, nD20 1.5679; with 1 mole IV, yellow crystals, m. 113° (from EtOH-Et2O), b1.7 207°, nD20 1.6011; with 1 mole PhCH2NH2, 37% colorless oil of aminelike odor, b0.6 136-46°, nD20 1.5583; with 2 moles PhCH2NH2, 18.6% oil, b0.6 204-8°, nD20 1.5680; with 1 mole "1-propoxy-4-(1'-methyl)benzylamine," 78.2% yellow oil, b0.6 176-81°, nD20 1.5449; with 1 mole Me anthranilate, 51.2% brown, fluorescent oil, b0.2 179-82°, nD20 1.5949; with 1 mole α-naphthylamine, 77.6% orange colored viscous oil, b0.8 211-18°, nD20 1.6497; with a 1:1 mixture of 1- and 2-aminotetrahydronaphthalene, 57% orange colored viscous oil, b0.8 169-70°, nD20 1.5241; with hexamethylenediamine, 23.8% yellow oil, b1.9 170-82°, nD20 1.5220, and 23.4% brown oil, b1.9 228-34°, nD20 1.5341; with Et2NH, 39% yellow liquid, b12 124-5°, nD20 1.4983; with Pr2NH, 44.7% pink liquid, b12 145-8°, nD20 1.4912; with Bu2NH, 47% colorless oil, b1.2 122-6°, nD20 1.4852; with diisohexylamine, 43.6% greenish liquid, b1.1 148-54°, nD20 1.4850; with N-benzylaniline, 54.8% yellow viscous oil, b0.8 202-4°, nD20 1.6115; with phthalimide, 36.7% grayish powder, m. above 205°; with pyrrolidine, 21.1% yellow oil, b12 140-1°, nD20 1.5250; with **piperidine**, 87.7% greenish liquid, b12 151-2°, nD20 1.5212; with pipe coline, 57% greenish liquid, b12 160-1°, nD20 1.5191; and with tetrahydroquinoline, 62.8% yellow oil, b0.15 152°, nD20 1.5939.

IT **103393-21-1**, Pyridine, 4-{2-{[1,2,3,4-tetrahydro-2-naphthyl]amino}ethyl}-
(preparation of)

RN 103393-21-1 CAPLUS

CN Pyridine, 4-[2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl]- (6CI) (CA INDEX NAME)



L4 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1954:25936 CAPLUS

DOCUMENT NUMBER: 48:25936

ORIGINAL REFERENCE NO.: 48:4708d-g

TITLE: Sympathomimetic properties of the ergotamine series-the pharmacological action of N-(tetrahydro-2-naphthyl)-N-methyl-N'-ethyl-β-

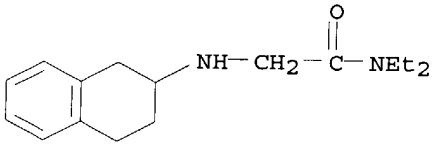
alaninamide (916 I.S.) and of amino-amido derivatives of 2-aminotetrahydronaphthalene

AUTHOR(S): Bovet, D.; Bovet-Nitti, F.; Longo, V. G.
CORPORATE SOURCE: Ist. super. sanita, Rome
SOURCE: Rend. ist. super. sanita (1952), 15, 925-52
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Compound 916 I.S. has a sympatholytic action on the blood pressure in the dog, as shown by its antagonism to the effect of adrenaline, noradrenaline, stimulation of the splanchnic nerve or of the central end of the vagus, or occlusion of the carotid vessel (5 mg./kg. i.v. administered). A sympatholytic action can also be shown in vitro. Among the derivs. of 2-aminotetrahydronaphthalene, only those containing the β-alaninamide grouping showed sympatholytic activity: N-(tetrahydro-2-naphthyl)-β-alaninamides, N'-(tetrahydro-2-naphthyl)alaninamides, the alc. derivs. like N-(tetrahydro-2-naphthyl)-N-methyl-N'-(1-hydroxy-1-methylethyl)-β-alaninamide, and quaternary ammonium derivs. of N'-(tetrahydro-2-naphthyl)-β-alaninamide. None of the phenylethylamine or phenylisopropylamine derivs. analogous in structure to the biol. active β-alaninamide compds., in the 2-aminotetrahydronaphthalene series, were active. Also inactive were the derivs. of $(CH_2NH_2)_2$, $CH_2(CH_2NH_2)_2$, glycinamide, aminobutyramide, and α-alaninamide. The structure of the pharmacol. active 916 I.S. is similar to that of ergotamine, since both contain a tetrahydronaphthalene ring, a tertiary amino group, and a monosubstituted amide group separated from the amino group by 3 atoms. Thus 916 I.S. may be considered a simplified mol. model of the natural alkaloid.

IT **93141-87-8**, Acetamide, N,N-diethyl-2-(1,2,3,4-tetrahydro-2-naphthylamino)-
(pharmacol. of)

RN 93141-87-8 CAPLUS
CN Acetamide, N,N-diethyl-2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]- (7CI) (CA INDEX NAME)



L4 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1954:24964 CAPLUS
DOCUMENT NUMBER: 48:24964
ORIGINAL REFERENCE NO.: 48:4488d-h,4489a-b
TITLE: Synthetic sympatholytic agents in the ergotamine series. VII. New derivatives of N'-(tetrahydro-2-naphthyl)glycinamide and β-alaninamide
AUTHOR(S): Marini-Bettolo, G. B.; Chiavarelli, S.
CORPORATE SOURCE: Ist. super. sanita, Rome
SOURCE: Rend. ist. super. sanita (1952), 15, 837-43
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

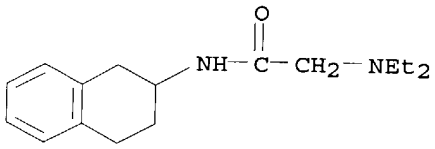
AB Below are described 24 new N'-substituted derivs. of 2-amino-1,2,3,4-tetrahydronaphthalene, RNH2 (I) with amino, amido, and quaternary ammonium groups. Derivs. of H2NCH2CONHR (II) and H2NCH2CH2CONHR (III) were prepared by heating 0.1 mol of the halogenated N-acyl derivative of I in a sealed tube with 0.2 mol of alkyl- or arylamine 24 h. at 90°, taking the product up in dilute acid, treating with 40% K2CO3, extracting with Et2O or

CHCl3, and purifying by either crystallization or distillation in vacuo. Derivs. of II:
N-Me, b0.4 175-87°; N-Et b0.4 164°; N,N-di-Pr, b1.0 225°; N-Bu, b0.15 182° (picrate, m. 159°); N-PhCH2CH2, b0.8 243° (HCl salt, m. 249°). Derivs. of III: N-Me, b0.4 170°; N-Et, b1.5 202°; N,N'-di-Me, b0.1 168° (picrolonate, m. 234°); N,N-di-Pr, b5 221°; N-pentyl, b0.6 140° (HCl salt, m. 207°). The N,N;-di-Me derivs. of II or III (0.1 mol) treated with 0.2 mol MeI in anhydrous EtOH, and the product precipitated with Et2O and crystallized from anhydrous Et2O-EtOH, gave the following: MeI.Me2NCH2CONHR, m. 192°; MeI.Me2NCH2CH2CONHR, m. 182°; MeI.Me2NCH2CONMeR, m. 177°. Derivs. of (CH2NH2)2 and H2N(CH2)3NH2 were prepared by heating 0.1 mol of the N-alkyl derivs. of II or III 8 h. with 0.1 mol LiAlH4 in anhydrous Et2O-C6H6, decomposing the mixture with H2O, treating with NaOH, extracting the product with Et2O, and purifying by distillation in vacuo, and the following were obtained: RNH(CH2)2NHEt, b0.1 152° (picrate, m. 190°); RNH(CH2)3NHEt, b0.5 175° (picrate, m. 226°); RNH(CH2)3NMe2, b3 162° (picrolonate, m. 199°). ClCH2CONHR (0.1 mol) and 0.2 mol I heated 24 h. at 100° gave RNHCH2CONHR b0.05 below 254° (HCl salt, m. 265°). By the same reaction, BrCH2CH2CONHR (IV) gave RNHCH2CH2CONHR, b0.1 below 260° (HCl salt, m. 227°). Heating 0.1 mol IV and 0.1 mol **piperazine** in absolute EtOH gave 1,4-bis(propionyl-2-aminotetrahydronaphthalene)**piperazine** [RNHOCCH2CH2N.CH2.CH2.N(CH2CH2CONHR).CH2.CH2], m. 212°. (CH2COCl)2 (1.5 g.) in cold anhydrous Et2O added to a cold solution of 4.5 g. I in cold Et2O, then 0.46 g. metallic Na in 10 mL. EtOH, and the mixture heated until no more HCl was evolved, gave (CH2CONHR)2, m. 237°.

IT **101438-41-9**, Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)-
(preparation of)

RN 101438-41-9 CAPLUS

CN Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)- (6CI) (CA INDEX NAME)



L4 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1953:48872 CAPLUS

DOCUMENT NUMBER: 47:48872

ORIGINAL REFERENCE NO.: 47:8259c-f

TITLE: The chemical structure and the oxytocic action of synthetic agents modeled after ergometrine. Substituted derivatives of (1,2,3,4-tetrahydro-2-naphthyl)-β-alaninamide, of (1,2,3,4-tetrahydro-2-naphthyl)glycinamide, and of aromatic and aliphatic derivatives of glycinamide

AUTHOR(S): Bovet-Nitti, F.

CORPORATE SOURCE: Ist. super. sanita, Rome

SOURCE: Rend. ist. super. sanita (1952), 15, 989-1007

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

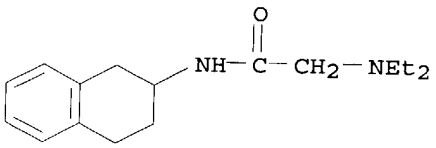
AB Synthetic drugs related in structure to the ergot alkaloids were screened

for oxytocic activity. The compds. were tested against isolated rabbit uterus, and classified as active if a response occurred at 0.1-0.2 mg./l. of Tyrode solution, slightly active if at 1-2 mg./l., and inactive if larger amts. were needed. Among the N3,N1-dialkyl-substituted (1,2,3,4-tetrahydro-2-naphthyl)-β-alaninamides, which are structurally related to ergotamine, sympatholytic activity is retained and oxytocic properties are accentuated if a 2-hydroxy-1-methylethyl group, as occurs in ergometrine, is introduced into the mol. A biologically active example of this is N3-(1,2,3,4-tetrahydro-2-naphthyl)-N3-methyl-N1-(2-hydroxy-1-methylethyl)-β-alaninamide (833 I.S.). The alkyl-substituted N2-1,2,3,4-tetrahydro-2-naphthyl)-glycinamides and N1-(1,2,3,4-tetrahydro-2-naphthyl)glycinamides are not sympatholytic, and have relatively little toxicity. Changes in the amino-amido chain alter the extent of oxytocic activity, and can eliminate it entirely. The most promising of this group is N2,N2-diethyl-N1-(1,2,3,4-tetrahydro-2-naphthyl)glycinamide, (621 I.S.). Certain N1-phenylglycinamides were oxytocic, i.e. N2,N2-diethyl-N1-(3,4-dimethylphenyl)glycinamide (1048 I.S.), as well as such alkyl derivs. as N2,N2,N1,N1-tetraethylglycinamide (1062 I.S.).

IT **101438-41-9**, Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)-
(clinical studies on)

RN 101438-41-9 CAPLUS

CN Acetamide, 2-diethylamino-N-(1,2,3,4-tetrahydro-2-naphthyl)- (6CI) (CA INDEX NAME)



L4 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1953:48871 CAPLUS

DOCUMENT NUMBER: 47:48871

ORIGINAL REFERENCE NO.: 47:8258i,8259a-c

TITLE: The oxytocic properties of tetrahydro-2-naphthylamine derivatives-pharmacological action of N3-(1,2,3,4-tetrahydro-2-naphthyl)-N3-methyl-N1-(2-hydroxy-1-methylethyl)-β-alaninamide (833 I.S.) and N2,N2-diethyl-N1(1,2,3,4-tetrahydro-2-naphthyl)glycinamide (621 I.S.)

AUTHOR(S): Bovet-Nitti, F.

CORPORATE SOURCE: Ist. super. sanita, Rome

SOURCE: Rend. ist. super. sanita (1952), 15, 953-88

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

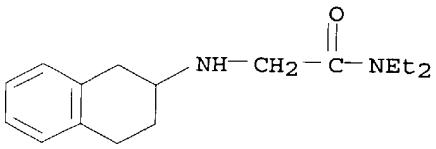
AB These 2 compds. were especially tested for oxytocic properties by the following methods: by using isolated uteri from normal rabbits or those prepared by the technique of Tripod (Acta Endocrinol. 6, 356(1951)) and isolated guinea-pig uteri in various states; and in vivo, by using the uteri of normal rabbits or those prepared by the method of Rothlin (C.A. 30, 160.8), and by the guinea-pig method of pregnancy interruption. It was found that in the rabbit 621 I.S. and 833 I.S. were only about 1/40 as toxic as ergometrine, and they did not produce vasomotor activity or secondary effects, although 833 I.S. was toxic to cardiac muscle. The min. oxytocic doses for isolated rabbit and guinea-pig uteri, and for the uterus in situ, are of the same order of magnitude as for ergometrine. The authors discuss the difficulties in the assay methods for oxytocic

agents and the application of their method based on the interruption of pregnancy in the guinea pig.

IT **93141-87-8**, Acetamide, N,N-diethyl-2-(1,2,3,4-tetrahydro-2-naphthylamino)-
(oxytocic activity of)

RN 93141-87-8 CAPLUS

CN Acetamide, N,N-diethyl-2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]- (7CI) (CA INDEX NAME)



L4 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1951:52780 CAPLUS

DOCUMENT NUMBER: 45:52780

ORIGINAL REFERENCE NO.: 45:8991d-i

TITLE: Synthetic sympatholytic substances in the ergotamine series. III. New piperidyl- and morpholinyl-substituted derivatives of tetrahydro-2-naphthylamine with amine and amide functions

AUTHOR(S): Marini-Bettolo, G. B.; Chiavarelli, Stefano

CORPORATE SOURCE: Ist. super. sanita, Rome

SOURCE: Gazzetta Chimica Italiana (1951), 81, 98-105
CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

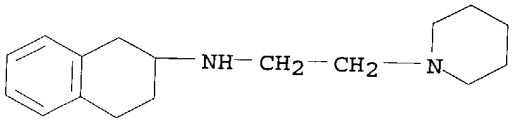
AB A series of N-(1-piperidyl) and N-(4-morpholinylacyl) derivs. of 1,2,3,4-tetrahydro-2-naphthylamine, ArNH2 (Ar = 2-C10H11) (X), are prepared similarly as described in the preceding abstract from ArNHCOCH2Cl (XI) and ArNHCO(CH2)2Cl (XII) with **piperidine** (XIII), 2-methylpiperidine (XIV), 2,3-dimethylpiperidine (XV), and morpholine (XVI), resp. To 30 g. X in 110 cc. 10% NaOH is added 30 g. VI at -10° to give 26 g. XI, m. 121° (from H2O and EtOH). The following derivs. of X of the general type ArNHX, where X is a 1-piperidyl- or 4-morpholinyl acyl group, are prepared (X given): C5H10NCH2CO (XVII), white needles, m. 93° (from dilute EtOH), [methiodide, white needles, m. 174° (from EtOH-Et2O), soluble in hot EtOH, sparingly soluble in cold EtOH]; 2-MeC5H9NCH2CO, oil, b6 220°; 2,3-Me2C5H8NCH2CO, oil, b0.8 196°. From ArNMeCOCH2Cl (XVIII) and XIII is obtained ArNMeCOCH2NC5H10, needles, m. 75-8° (from Et2O or dilute EtOH); from ArNEtCOCH2Cl and XIII ArNEtCOCH2NC5H10, b0.2 190-1°, m. 80-1°, analyzed as the reineckate. Similarly are prepared the following ArNHX (X given): from XII and XIII C5H10N(CH2)2CO, b0.6 208-10° [methiodide, sinters at 207-10°; picrate, m. 132°]; from XII and XIV 2-MeC5H9N(CH2)2CO, oil, b5 240-5°; from XII and XV 2,3-Me2C5H8N(CH2)2CO, b0.2 180-210°; from XVIII and XV, ArNMeCO(CH2)2NC5H8Me2, b0.4 173-5°; from 1-(chloroacetyl) **piperidine** (XIX) (obtained from XIII and VI in 8% aqueous NaOH at -10°) and X, ArNHCH2COC5H11, oil, b0.4 198°, analyzed as the reineckate, decompose 195°; from ArNHMe (XX) and XIX ArNMeCH2CONC5H10, b0.5 216-20°, analyzed as the reineckate; from ArNHEt (XXI) and XIX, ArNEtCH2CONC5H10, b1 222-32°. XIII (15 g.) and 23 g. Br(CH2)2COCl in 90 cc. 8% aqueous NaOH are kept 0.5 hr. at room temperature, then extracted with Et2O, and the extract washed successively with H2O, dilute HCl, 2% aqueous Na2CO3, and H2O, dried with Na2SO4, and distilled to give

C5H10NCO(CH2)2Br (XXII), oil, b6 145-7°, n20D 1.5285. Similarly as above are prepared: from XXII and X, ArNH(CH2)2CONC5H10, b0.5 205-8°, f.p. 90°; from XXII and XX, ArNMe(CH2)2COC5H10, oil, b0.5 216-20°; from XI and XVI, ArNHCOCH2N.(CH2)2.O.CH2.CH2, white needles, m. 102° (from Et2O); from XVIII and XVI, ArNMeCOCH2N.(CH2)2.O.CH2.CH2, b0.6 197-9°, f.p. 58°; from XII and XVI, ArNHCO(CH2)2N.(CH2)2.O.CH2.CH2, white tablets, m. 112° (from Et2O), b0.4 198-203°. Reduction of XVII with LiAlH4 in C6H6-Et2O gives ArNH(CH2)2NC5H10, b2.5 230°.

IT **93813-67-3**, **Piperidine**, 1-[2-(1,2,3,4-tetrahydro-2-naphthylamino)ethyl]-
(preparation of)

RN 93813-67-3 CAPLUS

CN Piperidine, 1-[2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl]- (7CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 13:16:20 ON 02 MAR 2004)

FILE 'REGISTRY' ENTERED AT 13:16:42 ON 02 MAR 2004
L1 STRUCTURE UPLOADED
L2 1517 S L1 FUL

FILE 'CAPLUS' ENTERED AT 13:17:07 ON 02 MAR 2004
L3 388 S L2
L4 54 S L3 AND (CYCLOHEXYL OR PIPERIDIN? OR PIPERAZIN?)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	264.49	420.12
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-37.42	-37.42

STN INTERNATIONAL LOGOFF AT 13:20:10 ON 02 MAR 2004